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Director of RWS Group plc, of Europa House, Marsham Way, Gerrards Cross,  
Buckinghamshire, England declare;

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2. That the translator responsible for the attached translation is well acquainted with the German and English languages.
3. That the attached is, to the best of RWS Group plc knowledge and belief, a true translation into the English language of the accompanying copy of the specification filed with the application for a patent in Germany on 27 December 2000 under the number 100 65 433.9 and the official certificate attached hereto.
4. That I believe that all statements made herein of my own knowledge are true and that all statements made on information and belief are true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the patent application in the United States of America or any patent issuing thereon.



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For and on behalf of RWS Group plc

The 17th day of July 2003

**FEDERAL REPUBLIC OF GERMANY**

**[Eagle crest]**

**Priority Certificate  
for the filing of a Patent Application**

**File Reference:** 100 65 433.9

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**Applicant/Proprietor:** Bayer Aktiengesellschaft, Leverkusen/DE

**Title:** Indole Derivatives

**IPC:** C 07 D and A 61 K

**The attached documents are a correct and accurate reproduction of the original submission for this Application.**

Munich, 8 October 2001

**German Patent and Trademark Office**

**The President**

[Seal of the German Patent  
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### **Indole Derivatives**

The invention relates to new indole derivatives, processes for their preparation and their use in medicaments.

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In EP-A-580 550, oxamic acid derivatives are described which have cholesterol-lowering properties in mammals. The reduction of plasma cholesterol, in particular of LDL cholesterol, is emphasized as a pharmacological property. Cholesterol-lowering actions are also described in EP-A-188 351 for certain diphenyl ethers having  
10 thyroid-hormone-like actions, which differ clearly in their chemical structure from the compounds according to the invention.

15

WO 00/51971 discloses oxamic acid derivatives having indole partial structure as thyroid receptor ligands for the treatment of various diseases.

20

Further indoles which are connected in the 5-position via a bridge member having a substituted phenyl ring are known (WO 94/14770; EP-A-674 619 A1 or WO 94/26737). No thyroid-hormone-like properties are described for these 5-substituted indoles.

25

WO 99/50268 discloses substituted indolealkancarboxylic acids which are suitable for the treatment of chronic complications caused by diabetes mellitus.

WO 95/20588 discloses indole derivatives having action as 5-HT<sub>1</sub> agonists.

30

WO 98/11895 discloses the use of 5-HT<sub>1</sub> agonists for the treatment of migraine; indole derivatives are also indicated as suitable active compounds. In WO 98/06402, use for the treatment of coryza or rhinitis is described for the same structures.

EP-A-639 573 discloses benzo-fused 5-membered ring heterocycles and their use in medicaments and diagnostics. The disclosed compounds are inhibitors of the cellular

sodium-proton antiporter ( $\text{Na}^+/\text{H}^+$  exchanger).

US-A-5 468 899 relates to bicyclic aryl compounds having selective properties as  $\text{LTB}_4$  antagonists.

5

EP-A-377 450 discloses substituted indole, benzofuran and benzothiophene derivatives having action as 5-lipoxygenase inhibitors.

JP-A-07145 147 discloses testosterone 5-alpha-reductase inhibitors derived from benzoic acid, which can be employed for the treatment of prostate cancer and certain hair loss disorders.

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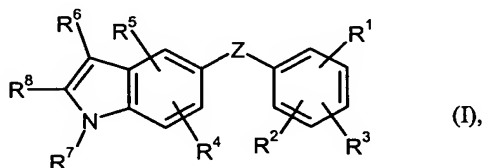
In GB-A-2 253 848, phenylindole ethers di-ortho-substituted in the phenyl moiety and having herbicidal action are described which can be employed as crop protection agents. Thyromimetic actions have hitherto not been published for these ortho-substituted indoles.

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The object of the invention is the provision of new compounds having improved actions, in particular pharmaceutical actions.

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It has now been found that compounds of the general formula (I)



(I),

25 in which

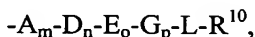
$\text{Z}$  represents O, S, SO,  $\text{SO}_2$ ,  $\text{CH}_2$ , CHF,  $\text{CF}_2$  or represents  $\text{NR}^9$ , in which  $\text{R}^9$



denotes hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl,

R<sup>1</sup> and R<sup>2</sup> are identical or different and represent hydrogen, halogen, cyano, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CF<sub>3</sub>, CHF<sub>2</sub>, CH<sub>2</sub>F, vinyl or (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and in the ortho position to the bridge bond,

R<sup>3</sup> represents a group of the formula



in which

A represents O, S, NR<sup>11</sup> or represents the group -(CR<sup>12</sup>=CR<sup>13</sup>)-, in which R<sup>11</sup> denotes hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl, and R<sup>12</sup> and R<sup>13</sup> are identical or different and denote hydrogen, cyano, (C<sub>1</sub>-C<sub>4</sub>)-alkyl or (C<sub>1</sub>-C<sub>4</sub>)-alkoxy,

D represents a straight-chain (C<sub>1</sub>-C<sub>3</sub>)-alkylene group, which can be mono- or polysubstituted, identically or differently, by (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, halogen, amino, mono-(C<sub>1</sub>-C<sub>4</sub>)-alkylamino, mono-(C<sub>1</sub>-C<sub>4</sub>)-acylamino or (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonylamino,

E and L independently of one another represent a C(O) or SO<sub>2</sub> group,

G represents NR<sup>14</sup>, in which R<sup>14</sup> denotes hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl, or represents a straight-chain (C<sub>1</sub>-C<sub>3</sub>)-alkylene group, which can be mono- or polysubstituted, identically or differently, by (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, halogen, amino, mono- or di-(C<sub>1</sub>-C<sub>4</sub>)-alkylamino or mono-(C<sub>1</sub>-C<sub>4</sub>)-acylamino,

m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that

5 in the case that L represents a C=O group, the sum (m+n+o+p) is unequal to the number 0,

and

10 in the case that m and o in each case represent the number 1, A represents the radical NR<sup>11</sup> and E and L in each case represent a C=O group, the sum (n+p) is unequal to the number 0,

and

15 R<sup>10</sup> represents OR<sup>15</sup>, NR<sup>16</sup>R<sup>17</sup>, (C<sub>1</sub>-C<sub>10</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>6</sub>-C<sub>10</sub>)-aryl, (C<sub>6</sub>-C<sub>10</sub>)-arylmethyl or represents a saturated, partly unsaturated or aromatic 5- to 10-membered heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, where the abovementioned radicals are optionally substituted by one, two or three identical or different substituents selected from the group consisting of halogen, hydroxyl, oxo, cyano, nitro, amino, NR<sup>18</sup>R<sup>19</sup>, trifluoromethyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy optionally substituted by R<sup>20</sup>, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)-aryl, which for its part is optionally substituted by halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, trifluoromethyl, nitro or cyano; -O-C(O)-R<sup>21</sup>, -C(O)-OR<sup>22</sup>, -C(O)-NR<sup>23</sup>R<sup>24</sup>, -SO<sub>2</sub>-NR<sup>25</sup>R<sup>26</sup>, -NH-C(O)-R<sup>27</sup> and -NH-C(O)-OR<sup>28</sup>, where

30 R<sup>15</sup>, R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, R<sup>19</sup>, R<sup>20</sup>, R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup>, R<sup>27</sup> and R<sup>28</sup> are identical or different and in each case represent hydrogen, phenyl, benzyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, which for

5 their part are optionally mono- or polysubstituted, identically or differently, by halogen, hydroxyl, amino, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-carbonyl-amino, (C<sub>1</sub>-C<sub>5</sub>)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by halogen or hydroxyl,

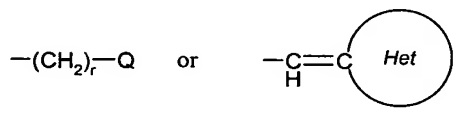
or the group

-L-R<sup>10</sup> represents a group of the formula  $\text{—P} \begin{matrix} \text{O} \\ \parallel \\ \text{OR}^{29} \\ \text{OR}^{29} \end{matrix}$ , in which

10 R<sup>29</sup> denotes hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl,

or

15 R<sup>3</sup> represents a group of the formula



in which

20 Q represents a 5- to 6-membered saturated, partly unsaturated or aromatic heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, which for its part is optionally mono- to trisubstituted, identically or differently, by oxo (=O), thioxo (=S), hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or phenyl,

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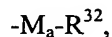
r represents the number 0, 1 or 2,

and

the ring *Het* denotes a 5- to 6-membered saturated or partly unsaturated heterocycle having up to three identical or different heteroatoms from the group consisting of N, O and/or S, which is optionally mono- to trisubstituted, identically or differently, by oxo (=O), thioxo (=S), hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or phenyl,

R<sup>4</sup> and R<sup>5</sup> are identical or different and in each case represent hydrogen, hydroxyl, halogen, cyano, nitro, (C<sub>1</sub>-C<sub>4</sub>)-alkyl or the radical of the formula NR<sup>30</sup>R<sup>31</sup>, where R<sup>30</sup> and R<sup>31</sup> have the meaning indicated for R<sup>15</sup> and independently of one another can be identical to or different from this substituent,

R<sup>6</sup> represents hydrogen, halogen or represents a group of the formula



in which

M represents a carbonyl group, a sulphonyl group or a methylene group,

a represents the number 0 or 1,

and

R<sup>32</sup> has the meaning of R<sup>10</sup> indicated above and can be identical to or different from this substituent,

R<sup>7</sup> represents hydrogen or represents an acyl group which can be removed under physiological conditions with formation of an NH function, preferably represents hydrogen or acetyl ,

and

R<sup>8</sup> has the meaning of R<sup>6</sup> indicated above and can be identical to or different from this substituent,

5

and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of the salts,

preferably the compounds which are trisubstituted, in particular tetrasubstituted, in the phenyl moiety and preferably in the 1-, 2-, 4- and 6-position and have a substituent in the 3-position in the indole ring,

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exhibit a pharmacological action and can be used as medicaments or for the preparation of pharmaceutical formulations.

15 Heterocycles in the definition of R<sup>6</sup>, R<sup>8</sup> or R<sup>10</sup> which may preferably be mentioned are:

A 5- to 10-membered saturated, partly unsaturated or aromatic, optionally benzo-fused heterocycle having up to 4 heteroatoms from the group consisting of S, N and/or O, i.e. a heterocycle, which can contain one or more double bonds and which is linked via a ring carbon atom or a ring nitrogen atom. Examples which may be mentioned are: tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, azepinyl, 1,4-diazepinyl, furanyl, pyrrolyl, thienyl, thiazolyl, oxazolyl, imidazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, pyrimidinonyl, pyridazinonyl.

25

Preferred heterocycles from this list are: pyridyl, pyrimidinyl, pyridazinyl, pyrimidinonyl, pyridazinonyl and thienyl.

30 Alkyl in the context of the invention represents a straight-chain or branched alkyl radical preferably having 1 to 15, 1 to 12, 1 to 10, 1 to 8, 1 to 6, 1 to 4 or 1 to 3

carbon atoms. A straight-chain or branched alkyl radical having 1 to 3 carbon atoms is preferred. The following may be mentioned by way of example and preferably: methyl, ethyl, n-propyl, isopropyl, n-, i-, s- or t-butyl, n-pentyl and n-hexyl.

- 5     Aryl in the context of the invention represents an aromatic radical preferably having 6 to 10 carbon atoms. Preferred aryl radicals are phenyl and naphthyl.

- 10    Cycloalkyl in the context of the invention represents a cycloalkyl group preferably having 3 to 8, 3 to 7 or 3 to 6 carbon atoms. The following may be mentioned by way of example and preferably: cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl.

- 15    Alkoxy in the context of the invention preferably represents a straight-chain or branched alkoxy radical having 1 to 6, 1 to 4 or 1 to 3 carbon atoms. A straight-chain or branched alkoxy radical having 1 to 3 carbon atoms is preferred. The following may be mentioned by way of example and preferably: methoxy, ethoxy, n-propoxy, isopropoxy, t-butoxy, n-pentoxy and n-hexoxy.

- 20    Alkoxy carbonyl in the context of the invention preferably represents a straight-chain or branched alkoxy radical having 1 to 6 or 1 to 4 carbon atoms, which is linked via a carbonyl group. A straight-chain or branched alkoxy carbonyl radical having 1 to 4 carbon atoms is preferred. The following may be mentioned by way of example and preferably: methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, isopropoxycarbonyl and t-butoxycarbonyl.

- 25    Alkanoyloxy in the context of the invention preferably represents a straight-chain or branched alkyl radical having 1 to 6, 1 to 5 or 1 to 3 carbon atoms, which in the 1-position carries a doubly bonded oxygen atom and is linked in the 1-position via a further oxygen atom. A straight-chain or branched alkanoyloxy radical having 1 to 3 carbon atoms is preferred. The following may be mentioned by way of example and preferably: acetoxo, propionoxo, n-butyroxy, i-butyroxy, pivaloyloxy and
- 30

n-hexanoyloxy.

5        Monoalkylamino in the context of the invention represents an amino group having a straight-chain or branched alkyl substituent, which preferably has 1 to 6, 1 to 4 or 1 to 2 carbon atoms. A straight-chain or branched monoalkylamino radical having 1 to 4 carbon atoms is preferred. The following may be mentioned by way of example and preferably: methylamino, ethylamino, n-propylamino, isopropylamino, t-butylamino, n-pentylamino and n-hexylamino.

10       Dialkylamino in the context of the invention represents an amino group having two identical or different straight-chain or branched alkyl substituents, which preferably in each case have 1 to 6, 1 to 4 or 1 to 2 carbon atoms. Straight-chain or branched dialkylamino radicals in each case having 1 to 4 carbon atoms are preferred. The following may be mentioned by way of example and preferably: *N,N*-dimethylamino,  
15       *N,N*-diethylamino, *N*-ethyl-*N*-methylamino, *N*-methyl-*N*-n-propylamino, *N*-isopropyl-*N*-n-propylamino, *N*-t-butyl-*N*-methylamino, *N*-ethyl-*N*-n-pentylamino and *N*-n-hexyl-*N*-methylamino.

20       Monoacylamino in the context of the invention represents an amino group having a straight-chain or branched alkanoyl substituent, which preferably has 1 to 6, 1 to 4 or 1 to 2 carbon atoms and is linked via the carbonyl group. A monoacylamino radical having 1 to 2 carbon atoms is preferred. The following may be mentioned by way of example and preferably: formamido, acetamido, propionamido, n-butyramido and pivaloylamido.

25       Alkoxy-carbonylamino in the context of the invention represents an amino group having a straight-chain or branched alkoxy-carbonyl substituent, which in the alkoxy radical preferably has 1 to 6 or 1 to 4 carbon atoms and is linked via the carbonyl group. An alkoxy-carbonylamino radical having 1 to 4 carbon atoms is preferred. The  
30       following may be mentioned by way of example and preferably: methoxy-carbonylamino, ethoxy-carbonylamino, n-propoxy-carbonylamino and t-butoxy-carbonylamino.

Halogen in the context of the invention includes fluorine, chlorine, bromine and iodine. Fluorine, chlorine and bromine are preferred.

5 Depending on the substituent pattern, the compounds according to the invention can exist in stereoisomeric forms, which either behave as image and mirror image (enantiomers), or which do not behave as image and mirror image (diastereomers). The invention relates both to the enantiomers or diastereomers and to their respective mixtures. Like the diastereomers, the racemic forms can be separated into the  
10 stereoisomerically uniform constituents in a known manner.

Furthermore, certain compounds can be present in tautomeric forms. This is known to the person skilled in the art, and compounds of this type are likewise included by the scope of the invention.

15

The compounds according to the invention can also be present as salts. In the context of the invention, physiologically acceptable salts are preferred.

20 Physiologically acceptable salts can be salts of the compounds according to the invention with inorganic or organic acids. Preferred salts are those with inorganic acids such as, for example, hydrochloric acid, hydrobromic acid, phosphoric acid or sulphuric acid, or salts with organic carboxylic or sulphonic acids such as, for example, acetic acid, propionic acid, maleic acid, fumaric acid, malic acid, citric acid, tartaric acid, lactic acid, benzoic acid, or methanesulphonic acid,  
25 ethanesulphonic acid, benzenesulphonic acid, toluenesulphonic acid or naphthalenedisulphonic acid.

30 Physiologically acceptable salts can likewise be salts of the compounds according to the invention with bases, such as, for example, metal or ammonium salts. Preferred examples are alkali metal salts (e.g. sodium or potassium salts), alkaline earth metal salts (e.g. magnesium or calcium salts), and ammonium salts, which are derived from



ammonia or organic amines, such as, for example, ethylamine, di- or triethylamine, ethyldiisopropylamine, monoethanolamine, di- or triethanolamine, dicyclohexylamine, dimethylaminoethanol, dibenzylamine, N-methylmorpholine, dihydroabietylamine, 1-phenamine, methylpiperidine, arginine, lysine, ethylenediamine or  
 5 2-phenylethylamine.

The compounds according to the invention can also be present in the form of their solvates, in particular in the form of their hydrates.

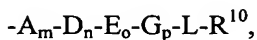
10 Preferred compounds of the general formula (I) are those

in which

15 Z represents O, S or CH<sub>2</sub>,

R<sup>1</sup> and R<sup>2</sup> are identical or different and represent hydrogen, fluorine, chlorine, bromine, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, CF<sub>3</sub>, CHF<sub>2</sub>, CH<sub>2</sub>F, vinyl or (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and is in the ortho position to the bridge bond, in particular both substituents are unequal  
 20 to hydrogen and both are in the ortho position,

R<sup>3</sup> represents a group of the formula



25

in which

A represents O, S, NR<sup>11</sup> or represents the group -(CR<sup>12</sup>=CR<sup>13</sup>)-, in which R<sup>11</sup> denotes hydrogen or methyl, and R<sup>12</sup> and R<sup>13</sup> are identical or  
 30 different and denote hydrogen or methoxy,

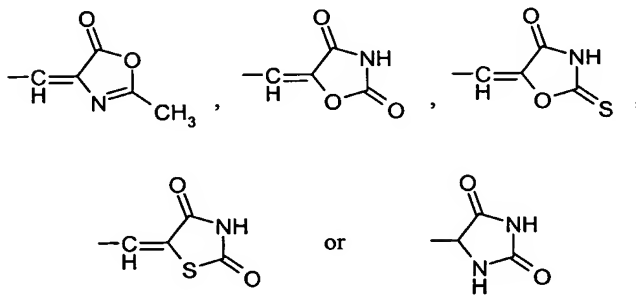
- 5                   D       represents a straight-chain (C<sub>1</sub>-C<sub>3</sub>)-alkylene group which can be mono- or disubstituted, identically or differently, by (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl, methoxy, ethoxy, fluorine, chlorine, amino, mono-(C<sub>1</sub>-C<sub>4</sub>)-alkylamino or mono-(C<sub>1</sub>-C<sub>4</sub>)-acylamino,
- E       represents a C(O) group,
- L       represents a C(O) or SO<sub>2</sub> group,
- 10                  G       represents an NH group or represents a straight-chain (C<sub>1</sub>-C<sub>3</sub>)-alkylene group, which can be mono- or disubstituted, identically or differently, by methyl, ethyl, hydroxyl, methoxy, fluorine, chlorine, amino, methylamino or acetylamino,
- 15       m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that
- in the case that L represents a C=O group, the sum (m+n+o+p) is unequal to the number 0,
- 20                  and
- in the case that m and o in each case represent the number 1, A represents the radical NR<sup>11</sup> and L represents a C=O group, the sum (n+p) is unequal to the
- 25                  number 0,
- and
- 30                  R<sup>10</sup>    represents OR<sup>15</sup>, NR<sup>16</sup>R<sup>17</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, naphthyl, phenyl, benzyl or represents a saturated, partly unsaturated or aromatic 5- to 6-membered heterocycle having up to four identical or different

heteroatoms from the group consisting of N, O and/or S, where the abovementioned radicals are optionally substituted by one, two or three identical or different substituents selected from the group consisting of halogen, hydroxyl, oxo, cyano, nitro, amino,  $\text{NR}^{18}\text{R}^{19}$ , trifluoromethyl,  $(\text{C}_1\text{-C}_4)\text{-alkyl}$ ,  $(\text{C}_1\text{-C}_4)\text{-alkoxy}$  optionally substituted by  $\text{R}^{20}$ ,  $(\text{C}_3\text{-C}_6)\text{-cycloalkyl}$ ,  $-\text{O}-\text{C}(\text{O})-\text{R}^{21}$ ,  $-\text{C}(\text{O})-\text{OR}^{22}$ ,  $-\text{C}(\text{O})-\text{NR}^{23}\text{R}^{24}$ ,  $-\text{SO}_2-\text{NR}^{25}\text{R}^{26}$ ,  $-\text{NH}-\text{C}(\text{O})-\text{R}^{27}$  and  $-\text{NH}-\text{C}(\text{O})-\text{OR}^{28}$ , where

$\text{R}^{15}$ ,  $\text{R}^{16}$ ,  $\text{R}^{17}$ ,  $\text{R}^{18}$ ,  $\text{R}^{19}$ ,  $\text{R}^{20}$ ,  $\text{R}^{21}$ ,  $\text{R}^{22}$ ,  $\text{R}^{23}$ ,  $\text{R}^{24}$ ,  $\text{R}^{25}$ ,  $\text{R}^{26}$ ,  $\text{R}^{27}$  and  $\text{R}^{28}$  are identical or different and in each case represent hydrogen, phenyl, benzyl,  $(\text{C}_1\text{-C}_6)\text{-alkyl}$  or  $(\text{C}_3\text{-C}_6)\text{-cycloalkyl}$ , which for their part are optionally mono- or polysubstituted, identically or differently, by halogen, hydroxyl, amino, carboxyl,  $(\text{C}_1\text{-C}_4)\text{-alkoxy}$ ,  $(\text{C}_1\text{-C}_4)\text{-alkoxycarbonyl}$ ,  $(\text{C}_1\text{-C}_4)\text{-alkoxy-carbonyl}$ , amino,  $(\text{C}_1\text{-C}_5)\text{-alkanoyloxy}$ , a heterocycle or phenyl which is optionally substituted by halogen or hydroxyl,

or

$\text{R}^3$  represents a group of the formula



$\text{R}^4$  and  $\text{R}^5$  are identical or different and in each case represent hydrogen, halogen or

(C<sub>1</sub>-C<sub>4</sub>)-alkyl,

R<sup>6</sup> represents hydrogen, halogen or a group of the formula

5  $-M_a-R^{32},$

in which

10 M represents a carbonyl group, a sulphonyl group or a methylene group,

a represents the number 0 or 1,

and

15 R<sup>32</sup> represents (C<sub>1</sub>-C<sub>10</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>4</sub>)-alkenyl, naphthyl, phenyl, benzyl, pyridyl, pyridazinyl or pyridazinonyl, where the abovementioned radicals are optionally substituted by one, two or three identical or different substituents selected from the group consisting of halogen, hydroxyl, cyano, nitro, amino, NR<sup>18</sup>R<sup>19</sup>,  
20 trifluoromethyl, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, phenyl, which for its part is optionally substituted by halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, trifluoromethyl, nitro or cyano, -O-C(O)-R<sup>21</sup>, -C(O)-OR<sup>22</sup>, -C(O)-NR<sup>23</sup>R<sup>24</sup>, -SO<sub>2</sub>-NR<sup>25</sup>R<sup>26</sup>, -NH-C(O)-R<sup>27</sup> and -NH-C(O)-OR<sup>28</sup>, where

25 R<sup>18</sup>, R<sup>19</sup>, R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup>, R<sup>27</sup> and R<sup>28</sup> are identical or different and in each case represent hydrogen, phenyl, benzyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, which for their part are optionally mono- or polysubstituted, identically or differently,  
30 by halogen, hydroxyl, amino, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonylamino,

(C<sub>1</sub>-C<sub>5</sub>)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by halogen or hydroxyl,

R<sup>7</sup> represents hydrogen,

5

and

R<sup>8</sup> has the meaning of R<sup>6</sup> indicated above and can be identical to or different from this substituent,

10

and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of the salts.

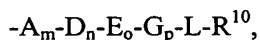
Particularly preferred compounds of the general formula (I) are those

15 in which

Z represents O or CH<sub>2</sub>,

20 R<sup>1</sup> and R<sup>2</sup> are identical or different and represent hydrogen, fluorine, chlorine, bromine, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, CF<sub>3</sub>, CHF<sub>2</sub>, CH<sub>2</sub>F, vinyl or (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and in the ortho position to the bridge bond, in particular both substituents are unequal to hydrogen and both are in the ortho position,

25 R<sup>3</sup> represents a group of the formula



in which

30

A represents O, S or NH,

- 5                   D       represents a straight-chain ( $C_1-C_3$ )-alkylene group, which can be mono- or disubstituted, identically or differently, by methyl, ethyl, hydroxyl, methoxy, fluorine, amino or acetylamino,
- E       represents a C(O) group,
- L       represents a C(O) or  $SO_2$  group,
- 10                  G       represents an NH group or represents a methylene group,
- m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that
- 15                  in the case that L represents a C=O group , the sum ( $m+n+o+p$ ) is unequal to the number 0,
- and
- 20                  in the case that m and o in each case represent the number 1, A represents the radical NH and L represents a C=O group, the sum ( $n+p$ ) is unequal to the number 0,
- and
- 25                  R<sup>10</sup>     represents OR<sup>15</sup>, NR<sup>16</sup>R<sup>17</sup>, ( $C_1-C_6$ )-alkyl, phenyl, benzyl or represents an aromatic 5- to 6-membered heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, where the abovementioned radicals are optionally substituted by one,
- 30                  two or three identical or different substituents selected from the group consisting of fluorine, chlorine, hydroxyl, oxo, cyano, nitro, amino,

NR<sup>18</sup>R<sup>19</sup>, trifluoromethyl, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy optionally substituted by R<sup>20</sup>, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, -O-C(O)-R<sup>21</sup>, -C(O)-OR<sup>22</sup>, -C(O)-NR<sup>23</sup>R<sup>24</sup>, -SO<sub>2</sub>-NR<sup>25</sup>R<sup>26</sup>, -NH-C(O)-R<sup>27</sup> and -NH-C(O)-OR<sup>28</sup>, where

5

R<sup>15</sup>, R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, R<sup>19</sup>, R<sup>20</sup>, R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup>, R<sup>27</sup> and R<sup>28</sup> are identical or different and in each case represent hydrogen, phenyl, benzyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, which for their part are optionally mono- to disubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-carbonylamino, (C<sub>1</sub>-C<sub>5</sub>)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by fluorine, chlorine or hydroxyl,

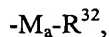
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15

R<sup>4</sup> and R<sup>5</sup> are identical or different and in each case represent hydrogen, fluorine, chlorine or methyl,

R<sup>6</sup> represents hydrogen, halogen or a group of the formula

20



in which

25

M represents a sulphonyl group or a methylene group,

a represents the number 0 or 1,

and

30

R<sup>32</sup> represents (C<sub>1</sub>-C<sub>10</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, phenyl, benzyl, pyridyl,

pyridazinyl or pyridazinonyl, where the abovementioned radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, bromine, hydroxyl, cyano, nitro, amino,  $\text{NR}^{18}\text{R}^{19}$ , trifluoromethyl, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, -O-C(O)-R<sup>21</sup>, -C(O)-OR<sup>22</sup>, -C(O)-NR<sup>23</sup>R<sup>24</sup>, -SO<sub>2</sub>-NR<sup>25</sup>R<sup>26</sup>, -NH-C(O)-R<sup>27</sup> and -NH-C(O)-OR<sup>28</sup>, where

$\text{R}^{18}$ ,  $\text{R}^{19}$ ,  $\text{R}^{21}$ ,  $\text{R}^{22}$ ,  $\text{R}^{23}$ ,  $\text{R}^{24}$ ,  $\text{R}^{25}$ ,  $\text{R}^{26}$ ,  $\text{R}^{27}$  and  $\text{R}^{28}$  are identical or different and in each case represent hydrogen, phenyl, benzyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, which for their part are optionally mono- or disubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl-amino, (C<sub>1</sub>-C<sub>5</sub>)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by fluorine, chlorine or hydroxyl,

$\text{R}^7$  represents hydrogen,

$\text{R}^8$  represents hydrogen, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, phenyl, benzyl, pyridyl, phenylsulphonyl or benzylsulphonyl, where the abovementioned radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, bromine, hydroxyl, cyano, nitro, amino,  $\text{NR}^{18}\text{R}^{19}$ , trifluoromethyl, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, -O-C(O)-R<sup>21</sup>, -C(O)-OR<sup>22</sup>, -C(O)-NR<sup>23</sup>R<sup>24</sup>, -SO<sub>2</sub>-NR<sup>25</sup>R<sup>26</sup>, -NH-C(O)-R<sup>27</sup> and -NH-C(O)-OR<sup>28</sup>, where

$\text{R}^{18}$ ,  $\text{R}^{19}$ ,  $\text{R}^{21}$ ,  $\text{R}^{22}$ ,  $\text{R}^{23}$ ,  $\text{R}^{24}$ ,  $\text{R}^{25}$ ,  $\text{R}^{26}$ ,  $\text{R}^{27}$  and  $\text{R}^{28}$  are identical or different and in each case represent hydrogen, phenyl, benzyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, which for their part are optionally mono- or



polysubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonylamino, (C<sub>1</sub>-C<sub>5</sub>)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by fluorine, chlorine or hydroxyl,

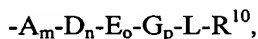
and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of the salts.

Very particularly preferred compounds of the general formula (I) are those in which

Z represents O,

R<sup>1</sup> and R<sup>2</sup> are identical or different and represent hydrogen, fluorine, chlorine, bromine, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, CF<sub>3</sub>, CHF<sub>2</sub>, CH<sub>2</sub>F, vinyl or (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and in the ortho position to the bridge bond, in particular both substituents are unequal to hydrogen and both are in the ortho position,

R<sup>3</sup> represents a group of the formula



in which

A represents O, S or NH,

D represents a methylene or ethylene group, which can be mono- to disubstituted, identically or differently, by methyl, ethyl, fluorine, amino or acetylamino,

E represents a C(O) group,

L represents a C(O) or SO<sub>2</sub> group,

5

G represents an NH group or represents a methylene group,

m, n, o and p independently of one another in each case represent the number 0 or 1,  
with the proviso that

10

in the case that L represents a C=O group, the sum (m+n+o+p) is unequal to the number 0,

and

15

in the case that m and o in each case represent the number 1, A represents the radical NH and L represents a C=O group, the sum (n+p) is unequal to the number 0,

20

and

R<sup>10</sup> represents OR<sup>15</sup>, NR<sup>16</sup>R<sup>17</sup> or represents (C<sub>1</sub>-C<sub>4</sub>)-alkyl, where R<sup>15</sup>, R<sup>16</sup> and R<sup>17</sup> are identical or different and in each case represent hydrogen, phenyl, benzyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, which for their part are optionally mono- to disubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonylamino, (C<sub>1</sub>-C<sub>5</sub>)-alkanoyloxy, a heterocycle or phenyl,

25

30

R<sup>4</sup> and R<sup>5</sup> are identical or different and in each case represent hydrogen, fluorine, chlorine or methyl,

R<sup>6</sup> represents hydrogen, halogen, (C<sub>1</sub>-C<sub>10</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylmethyl, phenyl, benzyl, pyridazinonylmethyl, phenylsulphonyl or pyridylsulphonyl, where the abovementioned aromatic radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, cyano, nitro, trifluoromethyl, methyl, methoxy, carboxyl or methoxycarbonyl,

R<sup>7</sup> represents hydrogen,

R<sup>8</sup> represents hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, phenyl, benzyl, phenylsulphonyl or benzylsulphonyl, where the abovementioned aromatic radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, cyano, trifluoromethyl, methyl or methoxy,

and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of the salts.

Compounds of the general formula (I) which are of particular importance are those in which

Z represents CH<sub>2</sub> or in particular represents oxygen,

R<sup>1</sup> and R<sup>2</sup> are identical or different and represent methyl, ethyl, propyl, isopropyl, chlorine, bromine, CF<sub>3</sub>, vinyl or cyclopropyl, where both substituents are in the ortho position to the bridge bond,

R<sup>4</sup> and R<sup>5</sup> independently of one another represent methyl, fluorine or chlorine or in particular represent hydrogen,

and

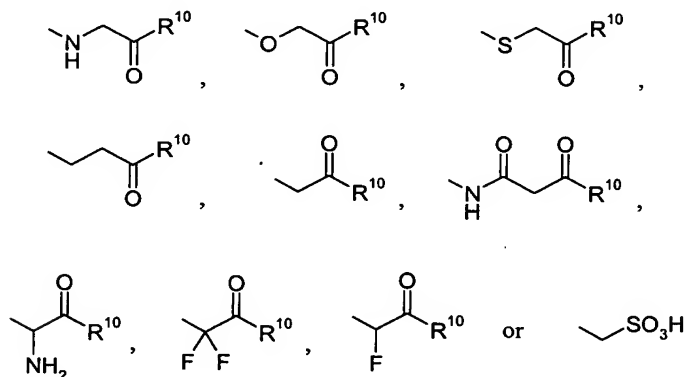
$R^7$  represents hydrogen.

The abovementioned radical definitions which are general or indicated in preferred ranges apply both to the final products of the formula (I) and correspondingly to the starting substances or intermediates needed in each case for preparation.

The radical definitions specifically indicated in the respective combinations or preferred combinations of radicals are arbitrarily also replaced, independently of the respective combinations of the radicals indicated, by radical definitions of other combinations.

Particularly preferred compounds of the formula (I) are those in which Z represents oxygen.

Particularly preferred compounds of the formula (I) are those in which  $R^3$  represents a group of the formula



20

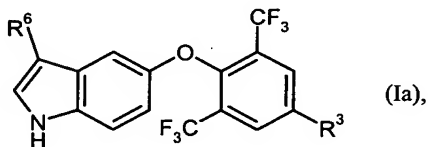
which is located in the para position to the bridge bond and in which  $R^{10}$  represents hydroxyl or the radical  $\text{---C(O)---R}^{10}$  has the indicated meanings of  $R^{10}$  for a group

which, in the sense of a prodrug, can be broken down to the carboxylic acid  $-C(O)-OH$  or its salts.

5 Particularly preferred compounds of the formula (I) are those in which  $R^4$ ,  $R^5$  and  $R^7$  represent hydrogen.

10 Particularly preferred compounds of the formula (I) are those in which  $R^1$  and  $R^2$  are both situated in the ortho position to Z and represent bromine, trifluoromethyl, ethyl, cyclopropyl and in particular represent methyl or chlorine .

10 Very particularly preferred compounds of the formula (Ia)



15 are those in which

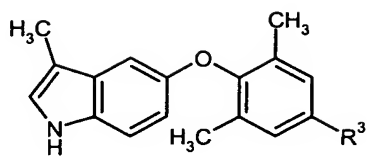
$R^3$  represents a group of the formula  $-CH_2-C(O)-OH$ ,  $-CHF-C(O)-OH$  or  $-CF_2-C(O)-OH$ ,

20 and

$R^6$  represents straight-chain or branched  $(C_1-C_8)$ -alkyl.

25 The following individual compounds may be mentioned by way of example and preferably:

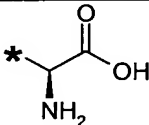
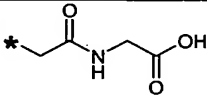
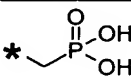
Compounds of the formula 1 in which  $R^3$  has the meanings indicated in Table 1 (\* in the table denotes the linkage site):



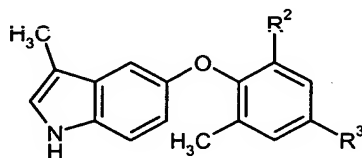
1

**Table 1**

R <sup>3</sup>	R <sup>3</sup>	R <sup>3</sup>	R <sup>3</sup>



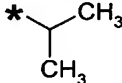
R <sup>3</sup>	R <sup>3</sup>	R <sup>3</sup>	R <sup>3</sup>
			

Individual compounds of the formula 2 in which R<sup>3</sup> in each case has the meanings indicated in Table 1 and R<sup>2</sup>, instead of methyl from the formula 1, for each of the individual compounds 1 to 35 in each case has the meanings indicated in Table 2 for R<sup>2</sup>:



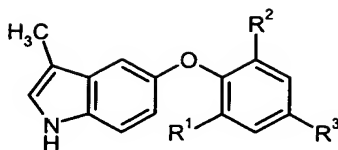
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**Table 2**

R <sup>2</sup>	R <sup>2</sup>	R <sup>2</sup>	R <sup>2</sup>
H	F	Cl	Br
I	*-CH <sub>3</sub>	*-CH <sub>2</sub> CH <sub>3</sub>	*- 
*- 	*- 	*-CF <sub>3</sub>	*-CF <sub>2</sub> H
*-CFH <sub>2</sub>	CN		

10 Individual compounds of the formula 3 in which R<sup>2</sup> and R<sup>3</sup> in each case have the meanings indicated in Tables 1 and 2 and R<sup>1</sup>, instead of methyl from formula 2, for each of the individual compounds 1 to 490 in each case has the meanings indicated in Table 3 for R<sup>1</sup>:





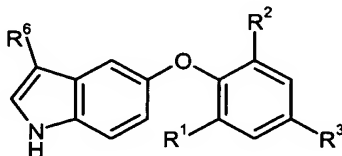
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5 **Table 3**

R <sup>1</sup>	R <sup>1</sup>	R <sup>1</sup>	R <sup>1</sup>
H	F	Cl	Br
I	*-CH <sub>3</sub>	*-CH <sub>2</sub> CH <sub>3</sub>	*-C <sub>3</sub> H <sub>5</sub>
*-CH=CH <sub>2</sub>	*-CH(CH <sub>3</sub> ) <sub>2</sub>	*-CF <sub>3</sub>	*-CF <sub>2</sub> H
*-CFH <sub>2</sub>	CN		

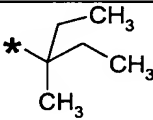
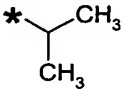
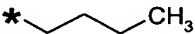
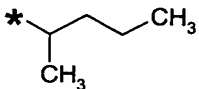
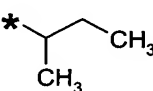
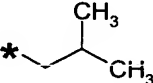
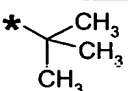
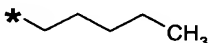
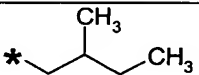
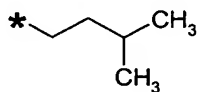
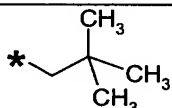
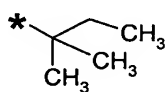
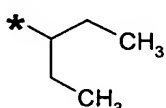
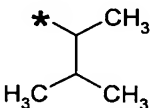

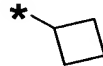
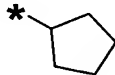
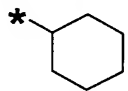

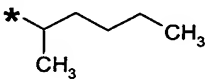
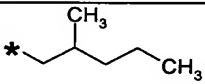
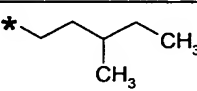
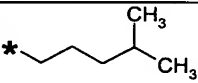
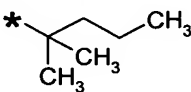
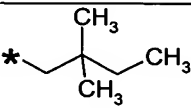
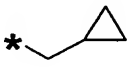
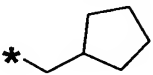
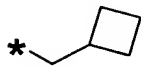
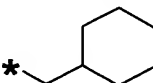
Individual compounds of the formula 4 in which R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> in each case have the meanings indicated in Tables 1, 2 and 3 and R<sup>6</sup>, instead of methyl from formula 3, for each of the individual compounds 1 to 6860 in each case has the meanings indicated in Table 4 for R<sup>6</sup>:

10



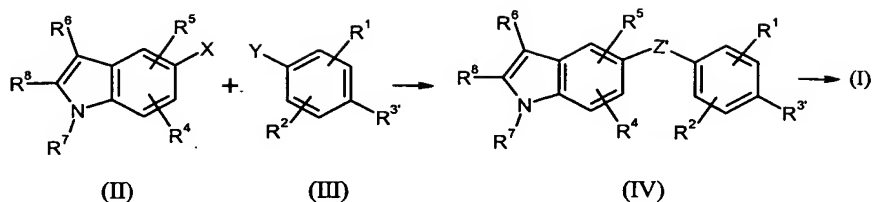
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**Table 4**

R <sup>6</sup>	R <sup>6</sup>	R <sup>6</sup>	R <sup>6</sup>
H	F	Cl	Br
I	*-CH <sub>3</sub>	*-CH <sub>2</sub> CH <sub>3</sub>	*-CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>
			
			*-CF <sub>3</sub>
*-CF <sub>2</sub> H	*-CFH <sub>2</sub>		
			
			
			
			
			

R <sup>6</sup>	R <sup>6</sup>	R <sup>6</sup>	R <sup>6</sup>

The compounds of the general formula (I) according to the invention can be prepared by reacting reactive indole derivatives of the general formula (II) with reactive phenyl derivatives of the general formula (III)



where the substituents  $R^1$ ,  $R^2$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$  and  $R^8$  have the meanings indicated above, and

$R^{3'}$  has the meaning indicated for  $R^3$  or represents  $\text{NO}_2$ ,  $\text{NH}_2$ ,  $\text{NH-PG}$ ,  $\text{OH}$ ,  $\text{O-PG}$ ,  $\text{SH}$ ,  $\text{S-PG}$ , or represents an aldehyde, cyano, carboxyl or  $(\text{C}_1\text{-C}_4)\text{-alkoxy-carbonyl}$  group,

where PG represents a protective group,

$X$  and  $Y$  in each case represent groups of opposite reactivity, where, for example,  $X$  can be an electrophilic radical which reacts with a nucleophilic  $Y$  substituent and vice versa,

$Z'$  has the meaning indicated for  $Z$  or represents  $\text{>CH-OH}$  or  $\text{>C=O}$ ,

if appropriate in the presence of inert solvents and catalysts and if appropriate with isolation of the intermediates of the general formula (IV) or directly to give compounds of the formula (I).

Catalysts which may be mentioned by way of example are coupling catalysts such as Pd, Rh and/or Cu compounds.

Examples of the reactive groups  $X$  and  $Y$  which may be mentioned are: halogen, hydroxyl,  $\text{CH}_2\text{Br}$ , mercapto, amino,  $\text{CHO}$ , Li, magnesium, tin or boron derivatives.

The indoles of the general formula (II) which can be employed according to the invention are known or can be prepared according to known methods [compare, for example, Ozaki et al., *Heterocycles* 51, 727-731 (1999); Harvey et al., *J. Chem. Soc.*, 473 (1959); Quadbeck et al., *Hoppe-Seyler's Z. Physiolog. Chem.* 297, 229 (1954);  
5      Chen et al., *J. Org. Chem.* 59, 3738 (1994); *Synthesis*, 480 (1988); *J. prakt. Chem.* 340, 608 (1998)].

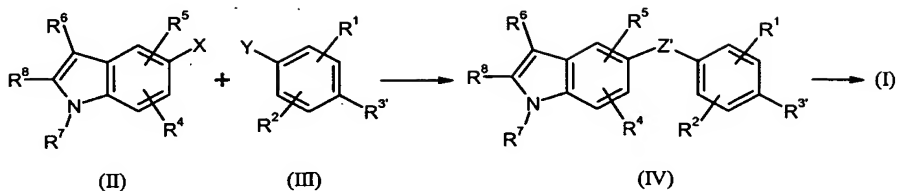
The phenyl derivatives of the general formula (III) are likewise known or can be  
10      prepared according to known methods [compare, for example, van de Bunt, *Recl. Trav. Chim. Pays-Bas* 48, 131 (1929); Valkanas, *J. Chem. Soc.*, 5554 (1963)].

The reaction of the starting compounds (II) with (III) in general proceeds at normal pressure. However, it can also be carried out at elevated or reduced pressure.

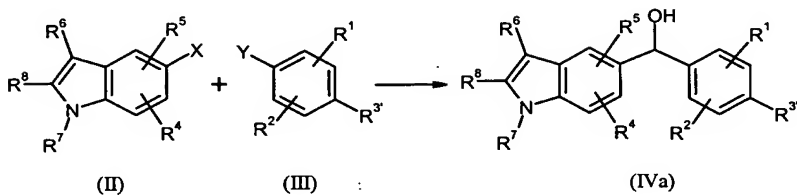
15      The reaction can be carried out in a temperature range from -100°C to 200°C, preferably between -78°C and 150°C, in the presence of inert solvents. Inert solvents which may preferably be mentioned are: dimethylsulphoxide (DMSO), dimethylformamide (DMF), tetrahydrofuran (THF), diethyl ether, dichloromethane etc.

20      Depending on the specific substituent pattern, in the reaction of (II) and (III) intermediates of the formula (IV) can also be formed in which, for example, the substituent R<sup>3'</sup> represents a nitro, aldehyde, cyano, carboxyl or alkoxycarbonyl group or Z' represents a CHOH or C(O) group, which are then further reacted with or  
25      without isolation of these intermediates according to customary methods to give compounds of the formula (I).

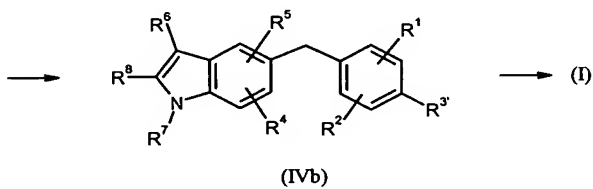
The process according to the invention can be illustrated by way of example by the following reaction schemes:

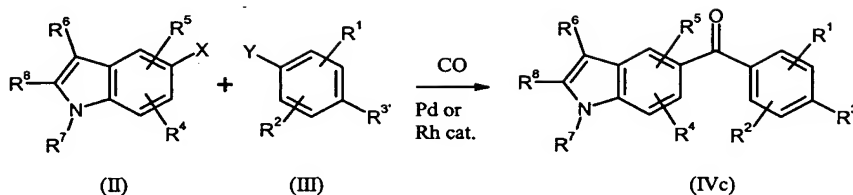
Process variant (A)

$X = F, Cl, Br, I, B(OH)_2$ ;  $Y = OH, SH, NH_2$   
 or  $X = OH, SH, NH_2$ ;  $Y = F, Cl, Br, I, B(OH)_2$

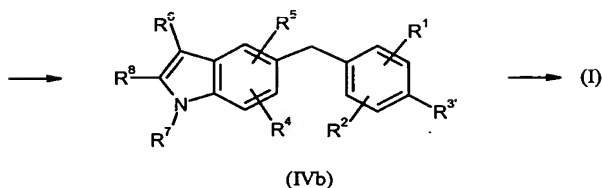
5 Process variant (B)

$X = CHO$ ;  $Y = Li, MgCl, MgBr$   
 or  $X = Li, MgCl, MgBr$ ;  $Y = CHO$



Process variant (C)

X and/or Y = Halogen



- 5 Depending on the meaning of the substituents R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup>, it can be useful or necessary to vary these at individual process stages within the scope of meaning indicated.

10 Protective groups (PG) are understood in the present application as meaning those groups in starting materials, intermediates and/or final products which protect functional groups present such as, for example, carboxyl, amino, mercapto or hydroxyl groups and which are customary in preparative organic chemistry. The groups protected in this way can then be converted into free functional groups in a simple manner under known conditions.

15

The compounds of the formula (I) according to the invention show a surprising and valuable pharmacological spectrum of action and can therefore be employed as versatile medicaments. In particular, they can be employed in all indications which can be treated using natural thyroid hormones, such as by way of example and

preferably, depression, goitre or cancer of the thyroid. Preferably, using the compounds of the formula (I) according to the invention, arteriosclerosis, hypercholesterolaemia, and dyslipidaemia can be treated. Moreover, adiposity and obesity and cardiac insufficiency can also be treated and a postprandial lowering of the triglycerides can be achieved.

The compounds are also suitable for the treatment of certain respiratory tract diseases, namely in particular of pulmonary emphysema and for the medicinal promotion of maturation of the lungs.

The compounds are furthermore suitable for the treatment of Alzheimer's disease.

The compounds are furthermore suitable for the treatment of osteoporosis, cardiac arrhythmias, hypothyroidism and skin diseases.

Moreover, the compounds can also be employed for promotion and regeneration of hair growth and for the treatment of diabetes.

The active compounds according to the invention open up a further treatment alternative and are an enrichment of pharmacy. In comparison to the known and previously employed thyroid hormone preparations, the compounds according to the invention show an improved spectrum of action. They are preferably distinguished by great specificity, good tolerability and lower side effects, in particular in the cardiovascular area.

The efficacy of the compounds according to the invention can be tested, for example, in vitro by the T3 promoter assay cell test described below:

The test is carried out using a stably transfected, human HepG2 hepatocarcinoma cell which expresses a luciferase gene under the control of a thyroid hormone-regulated promoter. The vector used for the transfection carries, ahead of the luciferase gene, a



minimal thymidine kinase promoter having a thyroid hormone-responsive element (TRE), which consists of two inverted palindromes of 12 Bp each and an 8 Bp spacer.

- 5 For the test, the cell cultures are inoculated into 96 well plates in Eagle's Minimal Essential Medium with the following additives: glutamine, tricine [N-(tris-(hydroxymethyl)methyl)glycine], sodium pyruvate, non-essential amino acids (L-Ala, L-Asn, L-Asp, L-Pro, L-Ser, L-Glu, Gly), insulin, selenium and transferrin. The cultures are grown for 48 hours at 37°C and under a 10% CO<sub>2</sub> atmosphere. Serial  
10 dilutions of test substance or reference compound (T3, T4) and costimulator retinolic acid are then added to the test cultures and these are incubated as beforehand for a further 48 or 72 hours. Each substance concentration is tested in four replicates. For the determination of the luciferase induced by T3 or other substances, the cells are then lysed by addition of a Triton- and luciferin-containing buffer (from Promega)  
15 and immediately measured luminometrically. The EC<sub>50</sub> values of each compound are calculated. Representative results for the compounds according to the invention are shown in Table 5:

**Table 5**

20

Example No.	EC <sub>50</sub> [nM]
5	22
6	8
11	0.5
15	4
16	21

The compounds according to the invention also show surprisingly advantageous properties in the in vivo test described below:

- 25 Test description for the discovery of pharmacologically active substances which

reduce serum cholesterol in mice:

The substances which are to be investigated for their serum cholesterol-lowering action in vivo are administered orally to male mice having a bodyweight of between 25 and 35 g. The animals are divided into groups having an identical number of animals, as a rule  $n = 7-10$ , one day before the start of the experiment. During the entire experiment, drinking water and feed is available ad libitum to the animals. The substances are administered orally once daily for 7 days. For this purpose, the test substances are dissolved in a solution of Solutol HS 15 + ethanol + sodium chloride solution (0.9%) in a ratio 1 + 1 + 8 or in a solution of Solutol HS 15 + sodium chloride solution (0.9%) in the ratio 2 + 8. The dissolved substances are administered in a volume of 10 ml/kg of bodyweight using a stomach tube. As a control group, animals are used which have been treated exactly the same, but only receive the solvent (10 ml/kg of bodyweight) without test substance.

Before the first substance administration, blood is taken from each mouse for the determination of the serum cholesterol by puncture of the retroorbital venous plexus (preliminary value). The test substance is then administered to the animals for the first time using a stomach tube. 24 hours after the last substance administration (on the 8th day after the start of treatment), blood is again taken from each animal for the determination of the serum cholesterol by puncture of the retroorbital venous plexus. The blood samples are centrifuged and, after recovering the serum, the cholesterol is determined photometrically using an EPOS analyser 5050 (Eppendorf-Gerätebau, Netheler & Hinz GmbH, Hamburg). The determination is carried out using a commercially available enzyme test (Boehringer Mannheim, Mannheim).

The action of the test substances on the serum cholesterol concentration is determined by subtraction of the cholesterol value of the 1st blood sample (preliminary value) from the cholesterol value of the 2nd blood sample (after treatment). The differences of all cholesterol values of a group are averaged and compared with the average value of the differences of the control group.

Statistical analysis is carried out using Student's t test after prior checking of the variants for homogeneity.

- 5 Substances which statistically significantly ( $p < 0.05$ ) lower the serum cholesterol of the treated animals, compared with the control group, by at least 10% are regarded as pharmacologically active.

10 A further in vivo test in which the compounds according to the invention show surprisingly advantageous properties is the cholesterol-fed rat animal model [A. Taylor et al., Molecular Pharmacology 52, 542-547 (1997); Z. Stephan et al., Atherosclerosis 126, 53-63 (1996)].

15 All customary administration forms are suitable for the administration of the compounds of the general formula (I), i.e. oral, parenteral, inhalatory, nasal, sublingual, buccal, rectal or external such as, for example, transdermal, in particular preferably oral or parenteral. In the case of parenteral administration, intravenous, intramuscular or subcutaneous administration may be mentioned in particular, e.g. as a subcutaneous depot. Oral administration is very particularly preferred.

20 In this case, the active compounds can be administered on their own or in the form of preparations. For oral administration, suitable preparations are, inter alia, tablets, capsules, pellets, coated tablets, pills, granules, solid and liquid aerosols, syrups, emulsions, suspensions and solutions. The active compound must be present here in  
25 such an amount that a therapeutic action is achieved. In general, the active compound can be present in a concentration of 0.1 to 100% by weight, in particular 0.5 to 90% by weight, preferably 5 to 80% by weight. In particular, the concentration of the active compound should be 0.5-90% by weight, i.e. the active compound should be present in amounts which are sufficient to achieve the dosage range indicated.

30 For this purpose, the active compounds can be converted into the customary

preparations in a known manner. This is carried out using inert, non-toxic, pharmaceutically suitable vehicles, excipients, solvents, vehicles, emulsifiers and/or dispersants.

- 5        Excipients which may be mentioned are, for example: water, non-toxic organic solvents such as, for example, paraffins, vegetable oils (e.g. sesame oil), alcohols (e.g. ethanol, glycerol), glycols (e.g. polyethylene glycol), solid carriers such as ground natural or synthetic minerals (e.g. talc or silicates), sugars (e.g. lactose), emulsifiers, dispersants (e.g. polyvinylpyrrolidone) and lubricants (e.g. magnesium sulphate).
- 10

In the case of oral administration, tablets can, of course, also contain additives such as sodium citrate together with additional substances such as starch, gelatin and the like. Aqueous preparations for oral administrations can furthermore be mixed with

15        flavour enhancers or colorants.

In the case of oral administration, doses of 0.001 to 5 mg/kg, preferably 0.001 to 3 mg/kg, of bodyweight are preferably administered every 24 hours.

- 20        The new active compounds can be administered on their own and, if required, also in combination with other active compounds, preferably from the group consisting of CETP inhibitors, antidiabetics, antioxidants, cytostatics, calcium antagonists, hypotensive agents, thyroid hormones, inhibitors of HMG-CoA reductase, inhibitors of HMG-CoA reductase gene expression, squalene synthesis inhibitors, ACAT
- 25        inhibitors, circulation-promoting agents, platelet aggregation inhibitors, anticoagulants, angiotensin II receptor antagonists, cholesterol absorption inhibitors, MTP inhibitors, fibrates, niacin, anorectics, lipase inhibitors and PPAR agonists.

The following working examples are intended to illustrate the invention by way of

30        example without restrictive action on the scope of protection.

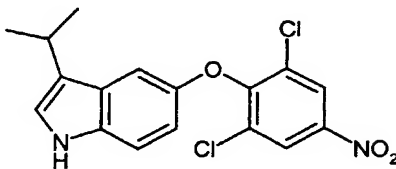
**Abbreviations used:**

TLC	Thin-layer chromatography
DCI	Direct chemical ionization (in MS)
DMF	<i>N,N</i> -Dimethylformamide
DMSO	Dimethyl sulphoxide
EI	Electron impact ionization (in MS)
HPLC	High-pressure, high-performance liquid chromatography
conc.	concentrated
MS	Mass spectroscopy
NMP	N-Methylpyrrolidinone
NMR	Nuclear magnetic resonance spectroscopy
R <sub>f</sub>	Retention index (in TLC)
R <sub>t</sub>	Retention time (in HPLC)
THF	Tetrahydrofuran
aq.	aqueous
dec.	decomposition

**Starting compounds:****Example I**

5-(2,6-Dichloro-4-nitrophenoxy)-3-isopropyl-1H-indole

5



5 g of 5-hydroxy-3-isopropylindole are dissolved in 10 ml of THF and treated with 3.2 g of potassium *tert*-butoxide. The reaction mixture is stirred for 20 minutes at room temperature and the solvent is removed in vacuo. The phenoxide is dissolved in 10 ml of DMF and added dropwise to 6.46 g of 1,2,6-trichloro-4-nitrobenzene in 10 ml of DMF at 0°C. The reaction mixture is stirred for 30 minutes at 0°C and slowly allowed to warm to room temperature. The reaction mixture is poured onto water, extracted with ethyl acetate, dried over sodium sulphate and the solvent is removed in vacuo. Chromatographic purification (cyclohexane/ethyl acetate) affords 663 mg of 5-(2,6-dichloro-4-nitrophenoxy)-3-isopropyl-1H-indole.

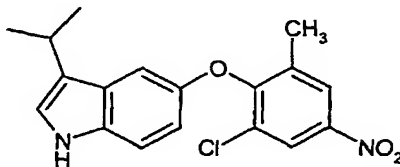
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<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.30, d, 6H; 3.09, sept., 1H; 6.79, dd, 1H; 6.99, m, 2H; 7.31, s, 1H; 7.89, s, broad, 1H; 8.32, s, 2H.

20

**Example II**

5-(2-Chloro-6-methyl-4-nitrophenoxy)-3-isopropyl-1H-indole



5

4.4 g of 5-hydroxy-3-isopropylindole are dissolved in 10 ml of THF and treated at room temperature with 2.82 g of potassium *tert*-butoxide. The mixture is stirred for 30 minutes at room temperature and concentrated in a rotary evaporator. The phenoxide is dissolved in DMF, treated at 0°C with 5.17 g of 1,2-dichloro-4-nitro-5-methylbenzene and stirred for 30 minutes at 0°C. The mixture is stirred for 15 minutes at room temperature and subsequently 1 hour at 50°C. The reaction mixture is allowed to cool, poured onto water, extracted twice with ether and the combined organic phases are washed twice with water. The aqueous phases are extracted with dichloromethane, the combined organic phases are concentrated in a rotary evaporator and the residue is purified chromatographically (cyclohexane/ethyl acetate). 6.65 g of 5-(2-chloro-6-methyl-4-nitrophenoxy)-3-isopropyl-1H-indole are obtained.

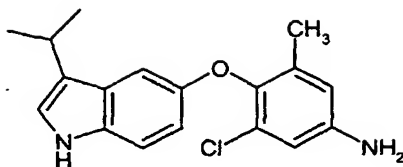
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<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.28, d, 6H; 2.31, s, 3H; 3.07, sept., 1H; 6.75, dd, 1H; 6.92, m, 1H; 6.99, m, 1H; 7.29, s, 1H; 7.87, s, broad, 1H.

20

**Example III**

3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylaniline

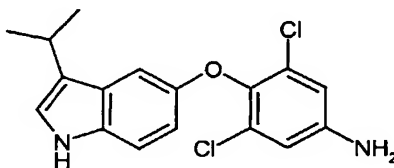


500 mg of 5-(2-chloro-6-methyl-4-nitrophenoxy)-3-isopropyl-1H-indole (Example II) are suspended in 10 ml of ethanol and hydrogenated with 50 mg of palladium on active carbon (10%) at atmospheric pressure for 2 hours. The mixture is filtered through kieselguhr, the solvent is removed in vacuo and the product is purified by chromatography (cyclohexane/ethyl acetate). 271 mg of 3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylaniline are obtained.

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.29, d, 6H; 2.11, s, 3H; 3.07, sept., 1H; 3.61, s, broad, 2H; 6.50, dd, 1H; 6.66, dd, 1H; 6.78, dd, 1H; 6.94, d, 2H; 7.20, s, 1H; 7.25, m, 1H; 7.78, s, broad, 1H.

#### Example IV

3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]aniline



500 mg of 5-(2,6-dichloro-4-nitrophenoxy)-3-isopropyl-1H-indole (Example I) are stirred with 6.18 g of tin(II) chloride dihydrate in 5 ml of NMP for 17 hours at 50°C. The solvent is removed in vacuo and the residue is taken up in ethyl acetate. The mixture is washed with saturated ammonium chloride solution and saturated sodium chloride solution, the organic phase is dried and the solvent is removed in vacuo. The product is precipitated with ether. By chromatographic purification

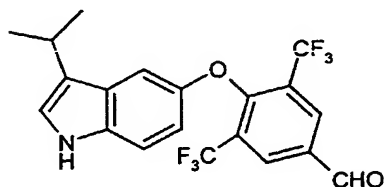


(cyclohexane/ethyl acetate) of the solid, 174 mg of 3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]aniline are obtained.

<sup>1</sup>H-NMR (300 MHz, DMSO-d<sub>6</sub>): δ = 1.21, d, 6H; 2.95, sept., 1H; 5.56, s, 2H; 6.63, dd, 1H; 6.71, s, 2H; 6.75, m, 1H; 7.06, d, 1H; 7.24, d, 1H.

### Example V

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzaldehyde



10

12.8 g (70.27 mmol) of 5-hydroxy-3-isopropyl-indole are dissolved in 275.8 ml of DMSO, 10.68 g (77.3 mmol) of potassium carbonate are introduced in solid form, the mixture is stirred for 10 minutes at room temperature and afterwards 19.43 g (70.27 mmol) of 3,5-bis(trifluoromethyl)-4-chlorobenzaldehyde are introduced in portions. After stirring at 50°C for 3 hours the batch is poured onto a mixture of 400 ml of ethyl acetate and 250 ml of saturated ammonium chloride solution. After phase separation, the aqueous phase is extracted again with ethyl acetate, and the combined organic phases are washed twice with sodium chloride solution and dried over sodium sulphate. After removing the drying agent and distilling off the solvent the crude product is chromatographed on silica gel 60 (Merck 0.040 – 0.063 mm) using toluene.

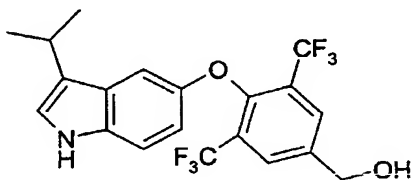
Yield: 18.55 g (56.6%)  
 MS (DCI): 450 ([M+NH<sub>3</sub>+NH<sub>4</sub>]<sup>+</sup>, 100%)  
 R<sub>f</sub>: 0.75 (toluene:ethyl acetate = 8 : 2)

25

$^1\text{H-NMR}$  (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  = 1.25, d, 6H; 3.04, quin, 1H; 6.73, dd, 1H; 6.87, d, 1H; 6.96, d, 1H; 7.22, d, 1H; 7.85, broad s, 1H; 8.45, s, 2H; 10.11, s, 1H.

### Example VI

5 4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzyl alcohol



10 0.27 g (7.22 mmol) of sodium borohydride is added to a solution of 1.0 g (2.41 mmol) of aldehyde derivative from Example V in 20 ml of methanol in 4 portions at room temperature and the mixture is stirred for 1 hour. Afterwards the reaction solution is concentrated to one half, 60 ml of water are added and the mixture is concentrated until methanol is completely evaporated in a rotary evaporator. The aqueous phase is extracted three times with ethyl acetate, the

15 combined organic phases are washed with sodium chloride solution, dried and concentrated, and the residue is dried in a high vacuum.

Yield: 0.996 g (96.8%)

MS (ESI): 418 ( $[\text{M}+\text{H}]^+$ , 35%)

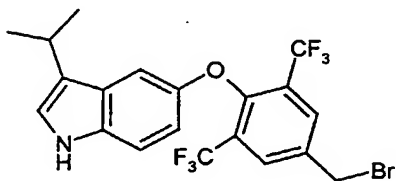
HPLC:  $R_t$  = 4.97 (97.7%)

20 0.5%  $\text{HClO}_4$  / acetonitrile  
Kromasil column C18 (60 x 2 mm)  
flow: 0.75 ml / minute; 210 nm

25  $^1\text{H-NMR}$  (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  = 1.28, d, 6H; 1.96, t, 1H; 3.04, quin, 1H; 4.87, d, 2H; 6.72, dd, 1H; 6.85, d, 1H; 6.93, d, 1H; 7.2, d, 1H; 7.78, broad s, 1H; 7.94, s, 2H.

**Example VII**

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzyl bromide



5

1.273 g (3.02 mmol) of triphenylphosphine dibromide are added under argon in portions at 0°C to a solution of 0.97 g (2.32 mmol) of benzyl alcohol derivative from Example VI in 15 ml of acetonitrile and 0.3 ml (3.72 mmol) of pyridine. After 15 minutes the cooling bath is removed and the mixture is stirred for 2 hours at room temperature. The reaction solution is concentrated in vacuo, and the residue is dissolved in a little toluene and purified by chromatography on silica gel 60 by means of toluene.

10

Yield: 611 mg (54.7%)

MS (EI): 481 ([M]<sup>+</sup>, 60%)

15

HPLC: R<sub>t</sub> = 5.30 (80.7%)0.5% HClO<sub>4</sub> / acetonitrile

Kromasil column C18 (60 x 2 mm)

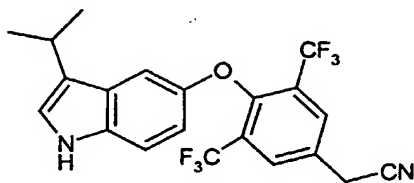
flow: 0.75 ml / minute; 210 nm

20

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.28, d, 6H; 3.06, quin, 1H; 4.56, s, 2H; 6.70, dd, 1H; 6.88, d, 1H; 6.95, d, 1H; 7.23, d, 1H; 7.8, broad s, 1H; 8.0, s, 2H.

**Example VIII**

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-phenylacetonitrile



5

72.9 mg (1.49 mmol) of sodium cyanide are added at 50°C to a solution of 0.57 g (1.19 mmol) of benzyl bromide from Example VII in 3.5 ml of dimethylformamide and 0.38 ml of water and the mixture is stirred for 60 minutes at 50°C. Dimethylformamide is subsequently distilled off, the concentrate is diluted with ethyl acetate and water, and the aqueous phase is separated off and extracted again with ethyl acetate. The combined organic phases are washed with sodium chloride solution, dried over sodium sulphate, filtered and concentrated. The purification of the crude product is carried out on silica gel 60 by means of toluene/ethyl acetate (toluene, toluene/ethyl acetate = 18:1 and 18:1.5).

15

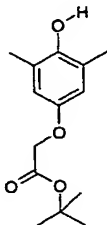
Yield: 374 mg (73.9%)  
 MS (EI): 426 ( $[M]^+$ , 60%)  
 $R_f$ : 0.51 (toluene:ethyl acetate = 9:1)

20

$^1\text{H-NMR}$  (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  = 1.28, d, 6H; 3.06, quin, 1H; 3.93, s, 2H; 6.72, dd, 1H; 6.84, d, 1H; 6.96, d, 1H; 7.23, d, 1H; 7.82, broad s, 1H; 7.9, s, 2H.

**Example IX**

*tert*-Butyl (4-hydroxy-3,5-dimethylphenoxy)acetate



5

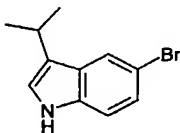
10 g of dimethylhydroquinone are dissolved in 750 ml of a mixture of 40% DMF and 60% THF and treated with 117 g of caesium carbonate. 14.1 g of *tert*-butyl bromoacetate are added dropwise at  $-25^{\circ}\text{C}$  and the reaction mixture is stirred for 17 hours at room temperature. After addition of 10 g of potassium carbonate the reaction mixture is stirred for 24 hours at room temperature, poured onto water and extracted twice with ethyl acetate. The combined organic phases are washed with NaCl solution, dried over sodium sulphate and the solvent is removed in vacuo. By chromatographic purification (cyclohexane/ethyl acetate) 1.27 g of *tert*-butyl (4-hydroxy-3,5-dimethylphenoxy)acetate are obtained.

15

$^1\text{H-NMR}$  (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  = 1.42, s, 9H; 2.11, s, 6H; 4.47, s, 2H; 6.48, s, 2H; 7.74, s, 1H.

**Example X**

20 5-Bromo-3-isopropyl-1H-indole

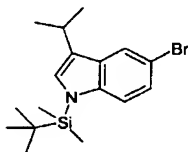


10 g of bromophenylhydrazine hydrochloride are suspended in 50 ml of acetic acid and treated dropwise at 80°C with 3.85 g of 3-methylbutyraldehyde. The reaction mixture is stirred for 3 hours at reflux, allowed to cool and the solvent is removed in vacuo. The residue is taken up in ethyl acetate, extracted with water, the aqueous phase is extracted with ethyl acetate, the combined organic phases are washed with water and sodium carbonate solution, dried over sodium sulphate and the solvent is removed in vacuo. Chromatographic purification (cyclohexane/ethyl acetate) affords 8.6 g of 5-bromo-3-isopropyl-1H-indole.

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.35, d, 6H; 3.15, sept., 1H; 6.96, d, 1H; 7.24, m, 2H; 7.77, d, 1H; 7.89, s, broad, 1H.

#### Example XI

5-Bromo-1-[tert-butyl(dimethyl)silyl]-3-isopropyl-1H-indole

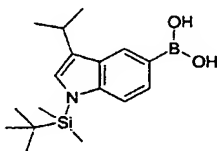


0.50 g (12.6 mmol) of 60% strength sodium hydride in paraffin oil is introduced under argon into 20 ml of THF at room temperature. A solution of 2.0 g (8.40 mmol) of 5-bromo-3-isopropyl-1H-indole (Example X) in 20 ml of THF is added dropwise and the mixture is stirred until evolution of gas can no longer be detected. 2.03 g (13.44 mmol) of tert-butyl(chloro)dimethylsilane are subsequently added dropwise. After a short reaction time a precipitate deposits. The batch is stirred for 3 h at room temperature. It is treated with 200 ml of water. The aqueous phase is extracted twice with ethyl acetate, and the combined org. phases are dried and concentrated in a rotary evaporator. The residue is chromatographed on silica gel (eluent: cyclohexane). 2.63 g (89%) of 5-bromo-1-[tert-butyl(dimethyl)silyl]-3-isopropyl-1H-indole are obtained.

$^1\text{H-NMR}$  (200 MHz,  $\text{CDCl}_3$ ):  $\delta$  = 0.58, s, 6H; 0.89, s, 9H; 1.33, d, 6H; 3.12, sept., 1H; 6.88, s, 1H; 7.20, dd, 1H; 7.32, d, 1H; 7.71, d, 1H.

5 **Example XII**

1-[tert-Butyl(dimethyl)silyl]-3-isopropyl-1H-indol-5-yl-boronic acid



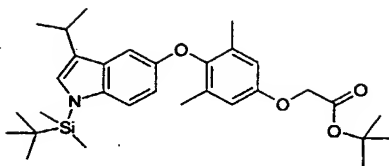
- 10 1.30 g (3.69 mmol) of 5-bromo-1-[tert-butyl(dimethyl)silyl]-3-isopropyl-1H-indole (Example XI) dissolved under argon in 10 ml of THF are introduced at  $-78^\circ\text{C}$ . 2.50 ml (4.24 mmol) of a 1.6 N tert-butyllithium solution in n-hexane are added dropwise. The mixture is stirred for 30 min at  $-78^\circ\text{C}$ . 1.70 ml (7.38 mmol) of triisopropyl borate are subsequently added dropwise. The batch is stirred for 2 h at  $-78^\circ\text{C}$ .
- 15 It is subsequently treated with 4 ml of water. The aqueous phase is extracted three times with diethyl ether, and the combined org. phases are dried and concentrated in a rotary evaporator. The residue is purified chromatographically (eluent: cyclohexane, cyclohexane/ethyl acetate 5:1, 3:1). 0.68 g (58%) of 1-[tert-butyl(dimethyl)silyl]-3-isopropyl-1H-indol-5-yl-boronic acid is obtained.

20

$^1\text{H-NMR}$  (200 MHz,  $\text{CDCl}_3$ ):  $\delta$  = 0.65, s, 6H; 0.93, s, 9H; 1.48, d, 6H; 3.37, sept., 1H; 6.93, s, 1H; 7.62, d, 1H; 8.08, d, 1H; 8.64, s, 1H.  
MS (ESI): 318 (M+H).

25 **Example XIII**

tert-Butyl [4-({1-[tert-butyl(dimethyl)silyl]-3-isopropyl-1H-indol-5-yl}oxy)-3,5-dimethylphenoxy]acetate



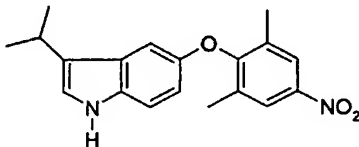
0.50 g (1.58 mmol) of 1-[tert-butyl(dimethyl)silyl]-3-isopropyl-1H-indol-5-yl-  
 5 boronic acid (Example XII), 0.437 g (173 mmol) of *tert*-butyl-(4-hydroxy-3,5-dimethylphenoxy)acetate (Example IX), 0.286 g (1.58 mmol) of copper(II) acetate and 0.50 g of molecular sieve (4Å, powdered) are suspended in 10 ml of dried dichloromethane. 0.64 ml (7.88 mmol) of pyridine and 1.10 ml (7.88 mmol) of triethylamine are added dropwise at room temperature. The batch is stirred overnight  
 10 at room temperature. The batch is subsequently filtered through silica gel and washed with dichloromethane. The filtrate is concentrated and the residue is filtered through silica gel (dichloromethane). 0.525 g (62%) of *tert*-butyl-[4-({1-[tert-butyl-(dimethyl)silyl]-3-isopropyl-1H-indol-5-yl}oxy)-3,5-dimethylphenoxy]acetate is obtained.

15

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 0.54, s, 6H; 0.89, s, 9H; 1.27, d, 6H; 1.50, s, 9H; 2.12, s, 6H; 3.01, sept, 1H; 4.50, s, 2H; 6.63, s, 3H; 6.83, dd, 2H; 7.29, d, 1H.

#### **Example XIV**

20 3-Isopropyl-5-(4-nitro-2,6-dimethyl-phenoxy)-1H-indole





11.44 g (58.76 mmol) of 5-hydroxy-3-isopropyl-indole are dissolved in 350 ml of DMSO, 8.93 g (64.63 mmol) of potassium carbonate in solid form are introduced and subsequently 9.94 g (58.76 mmol) of 3,5-dimethyl-4-fluoronitrobenzene are added. The reaction solution is stirred for 2 hours at 100°C under argon. Afterwards it is cooled to room temperature, 100 ml of ethyl acetate and 600 ml of H<sub>2</sub>O are added; ethyl acetate is separated off after phase separation and the aqueous phase is re-extracted twice with ethyl acetate. The combined organic phases are washed twice with sodium chloride solution, dried over sodium sulphate, filtered and concentrated to dryness. The residue is purified by chromatography on silica gel by means of cyclohexane/ethyl acetate (10:1).

Yield: 11.96 g (62.8%)

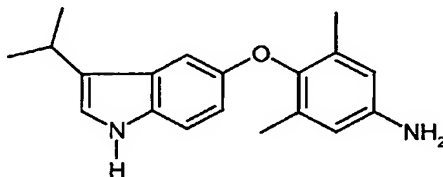
MS (DCI): 342 ([M+NH<sub>4</sub>]<sup>+</sup>, 100%)

R<sub>f</sub>: 0.26 (cyclohexane:ethyl acetate = 8:2)

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.28 (d, 6H); 2.24 (s, 6H); 3.05 (quin, 1H); 6.72 (dd, 1H); 6.84 (d, 1H); 6.99 (d, 1H); 7.27 (d, 1H); 7.87 (s, 1H); 8.03 (s, 2H).

### Example XV

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-dimethyl-phenylamine



11.95 g (36.85 mmol) of nitro compound from Example XIV are hydrogenated in 500 ml of methanol/ethanol mixture using 550 mg of palladium/active carbon (10%) at 3 bar. The mixture is filtered through kieselguhr, the solvent is removed in vacuo and the product is purified by chromatography (toluene/ethyl acetate).

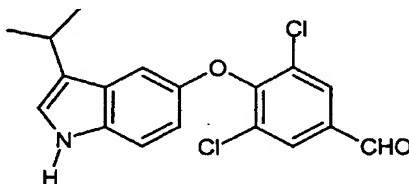
Yield: 10.75 g (97.9%)

MS (DCI): 295 ( $[M+H]^+$ , 100%)  
R<sub>f</sub>: 0.36 (toluene : ethyl acetate = 9:1)  
HPLC: R<sub>t</sub> = 4.15 (98.9%)  
0,5% HClO<sub>4</sub>/acetonitrile  
5 Kromasil column C18 (60x2 mm)  
flow: 0.75 ml /min; 210 nm

**Example XVI**

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-dichloro-benzaldehyde

10



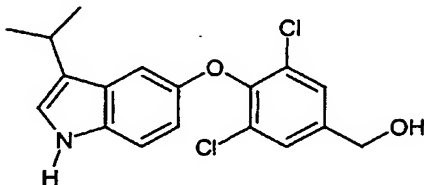
15 Analogously to the procedure of Example V, 10.0 g (57.07 mmol) of 5-hydroxy-3-isopropylindole are dissolved in 300 ml of DMSO, 8.68 g (62.77 mmol) of potassium carbonate are added, the mixture is stirred for 10 min at room temperature and 11.95 g (57.07 mmol) of 4,5,6-trichlorobenzaldehyde are introduced in portions, and the mixture is additionally stirred for 2 hours at room temperature and 2 hours at 50°C. After quenching with ethyl acetate/ammonium chloride solution and silica gel chromatography by means of toluene, 12,01 g (85,4%) of the desired product are  
20 obtained.

MS (CI-POS): 348 ( $[M+H]^+$ , 100%)  
R<sub>f</sub>: 0.60 (toluene : ethyl acetate = 9:1)

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.29 (d, 6H); 3.08 (quin, 1H); 6.78 (dd, 1H); 6.99 (dd, 2H); 7.27 (d, 1H); 7.85 (broad s, 1H); 7.92 (s, 2H); 9.95 (s, 1H).  
25

**Example XVII**

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-dichlorobenzyl alcohol



5

Preparation is carried out in analogy to the procedure of Example VI from 5.0 g (12.2 mmol) of aldehyde derivative from Example XVI by means of 1.39 g (36.61 mmol) of sodium borohydride.

Yield: 4.62 g (100%)

10 MS (CI-POS): 350 ( $[M+H]^+$ , 100%)

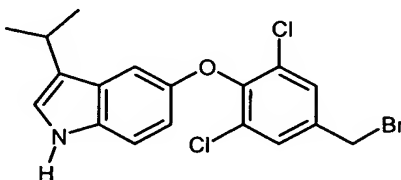
R<sub>f</sub>: 0.16 (toluene : ethyl acetate = 9:1)

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  = 1.29 (d, 6H); 1.83 (weak t, 1H); 3.08 (quin, 1H); 4.71 (d, 2H); 6.8 (dd, 1H); 6.95 (d, 1H); 6.99 (d, 1H); 7.23 (d, 1H); 7.42 (s, 2H); 7.82 (broad s, 1H).

15

**Example XVIII**

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-dichlorobenzyl bromide



20

Analogously to the procedure of Example VII, 4.8 g (12.66 mmol) of benzyl alcohol derivative from Example XVII are reacted with 6.95 g (16.46 mmol) of dibromotriphenylphosphorane and 1.6 g (20.26 mmol) of pyridine in 80 ml of acetonitrile.

Yield: 2.03 g (35.5%)

5 MS (CI-POS): 413 ( $[M+H]^+$ , 57%)

HPLC:  $R_t$  = 5.62 (91.4%)

0.5%  $HClO_4$ /acetonitrile

Kromasil column C18 (60 x 2 mm)

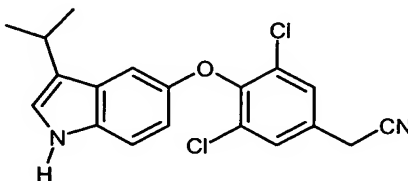
flow: 0.75 ml / min; 210 nm

10

$^1H$ -NMR (300 MHz,  $CDCl_3$ ):  $\delta$  = 1.3 (d, 6H); 3.1 (quin, 1H); 4.43 (s, 2H); 6.77 (dd, 1H); 6.97 (s, 1H); 7.02 (d, 1H); 7.24 (d, 1H); 7.43 (s, 2H); 7.82 (broad s, 1H).

### Example XIX

15 4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-dichlorophenylacetonitrile



20 Analogously to the procedure of Example VIII, 1.0 g (2.42 mmol) of benzyl bromide from Example XVIII is reacted with 0.15 g (3.03 mmol) of sodium cyanide in DMF/ $H_2O$  (10:1) at 50°C in 60 min. After isolation of the crude product (distilling off DMF and quenching with ethyl acetate/water), chromatography is carried out on silica gel 60 by means of toluene.

Yield: 0.763 g (65.4%)

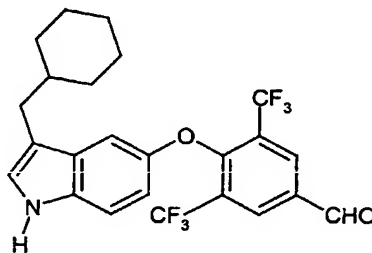
25 MS (DCI): 359 ( $[M+H]^+$ , 67%)

$R_f$ : 0.47 (toluene : ethyl acetate = 9:1)

$^1\text{H-NMR}$  (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  = 1.3 (d, 6H); 3.09 (quin, 1H); 3.78 (s, 2H); 6.78 (dd, 1H); 6.97 (d, 2H); 7.25 (d, 1H); 7.4 (s, 2H); 7.85 (broad s, 1H).

**Example XX**

5 4-(3-Cyclohexylmethyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzaldehyde



10 Analogously to the procedure of Example V, 2.0 g (8.72 mmol) of 5-hydroxy-3-cyclohexylmethyl-indole are dissolved in 50 ml of DMSO, 1.33 g (9.59 mmol) of potassium carbonate are added, the mixture is stirred for 10 min at room temperature and afterwards 2.41 g (8.72 mmol) of 3,5-bis-trifluoromethyl-4-chlorobenzaldehyde are introduced in portions. After stirring overnight at 50°C, the batch is worked up analogously to Example V and the crude product is chromatographed on silica gel 60  
15 by means of toluene.

Yield: 2.23 g (49.8%)

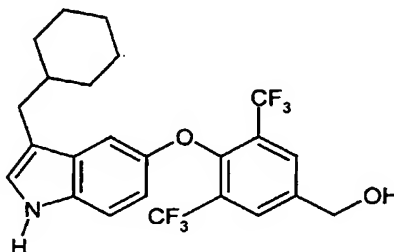
MS (DCI): 504 ( $[\text{M}+\text{NH}_3+\text{NH}_4]^+$ , 100%)

$R_f$ : 0.57 (toluene : ethyl acetate = 9:1)

20  $^1\text{H-NMR}$  (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  = 0.91 (m, 2H); 1.15 (m, 4H); 1.5 (m, 1H); 1.66 (m, 4H); 2.5 (d, 2H); 6.71 (dd, 1H); 6.82 (d, 1H); 6.97 (d, 1H); 7.22 (d, 1H); 7.89 (broad s, 1H); 8.46 (s, 2H); 10.11 (s, 1H).

**Example XXI**

4-(3-Cyclohexylmethyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzyl alcohol



5

Preparation is carried out in analogy to the procedure of Example VI from 2.20 g (4.29 mmol) of aldehyde derivative from Example XX with 0.49 g (12.86 mmol) of sodium borohydride.

Yield: 2.05 g (100%)

10 MS (ESI): 4.72 ( $[M+H]^+$ , 100%)

HPLC:  $R_t = 5.34$  (98,4%)

0.5%  $\text{HClO}_4$ /acetonitrile

Kromasil column C18 (60 x 2 mm)

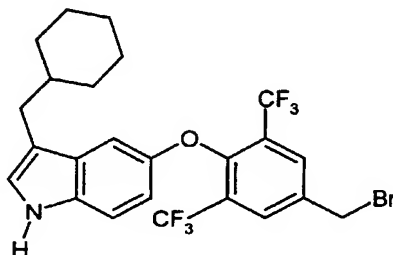
flow: 0.75 ml / min; 210 nm

15

$^1\text{H-NMR}$  (200 MHz,  $\text{CDCl}_3$ ):  $\delta = 0.9$  (m, 2H); 1.13 (m, 4H); 1.5 (m, 1H); 1.63 (m, 4H); 1.95 (t, 1H); 2.5 (d, 2H); 4.88 (d, 2H); 6.7 (dd, 1H); 6.81 (d, 1H); 6.93 (d, 1H); 7.2 (d, 1H); 7.83 (broad s, 1H); 7.94 (s, 2H).

**Example XXII**

4-(3-Cyclohexylmethyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzyl bromide



5

Preparation is carried out in analogy to the procedure of Example VII from 2.0 g (4.18 mmol) of benzyl alcohol derivative from Example XXI and 2.82 g (6.69 mmol) of dibromotriphenylphosphorane in 40 ml of acetonitrile. After stirring for 3 hours at room temperature, 0.3 equivalent of dibromotriphenylphosphorane is again added. The mixture is additionally stirred for 5 hours at 70°C and afterwards overnight at room temperature. The purification of the product is carried out on silica gel using toluene as eluent.

10

Yield: 0.96 g (40.2%)

MS (ESI): 534 ( $[M+H]^+$ , 100%)

15

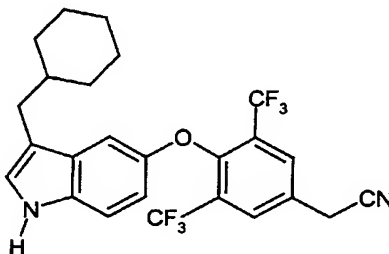
 $R_f$  0.76 (toluene:ethyl acetate = 9:1)

$^1\text{H-NMR}$  (200 MHz,  $\text{CDCl}_3$ ):  $\delta$  = 0.92 (m, 2H); 1.16 (m, 4H); 1.5 (m, 1H); 1.66 (m, 4H); 2.5 (d, 2H); 4.58 (s, 2H); 6.69 (dd, 1H); 6.83 (d, 1H); 6.95 (d, 1H); 7.21 (d, 1H); 7.35 (broad s, 1H); 7.95 (s, 2H).

20

**Example XXIII**

4-(3-cyclohexylmethyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-phenylacetonitrile



5

Preparation is carried out in analogy to the procedure of Example VIII from 0.85 g (1.59 mmol) of benzyl bromide from Example XXII with 0.1 g (1.99 mmol) of sodium cyanide in 5 ml of dimethylformamide and 0.5 ml of water at 50°C in 1.5 hours. The chromatography of the crude product is carried out on silica gel 60 by means of toluene.

10

Yield: 0.32 g (37.7%)

MS (ESI): 481 ([M+H]<sup>+</sup>, 100%)HPLC: R<sub>t</sub> = 5.67 (90,0%)0.5% HClO<sub>4</sub> / acetonitrile

15

Kromasil column C18 (60 x 2 mm)

flow: 0.75 ml / min; 210 nm

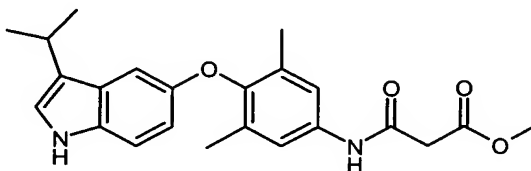
<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 0.92 (m, 2H); 1.16 (m, 4H); 1.5 (m, 1H); 1.67 (m, 4H); 2.5 (d, 2H); 3.92 (s, 2H); 6.69 (dd, 1H); 6.8 (d, 1H); 6.95 (d, 1H); 7.22 (d, 1H); 7.84 (broad s, 1H); 7.91 (s, 2H).

20



**Preparation examples****Example 1**

Methyl 3-({4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}amino)-3-oxo-  
propanoate

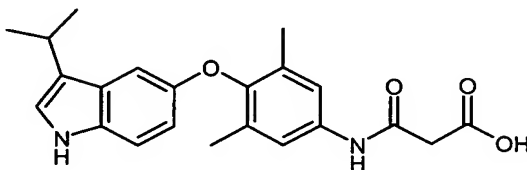


0.2 g (0.68 mmol) of 4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylaniline  
Example XV are introduced into 2 ml of acetone with 76 mg (0.75 mmol) of  
triethylamine and the mixture is treated at 0°C with 102 mg (0.75 mmol) of methyl  
malonyl chloride. It is stirred for 1 h, diluted with dichloromethane and extracted  
with sodium chloride solution and with NaHCO<sub>3</sub> solution. The organic phase is dried  
and the solvent is removed in vacuo. 211 mg (74%) of methyl 3-({4-[(3-isopropyl-  
1H-indol-5-yl)oxy]-3,5-dimethylphenyl}amino)-3-oxo-propanoate are obtained.

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.29, d, 6H; 2.16, s, 6H; 3.05, hept., 1H; 3.50, s,  
2H; 3.81, s, 3H; 6.72, dd, 1H; 6.88, d, 1H; 6.95, d, 1H; 7.25, m, 1H; 7.30, s, 2H;  
7.77, s, broad, 1H.

**Example 2**

3-({4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}amino)-3-oxopropanoic acid



5

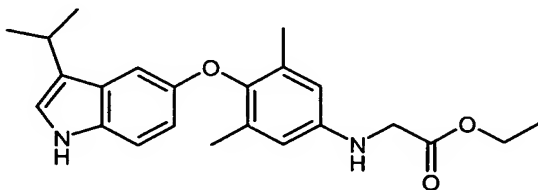
50 mg of methyl 3-({4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}amino)-3-oxo-propanoate (Example 1) are stirred in 2 ml of ethanol with 30 mg of sodium hydroxide for 30 minutes. The solvent is removed in vacuo. The mixture is taken up in ether/water, the organic phase is dried and the solvent is removed in vacuo. 23 mg (46%) of 3-({4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}amino)-3-oxopropanoic acid are obtained.

<sup>1</sup>H-NMR (300 MHz, DMSO-d<sub>6</sub>): δ = 1.18, d, 6H; 2.02, s, 6H; 2.92, hept., 1H; 6.52, dd, 1H; 6.64, d, 1H; 7.02, s, 2H; 7.18, d, 1H; 7.32, s, 2H.

15

**Example 3**

Ethyl N- {4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} glycinate



20

210 mg of 4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylaniline Example XV are brought under reflux with 119 mg of ethyl bromoacetate and 117 mg of sodium

acetate in 10 ml of ethanol for 24 h. After addition of water, the mixture is extracted with ether, and the organic phase is dried and concentrated in a rotary evaporator. By chromatographic purification (cyclohexane/ethyl acetate), 143 mg (53%) of ethyl N-{4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} glycinate are obtained.

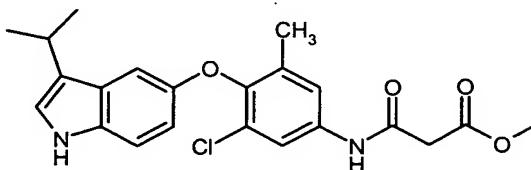
5

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.27, d, 6H; 1.31, t, 3H; 2.09, s, 6H; 3.06, hept., 1H; 3.92, s, 2H; 4.12, s, broad, 1H; 4.26, quart., 2H; 6.38, s, 2H; 6.72, dd, 1H; 6.91, dd, 2H; 7.20, d, 1H; 7.77, s, broad, 1H.

10

#### **Example 4**

Methyl 3-({3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}amino)-3-oxo-propanoate



15

131 mg of 3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylaniline (Example III) are dissolved in 3 ml of acetone with 46 mg of triethylamine and treated dropwise with 62 mg of methyl malonyl chloride at 0°C. The reaction mixture is stirred for 3 hours at room temperature, poured onto 20 ml of dichloromethane, and the organic phase is washed with sodium chloride solution, dried over sodium sulphate and concentrated in a rotary evaporator. By chromatographic purification (cyclohexane/ethyl acetate), 134 mg of methyl 3-({3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}amino)-3-oxo-propanoate are obtained.

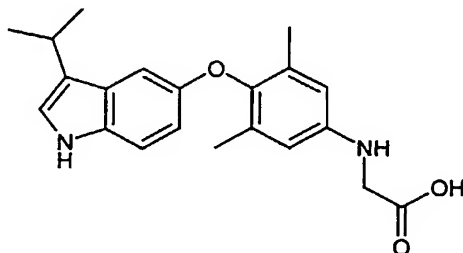
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25

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.28, d, 6H; 2.20, s, 3H; 3.07, sept., 1H; 3.50, s, 2H; 3.83, s, 3H; 6.77, dd, 1H; 6.92, d, 1H; 6.95, d, 1H; 7.24, m, 1H; 7.36, d, 1H; 7.65, d, 1H; 7.81, s, broad, 1H; 9.24, s, broad, 1H.

**Example 5**

N-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}glycine



5

56 mg of ethyl N-{4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} glycinate (Example 3) are stirred in 7 ml of dioxane with 1.5 ml of 1N NaOH for 2 hours at room temperature. The mixture is poured onto water, rendered acidic using 1N HCl, extracted with ethyl acetate, the extract is dried and the solvent is removed in vacuo.

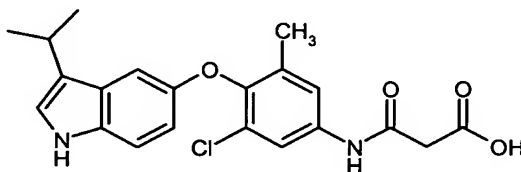
10 51 mg of N-{4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}glycine are obtained

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.29, d, 6H; 2.10, s, 6H; 3.07, sept., 1H; 3.70, s, 2H; 6.41, s, 2H; 6.73, m, 1H; 6.91, m, 2H; 7.21, d, 1H; 7.77, s, broad, 1H.

15

**Example 6**

3-({3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}amino)-3-oxo-propionic acid



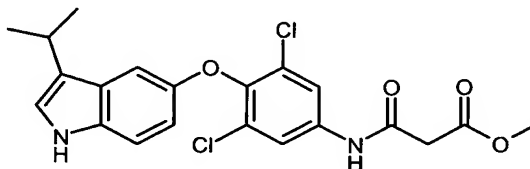
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101 mg of methyl 3-({3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}amino)-3-oxo-propanoate (Example 4) are dissolved in 2 ml of ethanol and 1 ml of 1N NaOH solution, the solution is stirred at room temperature for 1 hour and the solvent is removed in vacuo. The residue is rendered acidic, extracted with ethyl acetate, the extract is dried over sodium sulphate and the solvent is removed in vacuo. 87 mg of 3-({3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}amino)-3-oxopropionic acid are obtained.

<sup>1</sup>H-NMR (300 MHz, MeOH-d<sub>4</sub>): δ = 1.25, d, 6H; 2.16, s, 3H; 2.99, sept., 1H; 3.45, s, 2H; 6.69, dd, 1H; 6.76, d, 1H; 6.96, s, 1H; 7.23, d, 1H; 7.38, d, 1H; 7.73, d, 1H.

#### Example 7

Methyl 3-({3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}amino)-3-oxo-propanoate

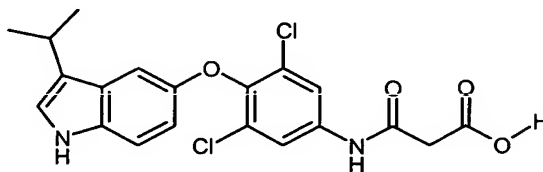


139 mg of 3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]aniline (Example IV) are dissolved with 46 mg of triethylamine in 3 ml of acetone and treated dropwise at 0°C with 62 mg of methyl malonyl chloride. The reaction mixture is stirred at room temperature for one hour, poured onto 20 ml of dichloromethane, and the organic phase is washed with sodium chloride solution, dried over sodium sulphate and concentrated in a rotary evaporator. By chromatographic purification (cyclohexane/ethyl acetate), 162 mg of methyl 3-({3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}amino)-3-oxopropionate are obtained.

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.29, d, 6H; 3.09, sept., 1H; 3.47, s, 2H; 3.82, s, 3H; 6.80, dd, 1H; 6.96, m, 1H; 7.19, s, 1H; 7.24, m, 1H; 7.70, s, 2H; 7.82, s, broad, 1H; 9.43, s, broad, 1H.

### 5 **Example 8**

3-({3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}amino)-3-oxopropionic acid



10

193 mg of methyl 3-({3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-phenyl}amino)-3-oxopropanoate (Example 7) are stirred in 3 ml of ethanol with 1 ml of 1N NaOH for one hour at room temperature. The solvent is removed in vacuo and the residue is taken up in dichloromethane. The mixture is shaken with water, the organic phase is dried and the solvent is removed in vacuo. By stirring with diethyl ether, 143 mg of 3-({3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}amino)-3-oxopropionic acid are obtained.

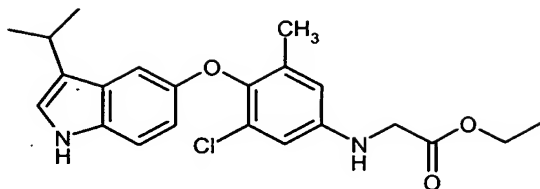
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<sup>1</sup>H-NMR (300 MHz, MeOH-d<sub>4</sub>): δ = 1.27, d, 6H; 3.00, sept., 1H; 3.35, s, 2H; 6.70, dd, 1H; 6.79, m, 1H; 6.97, s, 1H; 7.23, d, 1H; 7.79, s, 2H.

20

### **Example 9**

Ethyl N-{3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl} glycinate



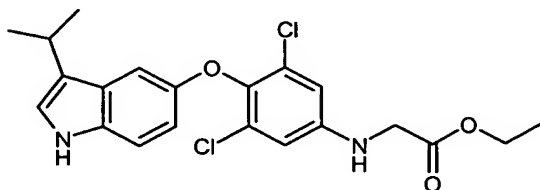
120 mg of 3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylaniline (Example III) are heated to reflux with 62 mg of sodium acetate and 63 mg of ethyl bromoacetate in 5 ml of ethanol for 17 hours. A further 21 mg of ethyl bromoacetate are added and the mixture is refluxed for 3 hours. The solvent is removed in vacuo, the residue is taken up with water and dichloromethane, the organic phase is washed with saturated sodium chloride solution, dried and the solvent is removed in vacuo. Chromatographic purification (cyclohexane/ethyl acetate) affords 56 mg of ethyl N-{3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}glycinate.

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.29, d, 6H; 1.32, t, 3H; 2.13, s, 3H; 3.08, sept., 1H; 3.91, s, 2H; 4.28, quart, 2H; 6.43, d, 1H; 6.56, d, 1H; 6.77, dd, 1H; 6.94, d, 1H; 7.22, d, 1H; 7.78, s, broad, 1H.

15

### **Example 10**

Ethyl N-{3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}glycinate



20

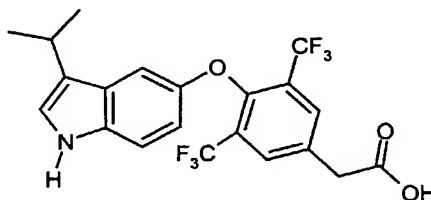
100 mg of 3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]aniline (Example IV) are heated to reflux with 49 mg of sodium acetate and 50 mg of ethyl bromoacetate in

5 ml of ethanol for 17 hours. A further 21 mg of ethyl bromoacetate are added and the mixture is refluxed for 2 hours. The solvent is removed in vacuo, the residue is taken up with water and dichloromethane, the organic phase is washed with saturated sodium chloride solution, dried and the solvent is removed in vacuo. Chromatographic purification (cyclohexane/ethyl acetate) affords 22 mg of ethyl N-{3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}glycinate.

<sup>1</sup>H-NMR (300 MHz, DMSO-d<sub>6</sub>): δ = 1.21, t, 3H; 1.22, d, 6H; 2.96, m 1H; 4.00, m, 2H; 4.15, quart., 2H; 6.63, m, 1H; 6.76, d, 1H; 6.77, s, 2H; 7.06, d, 1H; 7.24, d, 1H.

### Example 11

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethylphenylacetic acid



A mixture of 5 ml of concentrated sulphuric acid and 5 ml of water is added dropwise to a solution of 0.35 g (0.82 mmol) of nitrile derivative from Example VIII in 5 ml of acetic acid (100% strength). The reaction solution is stirred at 105°C for 4 hours, then cooled to room temperature and treated with ice-cold water and ethyl acetate. The organic phase is separated off, the aqueous solution is extracted again with ethyl acetate, and the combined organic phases are dried over sodium sulphate, filtered and concentrated to give an oil. The crude product (120.3 mg) is chromatographed on silica gel 60 by means of methylene chloride/methanol (95:5 and 95:11).

Yield: 55 mg (15.3%)  
MS (DCI): 446 ([M+H]<sup>+</sup>, 100%)



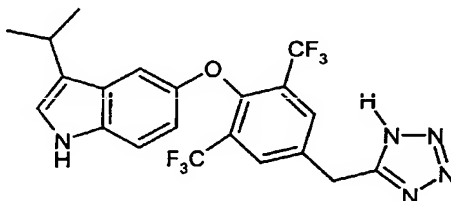
R<sub>f</sub>: 0.38 (methylene chloride:methanol = 9:1)

<sup>1</sup>H-NMR (300 MHz, CDCl<sub>3</sub>): δ = 1.28, d, 6H; 3.05, quin, 1H; 3.81, s, 2H; 6.69, dd, 1H; 6.89, d, 1H; 6.94, d, 1H; 7.21, d, 1H; 7.8, broad s, 1H; 7.88, s, 2H.

5

### Example 12

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzyltetrazole



10

251 mg (4.69 mmol) of ammonium chloride and 305 mg (4.69 mmol) of sodium azide are added to a solution of 200 mg (0.469 mmol) of nitrile derivative from Example VIII in 8 ml of dimethylformamide and the solution is boiled under reflux for 4 hours. The solution is then highly concentrated, treated with 6N HCl and extracted three times with ethyl acetate. The combined organic phases are dried, filtered and concentrated to an oil in vacuo. The crude product is dissolved in dichloromethane and chromatographed on silica gel 60 using dichloromethane with addition of methanol in the gradient mode (90:5 to 90:40).

15

Yield: 126 mg (57.3%)

20 MS (ESI): 470 ([M+H]<sup>+</sup>, 100%)

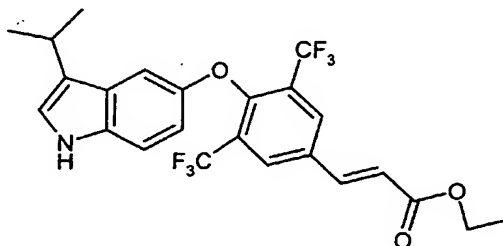
R<sub>f</sub>: 0.30 (dichloromethane:methanol = 9 : 1)

<sup>1</sup>H-NMR (200 MHz, CDCl<sub>3</sub>): δ = 1.27, d, 6H; 3.06, quin, 1H; 4.49, s, 2H; 6.67, dd, 1H; 6.88, d, 1H; 6.94, d, 1H; 7.2, d, 1H; 7.84, broad s, 1H; 7.92, s, 2H; 8.01, s, 1H.

25

**Example 13**

Ethyl 4-(3-isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-cinnamate



5

1.0 g (2.41 mmol) of aldehyde derivative from Example V is dissolved in 10 ml of toluene and 0.92 g (2.65 mmol) of ethoxycarbonylmethylene-triphenylphosphorane is introduced in portions. After stirring at room temperature for 2 days, the reaction mixture is concentrated to a half of the volume and chromatographed on silica gel 60 by means of toluene.

10

Yield: 1.076 g (88.4%)  
 MS (ESI): 486 ( $[M+H]^+$ , 100%)  
 $R_f$ : 0.68 (toluene:ethyl acetate = 8:2)  
 HPLC:  $R_t$  = 5.44 (94.5%)  
 0.5%  $HClO_4$  / acetonitrile  
 Kromasil column C18 (60 x 2 mm)  
 flow: 0.75 ml / minute; 210 nm

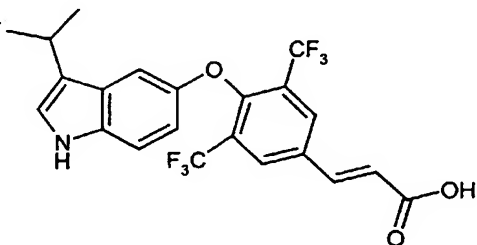
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$^1H$ -NMR (200 MHz,  $CDCl_3$ ):  $\delta$  = 1.27, d, 6H; 1.37, t, 3H; 3.05, quin, 1H; 4.3, quart, 2H; 6.55, broad d, 1H; 6.72, dd, 1H; 6.87, d, 1H; 6.95, d, 1H; 7.21, d, 1H; 7.73, broad d, 1H; 7.84, broad s, 1H; 8.04, s, 2H.

20

**Example 14**

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-cinnamic acid



5

0.23 g (0.46 mmol) of ethyl cinnamate derivative from Example 13 are dissolved in 10 ml of dioxane, 4 ml of 1 molar sodium hydroxide solution are added and the mixture is stirred for 5 hours at room temperature. The reaction solution is acidified to pH 4 using 1N hydrochloric acid, treated with ethyl acetate and the aqueous phase is extracted a further two times with ethyl acetate. The combined organic phases are washed with sodium chloride solution, dried over sodium sulphate, filtered, concentrated and dried overnight in a high vacuum.

10

Yield: 0.175 g (79.0%)

MS (DCI): 475 ( $[M+NH_4]^+$ , 100%)

15

HPLC:  $R_t = 4.99$  (96.3%)

0.5%  $HClO_4$ /acetonitrile

Kromasil column C18 (60 x 2 mm)

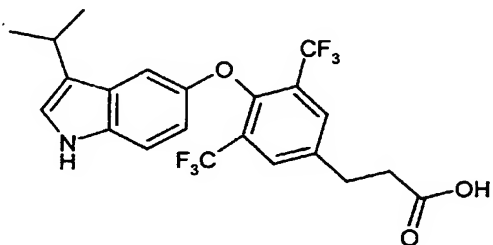
flow: 0.75 ml / minute; 210 nm

20

$^1H$ -NMR (200 MHz,  $CDCl_3$ ):  $\delta = 1.28$ , d, 6H; 3.06, quin, 1H; 6.59, broad d, 1H; 6.73, dd, 1H; 6.88, d, 1H; 6.97, d, 1H; 7.23, d, 1H; 7.83, broad s and broad d, 2H; 8.09, s, 2H.

**Example 15**

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethylphenylpropionic acid



5

150 mg (0.328 mmol) of cinnamic acid derivative from Example 14 are dissolved in 10 ml of methanol, treated with 75 mg of palladium on active carbon (10% strength) and hydrogenated for 18 hours at hydrostatic hydrogen pressure. The palladium catalyst is filtered off with suction through kieselguhr, washed with methanol and the filtrate is concentrated to give a solid product.

10

Yield: 86.2 mg (57.2%)

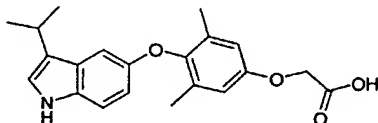
MS (LC): 460 ( $[M+H]^+$ , 100%) $R_f$ : 0.76 (methylene chloride:methanol = 10:1)

15

$^1\text{H-NMR}$  (200 MHz,  $\text{DMSO-d}_6$ ):  $\delta$  = 1.19, d, 6H; 2.7, t, 2H; 2.95, quin, 1H; 3.03, t, 2H; 6.58, dd, 1H; 6.7, d, 1H; 7.08, d, 1H; 7.24, d, 1H; 8.05, s, 2H; 10.72, d, 1H; 12.25, broad s, 1H.

**Example 16**

{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid

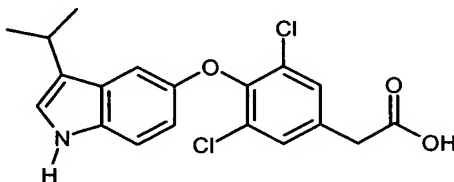


- 5 0.24 g (0.46 mmol) of tert-butyl-[4-({1-[tert-butyl-(dimethyl)silyl]-3-isopropyl-1H-indol-5-yl}oxy)-3,5-dimethylphenoxy]acetate (Example XIII) is introduced dissolved in 5 ml of ethanol and 2.5 ml (2.50 mmol) of 1N sodium hydroxide solution are added. The batch is stirred at room temperature for 2.5 h. The solvent is evaporated in a rotary evaporator, the batch is diluted with 50 ml of water and the mixture is
- 10 acidified with 1N hydrochloric acid solution. The aqueous phase is extracted twice with ethyl acetate, the combined organic phases are dried and the solvent is removed in vacuo. 0.186 g (87.3%) of {4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid is obtained
- 15 <sup>1</sup>H-NMR (200 MHz, CDCl<sub>3</sub>): δ = 1.28, d, 6H; 2.10, s, 6H; 2.96, m, 1H; 3.08, sept., 1H; 4.58, s, 2H; 6.68, s, 3H; 6.90, dd, 2H; 7.81, s, 1H.

**Example 17**

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-dichlorophenylacetic acid

20



Firstly, 5 ml of conc. sulphuric acid and then 5 ml of water are added dropwise to a solution of 0.43 g (0.90 mmol) of nitrile derivative from Example XIX in 10 ml of

dioxane. The reaction mixture is stirred for 4 hours at 100°C, then poured onto ice and extracted twice with ethyl acetate. The combined organic phases are washed with sodium chloride solution, dried over sodium sulphate, filtered and concentrated in vacuo. The crude product is chromatographed on silica gel 60 by means of  
5 toluene/ethyl acetate (1:1) in the isocratic mode.

Yield: 0.266 g (68.7%)

MS (DCI): 395 ([M+NH<sub>4</sub>]<sup>+</sup>, 100%)

HPLC: R<sub>t</sub> = 4.79 (87.8%)

0.5% HClO<sub>4</sub>/acetonitrile

10 Kromasil column C18 (60 x 2 mm)

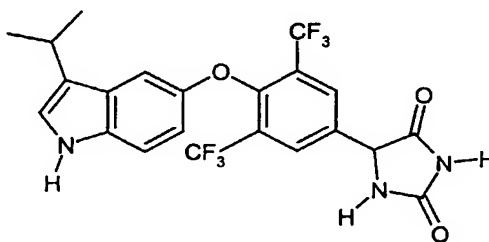
flow: 0.75 ml/min; 210 nm

<sup>1</sup>H-NMR (200 MHz, CDCl<sub>3</sub>): δ = 1.4 (d, 6H); 3.1 (quin, 1H); 3.65 (s, 2H); 6.76 (dd, 1H); 6.95 (d, 1H); 7.03 (d, 1H); 7.24 (d, 1H); 7.34 (s, 2H); 7.81 (broad s, 1H).

15

### Example 18

5-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethylphenyl}-imidazolidin-2,4-dione



20

3.0 g (7.22 mmol) of aldehyde from Example V dissolved in 30 ml of ethanol are added to a solution of 0.581 g (14.4 mmol) of sodium cyanide and 3.63 g (36.1 mmol) of ammonium carbonate in 30 ml of water and the mixture is stirred for  
25 24 hours at 60°C. Ethanol is then distilled off from the reaction solution, it is diluted

with water, acidified to pH 2 with 1N HCl with ice-cooling and extracted twice with ethyl acetate. After drying and distilling off the solvent, the crude product (4.03 g) is chromatographed on silica gel 60 using methylene chloride with addition of a little methanol in the ratio 20:1 to 20:2.5.

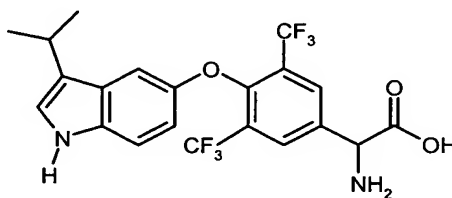
5      Yield:            2.73 g (78.1%)  
        MS (ESI):        486 ( $[M+H]^+$ , 100%)  
        HPLC:             $R_t = 4.58$  (85.1%)  
                           0.5%  $HClO_4$ /acetonitrile  
                           Kromasil column C18 (60 x 2 mm)  
 10                    flow: 0.75 ml/min; 210 nm

$^1H$ -NMR (200 MHz,  $CDCl_3$ ):  $\delta = 1.26$  (d, 6H); 3.06 (quin., 1H); 5.29 (s, 1H); 6.23 (s, 1H); 6.65 (dd, 1H); 6.9 (d, 1H); 6.95 (d, 1H); 7.2 (d, 1H); 7.8 (broad s, 1H); 7.97 (s, 2H); 8.27 (broad s, 1H).

15

### Example 19

DL-Amino- $\{4-[(3\text{-isopropyl-1H-indol-5-yl})\text{oxy}]-3,5\text{-bis-trifluoromethylphenyl}\}$ -acetic acid



20

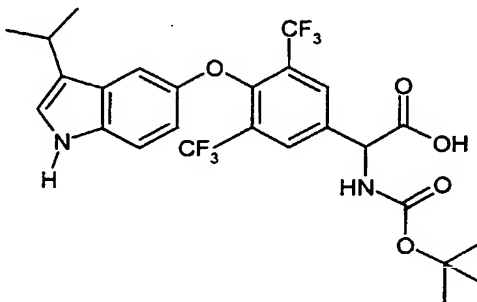
1.0 g (2.06 mmol) of hydantoin from Example 18 are heated to 100°C with 0.493 g (20.6 mmol) of lithium hydroxide in 15 ml of water overnight. The reaction solution is cooled to 0°C and directly reacted further with di-tert-butyl dicarbonate (Example 20).

25

$R_f$       0.39 (methylene chloride : methanol = 8:2)

**Example 20**

DL-tert-Butoxycarbonylamino- {4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethylphenyl}-acetic acid



5

The reaction solution from Example 19 (about 2.06 mmol = 100%) is treated with 50 ml of dioxane and reacted dropwise at 0°C with 0.899 g (4.12 mmol) of di-tert-butyl dicarbonate dissolved in 5 ml of dioxane. The reaction mixture is then allowed to come to room temperature and stirred for 2 hours at room temperature. After distilling off dioxane, the reaction solution is acidified to pH 2 at 0°C using 1N HCl and extracted twice with ethyl acetate. The combined ethyl acetate phases are washed with sodium chloride solution, dried, filtered and concentrated. The crude product (1.234 g) is chromatographed on silica gel 60 using methylene chloride/methanol (9:1) in the isocratic mode.

15

Yield: 0.271 g (23.5%)

A 2nd fraction of 0.531 g (HPLC concentration: 64.0%) is obtained.

MS (LC-MS): 561 ([M+H]<sup>+</sup>, 100%)

HPLC: R<sub>t</sub> = 0.503 (91.4%)

20

0.5% HClO<sub>4</sub>/acetonitrile

Kromasil column C18 (60 x 2 mm)

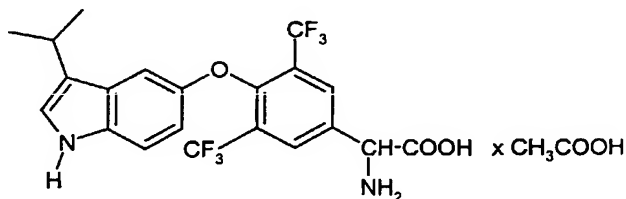
flow: 0.75 ml/min; 210 nm



<sup>1</sup>H-NMR (200 MHz, d<sub>6</sub>-DMSO): δ = 1.18 (d, 6H); 1.38 (s, 9H); 2.93 (m, 1H); 3.33 (broad s, 1H); 4.99 (d, 1H); 6.59 (d, 1H); 6.7 (s, 1H); 7.08 (d, 1H); 7.25 (d, 1H); 8.1 (s, 2H); 10.75 (s, 1H).

### 5 **Example 21**

DL-Amino- {4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethylphenyl}-acetic acid acetate salt



10

0.526 g (0.945 mmol) of tert-butoxycarbonyl-protected amino acid from Example 20 is dissolved in 7 ml of dichloromethane, cooled to 0°C and treated dropwise under argon with 7 ml of trifluoroacetic acid. The solution is then stirred for 45 min at room temperature, subsequently concentrated to give an oil, the oily residue is stirred with ether and ether is distilled off.

15

Yield: 0.526 g (as trifluoroacetate salt)

The residue is dissolved in 20% strength acetic acid (20 ml) with addition of 10 ml of methanol and sent through a column packed with 80 ml of Amberlite IR-67 (acetate form; Fluka). The column is subsequently washed with water/methanol mixture (1:1), and the eluate is freed from methanol in vacuo and lyophilized.

20

Yield: 120 mg (27.8%)

MS (EI): 460 ([M]<sup>+</sup>, 14%)

HPLC: R<sub>t</sub> = 4.29 (79.8%)

25

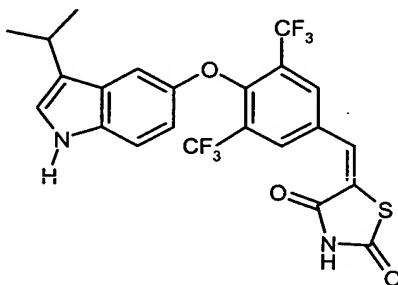
0.5% HClO<sub>4</sub> / acetonitrile

Kromasil column C18 (60 x 2 mm)

flow: 0.75 ml/min; 210 nm

### Example 22

5 5-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethyl-benzylidene}-thiazolidine-2,4-dione

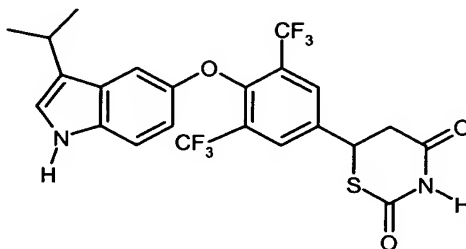


10 A mixture of 0.52 g (1.25 mmol) of aldehyde derivative from Example V, 0.21 g (1.63 mmol) of 2,4-thiazolidine-2,4-dione, 0.2 g (1.63 mmol) of benzoic acid and 0.14 g (1.63 mmol) of piperidine in 47.5 ml of toluene are boiled under reflux overnight in the presence of molecular sieve 4Å powder. The reaction solution is then cooled to room temperature, diluted with 47.5 ml of toluene, and the molecular sieve is filtered off with suction and washed with ethyl acetate. The organic filtrate is washed twice with ammonium chloride solution, dried, filtered and concentrated in vacuo. The thioazolidine dione derivative is obtained by chromatography on silica gel 60 by means of toluene/ethyl acetate (10:1) in the isocratic mode.

Yield: 50 mg (4.9%)  
 MS (ESI): 515 ( $[M+H]^+$ , 100%)  
 20 HPLC:  $R_t = 3.72$  (63.2%)  
 0.3 g of 30% strength HCl per 1 l of H<sub>2</sub>O  
 Symmetry column C18 (150 x 2.1 mm)  
 flow: 0.9 ml/min; 210 nm

**Example 23**

6- {4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethylphenyl}-[1,3]-thiazinan-2,4-dione



5

The thiazine derivative is formed as a further product in the preparation of the benzylidene-2,4-thiazolidine dione derivative (Example 22).

Yield: 0.123 g (14.7%)

10 MS (LC): 517 ( $[M+H]^+$ , 100%)

HPLC:  $R_t = 3.26$  (77.3%)

0.3 g of 30% strength HCl per 1 l of H<sub>2</sub>O

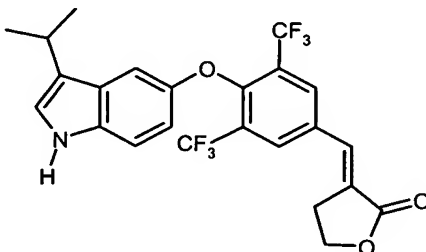
Symmetry column C18 (150 x 2.1 mm)

flow: 0.9 ml/min; 210 nm

15

**Example 24**

3- {4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethyl-benzylidene}-dihydro-furan-2-one



20

0.36 g (0.87 mmol) of aldehyde derivative from Example V are dissolved in 10 ml of toluene and 0.36 g (1.04 mmol) of butyrolactonylidene-triphenylphosphorane are introduced in portions. After stirring at room temperature for 3 days, the reaction mixture is filtered, and the filtrate is concentrated to half of the volume and chromatographed on silica gel 60 by means of toluene/ethyl acetate (9:1).

Yield: 0.334 g (72.5%)

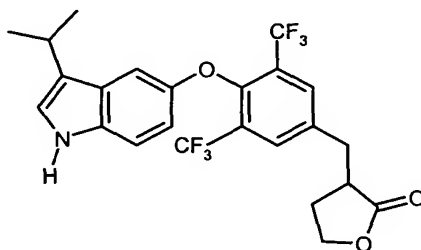
MS (DCI): 501 ( $[M+NH_4]^+$ , 100%)

$R_f$  0.87 (toluene : ethyl acetate = 9:1)

$^1H$ -NMR (200 MHz,  $CDCl_3$ ):  $\delta$  = 1.27 (d, 6H); 3.05 (quin, 1H); 3.31 (sext, 2H); 4.55 (t, 2H); 6.71 (dd, 1H); 6.88 (d, 1H); 6.96 (d, 1H); 7.2 (d, 1H); 7.62 (t, 1H); 7.84 (broad s, 1H); 8.03 (s, 2H).

### Example 25

3-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethyl-benzyl}-dihydro-furan-2-one



0.2 g (0.38 mmol) of benzylidene compound from Example 24 are dissolved in 100 ml of methanol and hydrogenated with hydrogen for 18 hours in the presence of palladium on active carbon. The catalyst is filtered off through kieselguhr and the filtrate is concentrated in vacuo. The crude product is purified by chromatography on silica gel 60 in the isocratic gradient mode using toluene/ethyl acetate (10:1).

Yield: 94 mg (48.7%)

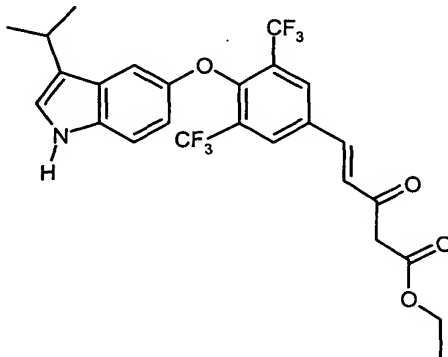
MS (ESI): 486 ( $[M+H]^+$ , 100%)

$R_f$  0.35 (toluene : ethyl acetate = 9:1)

- 5  $^1H$ -NMR (300 MHz,  $CDCl_3$ ):  $\delta$  = 1.28 (d, 6H); 2.04 (m, 1H); 2.37 (m, 1H); 2.91 (m, 2H); 3.05 (quin, 1H); 3.4 (quart, 1H); 4.23 (m, 1H); 4.39 (sext, 1H); 6.69 (dd, 1H); 6.85 (d, 1H); 6.94 (d, 1H); 7.2 (d, 1H); 7.77 (s, 2H); 7.8 (s, 1H).

### Example 26

- 10 Ethyl 5-{4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethylphenyl}-3-oxo-pent-4-ene-carboxylate



- 15 Analogously to the procedure of Example 24, 0.35 g (0.84 mmol) of aldehyde derivative from Example V is reacted with 0.36 g (0.93 mmol) of ethyl 4-(triphenylphosphoranylidene)-acetoacetate in 10 ml of toluene for 2 days at room temperature and then for 18 hours at 75°C and 6 hours at 120°C. The crude product is purified by column chromatography on silica gel 60 using toluene.

20 Yield: 0.24 g (47.3%)

MS (ESI): 528 ( $[M+H]^+$ , 100%)

HPLC:  $R_t$  = 6.00 (27.3%) and  $R_t$  = 5.35 (51.2%); E/Z mixture

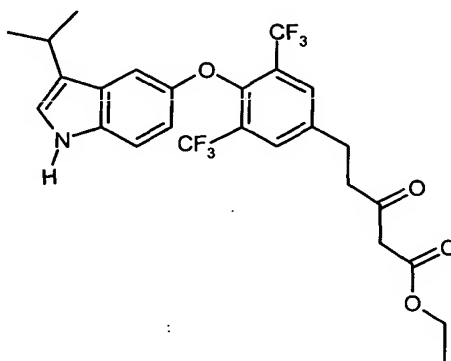
0.5% HClO<sub>4</sub>/acetonitrile

Kromasil column C18 (60 x 2 mm)

flow: 0.75 ml/min; 210 nm

**Example 27**

Ethyl 5-{4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethylphenyl}-3-oxopentane-carboxylate



10

Analogously to the procedure of Example 25, 0.2 g (0.38 mmol) of 3-oxopentene-4-carboxylic acid derivative from Example 26 is hydrogenated overnight in methanol with palladium on active carbon under a hydrogen atmosphere. The crude product is chromatographed on silica gel using toluene/ethyl acetate (10:1) in the isocratic mode.

15

Yield: 89 mg (38.6%)

MS (ESI): 530 ([M+H]<sup>+</sup>, 100%)R<sub>f</sub> 0.37 (toluene : ethyl acetate = 9:1)

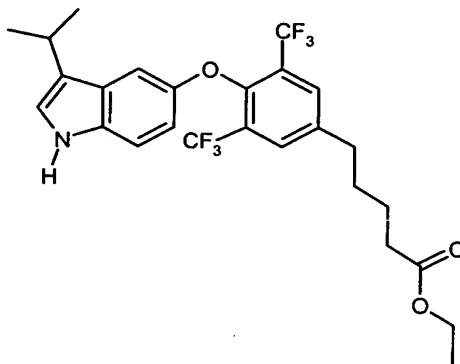
20

<sup>1</sup>H-NMR (200 MHz, CDCl<sub>3</sub>): δ = 1.28 (d and t, 9H); 3.03 (m, 5H); 3.49 (s, 2H); 4.2 (quart, 2H); 6.7 (dd, 1H); 6.87 (d, 1H); 6.95 (d, 1H); 7.21 (d, 1H); 7.73 (s, 2H); 7.8 (s, 1H).

**Example 28**

Ethyl 5-{4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethyl-phenyl}pentane-carboxylate

5



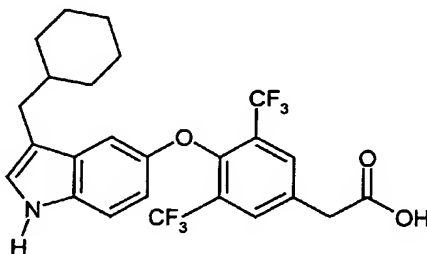
The pentanecarboxylic acid derivative is formed as a by-product in the catalytic hydrogenation of the 3-oxo-pentenecarboxylic acid derivative in Example 27.

10      Yield:            15 mg (6.2%)  
         MS (ESI):      516 ([M+H]<sup>+</sup>, 100%)  
         R<sub>f</sub>                0.4 (toluene : ethyl acetate = 9:1)

15      <sup>1</sup>H-NMR (200 MHz, CDCl<sub>3</sub>): δ = 1.28 (d and t, 9H); 1.73 (quin, 3H); 2.39 (m, 2H);  
         2.78 (m, 2H); 3.04 (sext, 2H); 4.15 (quart, 2H); 6.7 (dd, 1H); 6.86 (d, 1H); 6.93 (d,  
         1H); 7.21 (d, 1H); 7.71 (s, 2H); 7.8 (broad s, 1H).

**Example 29**

4-(3-Cyclohexylmethyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethylphenylacetic acid



5

The preparation is carried out in analogy to the procedure of Example 17 from 0.3 g (0.62 mmol) of phenylacetonitrile derivative from Example XXIII by dissolving the nitrile in 10 ml of dioxane and treating it with 4 ml of conc. sulphuric acid and 4 ml of water for 4 hours at 100°C. The crude product is chromatographed on silica gel 60 by means of toluene/ethyl acetate (1:1) in the isocratic mode.

10

Yield: 65 mg (17.5%)

MS (ESI): 500 ( $[M+H]^+$ , 100%)

HPLC:  $R_t = 5.23$  (82.6%)

0.5%  $HClO_4$ /acetonitrile

15

Kromasil column C18 (60 x 2 mm)

flow: 0.75 ml / min; 210 nm

$R_f$ : 0.29 (toluene : ethyl acetate = 1:1)

$^1H$ -NMR (200 MHz,  $CDCl_3$ ):  $\delta = 0.92$  (m, 2H); 1.17 (m, 4H); 1.5 (m, 1H); 1.65 (m, 4H); 2.49 (d, 2H); 3.82 (s, 2H); 6.68 (dd, 1H); 6.84 (d, 1H); 6.93 (d, 1H); 7.2 (d, 1H); 7.85 (d and s, 3H).

20



The following can be prepared in an analogous manner:

**Example 30**

5

{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid

**Example 31**

{4-[(3-Cyclopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid

**Example 32**

10

{4-[(3-Cyclobutyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid

**Example 33**

{4-[(3-Cyclopentyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid

15

**Example 34**

{4-[(3-Cyclohexyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid

**Example 35**

20

{3,5-Dimethyl-4-[(3-propyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 36**

{4-[(3-Butyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid

**Example 37**

25

{3,5-Dimethyl-4-[(3-pentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 38**

{4-[(3-Hexyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid

30

**Example 39**

{4-[(3-Isobutyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid

**Example 40**

{4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid

5      **Example 41**

(4-{{3-(Cyclohexylmethyl)-1H-indol-5-yl}oxy}-3,5-dimethylphenoxy)acetic acid

**Example 42**

(4-{{3-(Cyclopentylmethyl)-1H-indol-5-yl}oxy}-3,5-dimethylphenoxy)acetic acid

10

**Example 43**

(4-{{3-(Cyclobutylmethyl)-1H-indol-5-yl}oxy}-3,5-dimethylphenoxy)acetic acid

**Example 44**

15      (4-{{3-(Cyclopropylmethyl)-1H-indol-5-yl}oxy}-3,5-dimethylphenoxy)acetic acid

**Example 45**

{3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

20      **Example 46**

{3,5-Dichloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 47**

{3,5-Dichloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

25

**Example 48**

{3,5-Dichloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 49**

30      {3,5-Dichloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 50**

{3,5-Dichloro-4-[(3-propyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 51**

5 {4-[(3-Butyl-1H-indol-5-yl)oxy]-3,5-dichlorophenoxy}acetic acid

**Example 52**

{3,5-Dichloro-4-[(3-pentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

10 **Example 53**

{3,5-Dichloro-4-[(3-hexyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 54**

{3,5-Dichloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

15

**Example 55**

{4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3,5-dichlorophenoxy}acetic acid

**Example 56**

20 (3,5-Dichloro-4-[[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy]phenoxy)acetic acid

**Example 57**

(3,5-Dichloro-4-[[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy]phenoxy)acetic acid

25 **Example 58**

(3,5-Dichloro-4-[[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy]phenoxy)acetic acid

**Example 59**

(3,5-Dichloro-4-[[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy]phenoxy)acetic acid

30

**Example 60**

{3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 61**

5 {3,5-Dibromo-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 62**

{3,5-Dibromo-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

10 **Example 63**

{3,5-Dibromo-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 64**

{3,5-Dibromo-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

15

**Example 65**

{3,5-Dibromo-4-[(3-propyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 66**

20 {3,5-Dibromo-4-[(3-butyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 67**

{3,5-Dibromo-4-[(3-pentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

25 **Example 68**

{3,5-Dibromo-4-[(3-hexyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 69**

{3,5-Dibromo-4-[(3-isobutyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

30

**Example 70**

{3,5-Dibromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 71**

5 (3,5-Dibromo-4-[[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy]phenoxy)acetic acid

**Example 72**

(3,5-Dibromo-4-[[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy]phenoxy)acetic acid

10 **Example 73**

(3,5-Dibromo-4-[[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy]phenoxy)acetic acid

**Example 74**

(3,5-Dibromo-4-[[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy]phenoxy)acetic acid

15

**Example 75**

[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

**Example 76**

20 [4-[(3-Cyclopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

**Example 77**

[4-[(3-Cyclobutyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

25 **Example 78**

[4-[(3-Cyclopentyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

**Example 79**

[4-[(3-Cyclohexyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

30

**Example 80**

[4-[(3-Propyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

**Example 81**

5 [4-[(3-Butyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

**Example 82**

[4-[(3-Pentyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

10 **Example 83**

[4-[(3-Hexyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

**Example 84**

[4-[(3-Isobutyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

15

**Example 85**

[4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

**Example 86**

20 [4-{{[3-(Cyclohexylmethyl)-1H-indol-5-yl]oxy}}-3,5-bis(trifluoromethyl)phenoxy]-  
acetic acid

**Example 87**

25 [4-{{[3-(Cyclopentylmethyl)-1H-indol-5-yl]oxy}}-3,5-bis(trifluoromethyl)phenoxy]-  
acetic acid

**Example 88**

[4-{{[3-(Cyclobutylmethyl)-1H-indol-5-yl]oxy}}-3,5-bis(trifluoromethyl)phenoxy]-  
acetic acid

30

**Example 89**

[4-{{3-(Cyclopropylmethyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenoxy]-acetic acid

5      **Example 90**

[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenoxy]acetic acid

**Example 91**

10      [4-[(3-Cyclopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenoxy]-acetic acid

**Example 92**

15      [4-[(3-Cyclobutyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenoxy]acetic acid

**Example 93**

20      [4-[(3-Cyclopentyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenoxy]-acetic acid

**Example 94**

25      [4-[(3-Cyclohexyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenoxy]-acetic acid

**Example 95**

30      [3-Methyl-4-[(3-propyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 96**

[4-[(3-Butyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenoxy]acetic acid

30      **Example 97**

[3-Methyl-4-[(3-pentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 98**

[4-[(3-Hexyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenoxy]acetic acid

5      **Example 99**

[4-[(3-Isobutyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenoxy]acetic acid

**Example 100**

10      [4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenoxy]acetic acid

**Example 101**

15      [4-{{3-(Cyclohexylmethyl)-1H-indol-5-yl}oxy}-3-methyl-5-(trifluoromethyl)phenoxy]-acetic acid

**Example 102**

[4-{{3-(Cyclopentylmethyl)-1H-indol-5-yl}oxy}-3-methyl-5-(trifluoromethyl)phenoxy]-acetic acid

20      **Example 103**

[4-{{3-(Cyclobutylmethyl)-1H-indol-5-yl}oxy}-3-methyl-5-(trifluoromethyl)phenoxy]-acetic acid

**Example 104**

25      [4-{{3-(Cyclopropylmethyl)-1H-indol-5-yl}oxy}-3-methyl-5-(trifluoromethyl)phenoxy]acetic acid

**Example 105**

{3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenoxy}acetic acid



**Example 106**

{3-Bromo-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

**Example 107**

5 {3-Bromo-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

**Example 108**

{3-Bromo-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

10 **Example 109**

{3-Bromo-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

**Example 110**

{3-Bromo-5-methyl-4-[(3-propyl-1H-indol-5-yl)oxy]phenoxy} acetic acid

15

**Example 111**

{3-Bromo-4-[(3-butyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

**Example 112**

20 {3-Bromo-5-methyl-4-[(3-pentyl-1H-indol-5-yl)oxy]phenoxy} acetic acid

**Example 113**

{3-Bromo-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

25 **Example 114**

{3-Bromo-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

**Example 115**

{3-Bromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

30

**Example 116**

(3-Bromo-4-{{[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy}}-5-methylphenoxy)acetic acid

5      **Example 117**

(3-Bromo-4-{{[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy}}-5-methylphenoxy)acetic acid

**Example 118**

10      (3-Bromo-4-{{[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy}}-5-methylphenoxy)acetic acid

**Example 119**

15      (3-Bromo-4-{{[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy}}-5-methylphenoxy)acetic acid

**Example 120**

{3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]}-5-methylphenoxy}acetic acid

20      **Example 121**

{3-Chloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]}-5-methylphenoxy}acetic acid

**Example 122**

{3-Chloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]}-5-methylphenoxy}acetic acid

25

**Example 123**

{3-Chloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]}-5-methylphenoxy}acetic acid

**Example 124**

30      {3-Chloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]}-5-methylphenoxy}acetic acid

**Example 125**

{3-Chloro-5-methyl-4-[(3-propyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 126**

5 {4-[(3-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-methylphenoxy}acetic acid

**Example 127**

{3-Chloro-5-methyl-4-[(3-pentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

10 **Example 128**

{3-Chloro-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-methylphenoxy}acetic acid

**Example 129**

15 {3-Chloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-methylphenoxy}acetic acid

**Example 130**

{4-[(3-sec-butyl-1H-indol-5-yl)oxy]-3-chloro-5-methylphenoxy}acetic acid

**Example 131**

20 (3-Chloro-4-{[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy}-5-methylphenoxy)acetic acid

**Example 132**

25 (3-Chloro-4-{[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy}-5-methylphenoxy)acetic acid

**Example 133**

(3-Chloro-4-{[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy}-5-methylphenoxy)acetic acid

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**Example 134**

{3-Chloro-4- {[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy}-5-methylphenoxy}acetic acid

5      **Example 135**

{3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 136**

10      {3-Bromo-5-chloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 137**

{3-Bromo-5-chloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 138**

15      {3-Bromo-5-chloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 139**

{3-Bromo-5-chloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

20      **Example 140**

{3-Bromo-5-chloro-4-[(3-propyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 141**

25      {3-Bromo-4-[(3-butyl-1H-indol-5-yl)oxy]-5-chlorophenoxy}acetic acid

**Example 142**

{3-Bromo-5-chloro-4-[(3-pentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 143**

30      {3-Bromo-5-chloro-4-[(3-hexyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 144**

{3-Bromo-5-chloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

**Example 145**

5 {3-Bromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]-5-chlorophenoxy}acetic acid

**Example 146**

(3-Bromo-5-chloro-4- {[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy} phenoxy)acetic acid

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**Example 147**

(3-Bromo-5-chloro-4- {[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy} phenoxy)acetic acid

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**Example 148**

(3-Bromo-5-chloro-4- {[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy} phenoxy)acetic acid

**Example 149**

(3-Bromo-5-chloro-4- {[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy} phenoxy)acetic acid

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**Example 150**

[3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

25

**Example 151**

3-Chloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 152**

30 [3-Chloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 153**

[3-Chloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

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**Example 154**

[3-Chloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

10

**Example 155**

[3-Chloro-4-[(3-propyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 156**

[4-[(3-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-(trifluoromethyl)phenoxy]acetic acid

15

**Example 157**

[3-Chloro-4-[(3-pentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 158**

[3-Chloro-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

20

**Example 159**

[3-Chloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

25

**Example 160**

[4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-(trifluoromethyl)phenoxy]acetic acid

**Example 161**

[3-Chloro-4-[[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy]-5-(trifluoromethyl)-phenoxy]acetic acid

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**Example 162**

[3-Chloro-4-{{[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy}}-5-(trifluoromethyl)-phenoxy]-acetic acid

5      **Example 163**

[3-Chloro-4-{{[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy}}-5-(trifluoromethyl)-phenoxy]-acetic acid

**Example 164**

10      [3-Chloro-4-{{[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy}}-5-(trifluoromethyl)-phenoxy]-acetic acid

**Example 165**

15      [3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 166**

[3-Bromo-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

20      **Example 167**

[3-Bromo-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 168**

25      [3-Bromo-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 169**

30      [3-Bromo-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 170**

[3-Bromo-4-[(3-propyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 171**

5 [3-Bromo-4-[(3-butyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 172**

[3-Bromo-4-[(3-pentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

10 **Example 173**

[3-Bromo-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 174**

[3-Bromo-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

15

**Example 175**

[3-Bromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

**Example 176**

20 [3-Bromo-4-[[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy]-5-(trifluoromethyl)-  
phenoxy]-acetic acid

**Example 177**

[3-Bromo-4-[[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy]-5-(trifluoromethyl)-  
25 phenoxy]-acetic acid

**Example 178**

[3-Bromo-4-[[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy]-5-(trifluoromethyl)-  
30 phenoxy]-acetic acid



**Example 179**

[3-Bromo-4-{{3-(cyclopropylmethyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)-phenoxy]-acetic acid

5      **Example 180**

({4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}sulphanyl)acetic acid

**Example 181**

({3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}sulphanyl)acetic acid

10

**Example 182**

({3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}sulphanyl)acetic acid

**Example 183**

15      {[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]sulphanyl}-  
acetic acid

**Example 184**

20      {[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]sulph-  
anyl}-acetic acid

**Example 185**

25      ({3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}sulphanyl)acetic  
acid

**Example 186**

({3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}sulphanyl)acetic  
acid

30      **Example 187**

({3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}sulphanyl)acetic acid

**Example 188**

{[3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]sulphanyl}-acetic acid

5

**Example 189**

{[3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]sulphanyl}-acetic acid

10

**Example 190**

N-[3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]glycine

**Example 191**

N-[3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]glycine

15

**Example 192**

N-{3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}glycine

**Example 193**

20 N-{3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}glycine

**Example 194**

N-{3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}glycine

25

**Example 195**

N-[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]glycine

**Example 196**

N-[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]glycine

30

**Example 197**

N-{3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} glycine

**Example 198**

5 N-{3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} glycine

**Example 199**

N-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} glycine

10 **Example 200**

3-{[3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]amino}-  
3-oxopropionic acid

**Example 201**

15 3-{[3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]amino}-  
3-oxopropionic acid

**Example 202**

20 3-({3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} amino)-3-oxo-  
propionic acid

**Example 203**

25 3-({3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl} amino)-3-  
oxopropionic acid

**Example 204**

3-({3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl} amino)-3-oxo-  
propionic acid

**Example 205**

3-{{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl}amino}-3-oxopropionic acid

5      **Example 206**

3-{{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl}amino}-3-oxopropionic acid

**Example 207**

10      3-({3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}amino)-3-oxopropionic acid

**Example 208**

15      3-({3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}amino)-3-oxopropionic acid

**Example 209**

20      3-({4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}amino)-3-oxopropionic acid

**Example 210**

3-{{3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl}amino}-2-oxopropionic acid

25      **Example 211**

3-{{3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl}amino}-2-oxopropionic acid

**Example 212**

30      3-({3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}amino)-2-oxopropionic acid

**Example 213**

3-({3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}amino)-2-oxo-propionic acid

5

**Example 214**

3-({3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}amino)-2-oxo-propionic acid

10

**Example 215**

3-([4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]amino)-2-oxopropionic acid

**Example 216**

15

3-([4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]amino)-2-oxopropionic acid

**Example 217**

20

3-({3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}amino)-2-oxopropionic acid

**Example 218**

3-({3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}amino)-2-oxopropionic acid

25

**Example 219**

3-({4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}amino)-2-oxopropionic acid

**Example 220**

3-[3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]propionic acid

5 **Example 221**

3-[3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]propionic acid

**Example 222**

10 3-{3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}propionic acid

**Example 223**

3-{3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}propionic acid

15 **Example 224**

3-{3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}propionic acid

**Example 225**

20 3-[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]-propionic acid

**Example 226**

3-[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]propionic acid

25 **Example 227**

3-{3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}propionic acid

**Example 228**

30 3-{3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}propionic acid

**Example 229**

3-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}propionic acid

**Example 230**

5 [3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 231**

[3-Chloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

10

**Example 232**

[3-Chloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 233**

15 [3-Chloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 234**

[3-Chloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

20

**Example 235**

[3-Chloro-4-[(3-propyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 236**

25 [4-[(3-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-(trifluoromethyl)phenyl]acetic acid

**Example 237**

[3-Chloro-4-[(3-pentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

30

**Example 238**

[3-Chloro-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 239**

[3-Chloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

5      **Example 240**

[4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-(trifluoromethyl)phenyl]acetic acid

**Example 241**

10      [3-Chloro-4-{{3-(cyclohexylmethyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-  
acetic acid

**Example 242**

[3-Chloro-4-{{3-(cyclopentylmethyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-  
acetic acid

15

**Example 243**

[3-Chloro-4-{{3-(cyclobutylmethyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-  
acetic acid

20      **Example 244**

[3-Chloro-4-{{3-(cyclopropylmethyl)-1H-indol-5-yl}oxy}-5-  
(trifluoromethyl)phenyl]-acetic acid

**Example 245**

25      [4-[(3-Benzyl-1H-indol-5-yl)oxy]-3-chloro-5-(trifluoromethyl)phenyl]acetic acid

**Example 246**

[3-Chloro-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-  
acetic acid

30



**Example 247**

[3-Chloro-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-acetic acid

5      **Example 248**

[3-Chloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-(trifluoromethyl)-phenyl]acetic acid

**Example 249**

10      [3-Chloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-(trifluoromethyl)-phenyl]acetic acid

**Example 250**

15      [3-Chloro-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)-phenyl]-acetic acid

**Example 251**

[3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

20      **Example 252**

[3-Bromo-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 253**

25      [3-Bromo-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 254**

[3-Bromo-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 255**

[3-Bromo-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 256**

5 [3-Bromo-4-[(3-propyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 257**

[3-Bromo-4-[(3-butyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

10 **Example 258**

[3-Bromo-4-[(3-pentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 259**

[3-Bromo-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

15

**Example 260**

[3-Bromo-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 261**

20 [3-Bromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 262**

[3-Bromo-4-[[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy]-5-(trifluoromethyl)phenyl]-  
acetic acid

25

**Example 263**

[3-Bromo-4-[[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy]-5-(trifluoromethyl)phenyl]-  
acetic acid

**Example 264**

[3-Bromo-4-{{3-(cyclobutylmethyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-acetic acid

5      **Example 265**

[3-Bromo-4-{{3-(cyclopropylmethyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)-phenyl]-acetic acid

**Example 266**

10      [4-[(3-Benzyl-1H-indol-5-yl)oxy]-3-bromo-5-(trifluoromethyl)phenyl]acetic acid

**Example 267**

[3-Bromo-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-acetic acid

15

**Example 268**

[3-Bromo-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-acetic acid

20      **Example 269**

[3-Bromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-(trifluoromethyl)-phenyl]acetic acid

**Example 270**

25      [3-Bromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-(trifluoromethyl)-phenyl]acetic acid

**Example 271**

[3-Bromo-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-acetic acid

30

**Example 272**

{3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 273**

5 {3-Bromo-5-chloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 274**

{3-Bromo-5-chloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]phenyl}acetic acid

10 **Example 275**

{3-Bromo-5-chloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 276**

{3-Bromo-5-chloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]phenyl}acetic acid

15

**Example 277**

{3-Bromo-5-chloro-4-[(3-propyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 278**

20 {3-Bromo-4-[(3-butyl-1H-indol-5-yl)oxy]-5-chlorophenyl}acetic acid

**Example 279**

{3-Bromo-5-chloro-4-[(3-pentyl-1H-indol-5-yl)oxy]phenyl}acetic acid

25 **Example 280**

{3-Bromo-5-chloro-4-[(3-hexyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 281**

{3-Bromo-5-chloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]phenyl}acetic acid

30

**Example 282**

{3-Bromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]-5-chlorophenyl}acetic acid

**Example 283**

5 (3-Bromo-5-chloro-4-{[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

**Example 284**

(3-Bromo-5-chloro-4-{[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

10 **Example 285**

(3-Bromo-5-chloro-4-{[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

**Example 286**

15 (3-Bromo-5-chloro-4-{[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

**Example 287**

{4-[(3-Benzyl-1H-indol-5-yl)oxy]-3-bromo-5-chlorophenyl}acetic acid

**Example 288**

20 (3-Bromo-5-chloro-4-{[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

**Example 289**

(3-Bromo-5-chloro-4-{[3-(4-chlorobenzyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

25 **Example 290**

{3-Bromo-5-chloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl}-  
acetic acid

**Example 291**

30 [3-Bromo-5-chloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-  
acetic acid

**Example 292**

(3-Bromo-5-chloro-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

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**Example 293**

{3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

**Example 294**

{3-Bromo-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

10

**Example 295**

{3-Bromo-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

**Example 296**

15

{3-Bromo-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

**Example 297**

{3-Bromo-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

20

**Example 298**

{3-Bromo-5-methyl-4-[(3-propyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 299**

{3-Bromo-4-[(3-butyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

25

**Example 300**

{3-Bromo-5-methyl-4-[(3-pentyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 301**

30

{3-Bromo-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

**Example 302**

{3-Bromo-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

**Example 303**

5 {3-Bromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

**Example 304**

{3-Bromo-4-{[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy}-5-methylphenyl}acetic acid

10 **Example 305**

{3-Bromo-4-{[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy}-5-methylphenyl}acetic acid

**Example 306**

{3-Bromo-4-{[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy}-5-methylphenyl}acetic acid

15

**Example 307**

{3-Bromo-4-{[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy}-5-methylphenyl}acetic acid

**Example 308**

20 {4-[(3-benzyl-1H-indol-5-yl)oxy]-3-bromo-5-methylphenyl}acetic acid

**Example 309**

{3-Bromo-4-{[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy}-5-methylphenyl}acetic acid

25

**Example 310**

{3-Bromo-4-{[3-(4-chlorobenzyl)-1H-indol-5-yl]oxy}-5-methylphenyl}acetic acid

**Example 311**

[3-Bromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-  
30 acetic acid

**Example 312**

[3-Bromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-acetic acid

5      **Example 313**

(3-Bromo-5-methyl-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

**Example 314**

{3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

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**Example 315**

{3-Chloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

**Example 316**

15      {3-Chloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

**Example 317**

{3-Chloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

20      **Example 318**

{3-Chloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

**Example 319**

{3-Chloro-5-methyl-4-[(3-propyl-1H-indol-5-yl)oxy]phenyl}acetic acid

25

**Example 320**

{4-[(3-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-methylphenyl}acetic acid

**Example 321**

30      {3-Chloro-5-methyl-4-[(3-pentyl-1H-indol-5-yl)oxy]phenyl}acetic acid



**Example 322**

{3-Chloro-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

**Example 323**

5 {3-Chloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

**Example 324**

{4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-methylphenyl}acetic acid

10 **Example 325**

{3-Chloro-4-[[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy]-5-methylphenyl}acetic acid

**Example 326**

15 {3-Chloro-4-[[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy]-5-methylphenyl}acetic acid

**Example 327**

{3-Chloro-4-[[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy]-5-methylphenyl}acetic acid

**Example 328**

20 {3-Chloro-4-[[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy]-5-methylphenyl}acetic acid

**Example 329**

{4-[(3-Benzyl-1H-indol-5-yl)oxy]-3-chloro-5-methylphenyl}acetic acid

25 **Example 330**

{3-Chloro-4-[[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy]-5-methylphenyl}acetic acid

**Example 331**

30 {3-Chloro-4-[[3-(4-chlorobenzyl)-1H-indol-5-yl]oxy]-5-methylphenyl}acetic acid

**Example 332**

[3-Chloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-acetic acid

5      **Example 333**

[3-Chloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-acetic acid

**Example 334**

10      (3-Chloro-5-methyl-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

**Example 335**

[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

15      **Example 336**

[4-[(3-Cyclopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

**Example 337**

20      [4-[(3-Cyclobutyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

**Example 338**

[4-[(3-Cyclopentyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

25

**Example 339**

[4-[(3-Cyclohexyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

30      **Example 340**

[3-Methyl-4-[(3-propyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 341**

[4-[(3-Butyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

5      **Example 342**

[3-Methyl-4-[(3-pentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

**Example 343**

[4-[(3-Hexyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

10

**Example 344**

[4-[(3-Isobutyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

**Example 345**

15      [4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

**Example 346**

[4-{[3-(Cyclohexylmethyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)phenyl]-  
acetic acid

20

**Example 347**

[4-{[3-(Cyclopentylmethyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)-  
phenyl]-acetic acid

25      **Example 348**

[4-{[3-(Cyclobutylmethyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)phenyl]-  
acetic acid

**Example 349**

30      [4-{[3-(Cyclopropylmethyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)-  
phenyl]-acetic acid

**Example 350**

[4-[(3-Benzyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

5      **Example 351**

[4-{{3-(4-Fluorobenzyl)-1H-indol-5-yl}oxy}-3-methyl-5-(trifluoromethyl)phenyl]-acetic acid

**Example 352**

10      [4-{{3-(4-Chlorobenzyl)-1H-indol-5-yl}oxy}-3-methyl-5-(trifluoromethyl)phenyl]-acetic acid

**Example 353**

15      [4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3-methyl-5-(trifluoromethyl)-phenyl]acetic acid

**Example 354**

20      [4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3-methyl-5-(trifluoromethyl)-phenyl]acetic acid

**Example 355**

[3-Methyl-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)-phenyl]-acetic acid

25      **Example 356**

[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

**Example 357**

30      [4-[(3-Cyclopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

**Example 358**

[4-[(3-Cyclobutyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

**Example 359**

5 [4-[(3-Cyclopentyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

**Example 360**

[4-[(3-Cyclohexyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

10 **Example 361**

[4-[(3-Propyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

**Example 362**

[4-[(3-Butyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

15

**Example 363**

[4-[(3-Pentyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

**Example 364**

20 [4-[(3-Hexyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

**Example 365**

[4-[(3-Isobutyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

25 **Example 366**

[4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

**Example 367**

30 [4-[[3-(Cyclohexylmethyl)-1H-indol-5-yl]oxy]-3,5-bis(trifluoromethyl)phenyl]-  
acetic acid

**Example 368**

[4-{{3-(Cyclopentylmethyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenyl]-acetic acid

5      **Example 369**

[4-{{3-(Cyclobutylmethyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenyl]-acetic acid

**Example 370**

10      [4-{{3-(Cyclopropylmethyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenyl]-acetic acid

**Example 371**

15      [4-{{3-(Benzyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenyl]acetic acid

**Example 372**

[4-{{3-(4-Fluorobenzyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenyl]acetic acid

20      **Example 373**

[4-{{3-(4-Chlorobenzyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenyl]acetic acid

**Example 374**

25      [4-{{3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)-phenyl]-acetic acid

**Example 375**

30      [4-{{3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)-phenyl]-acetic acid

**Example 376**

[4-{[3-(Phenylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]acetic acid

5      **Example 377**

{3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} acetic acid

**Example 378**

{3,5-Dibromo-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]phenyl} acetic acid

10

**Example 379**

{3,5-Dibromo-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]phenyl} acetic acid

**Example 380**

15      {3,5-Dibromo-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]phenyl} acetic acid

**Example 381**

{3,5-Dibromo-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]phenyl} acetic acid

20      **Example 382**

{3,5-Dibromo-4-[(3-propyl-1H-indol-5-yl)oxy]phenyl} acetic acid

**Example 383**

{3,5-Dibromo-4-[(3-butyl-1H-indol-5-yl)oxy]phenyl} acetic acid

25

**Example 384**

{3,5-Dibromo-4-[(3-pentyl-1H-indol-5-yl)oxy]phenyl} acetic acid

**Example 385**

30      {3,5-Dibromo-4-[(3-hexyl-1H-indol-5-yl)oxy]phenyl} acetic acid

**Example 386**

{3,5-Dibromo-4-[(3-isobutyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 387**

5 {3,5-Dibromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 388**

{3,5-Dibromo-4-[[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy]phenyl}acetic acid

10 **Example 389**

{3,5-Dibromo-4-[[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy]phenyl}acetic acid

**Example 390**

{3,5-Dibromo-4-[[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy]phenyl}acetic acid

15

**Example 391**

{3,5-Dibromo-4-[[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy]phenyl}acetic acid

**Example 392**

20 {4-[(3-Benzyl-1H-indol-5-yl)oxy]-3,5-dibromophenyl}acetic acid

**Example 393**

{3,5-Dibromo-4-[[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy]phenyl}acetic acid

25 **Example 394**

{3,5-Dibromo-4-[[3-(4-chlorobenzyl)-1H-indol-5-yl]oxy]phenyl}acetic acid

**Example 395**

30 {3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl}acetic acid



**Example 396**

[3,5-Dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

5      **Example 397**

(3,5-Dibromo-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

**Example 398**

{3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}acetic acid

10

**Example 399**

{3,5-Dichloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 400**

15      {3,5-Dichloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 401**

{3,5-Dichloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]phenyl}acetic acid

20      **Example 402**

{3,5-Dichloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 403**

{3,5-Dichloro-4-[(3-propyl-1H-indol-5-yl)oxy]phenyl}acetic acid

25

**Example 404**

{4-[(3-Butyl-1H-indol-5-yl)oxy]-3,5-dichlorophenyl}acetic acid

**Example 405**

30      {3,5-Dichloro-4-[(3-pentyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 406**

{3,5-Dichloro-4-[(3-hexyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 407**

5 {3,5-Dichloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 408**

{4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3,5-dichlorophenyl}acetic acid

10 **Example 409**

(3,5-Dichloro-4-[[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

**Example 410**

(3,5-Dichloro-4-[[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

15

**Example 411**

(3,5-Dichloro-4-[[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

**Example 412**

20 (3,5-Dichloro-4-[[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

**Example 413**

{4-[(3-Benzyl-1H-indol-5-yl)oxy]-3,5-dichlorophenyl}acetic acid

25 **Example 414**

(3,5-Dichloro-4-[[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

**Example 415**

(3,5-Dichloro-4-[[3-(4-chlorobenzyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

30

**Example 416**

[3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

5      **Example 417**

[3,5-Dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

**Example 418**

10      (3,5-Dichloro-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

**Example 419**

{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}acetic acid

15      **Example 420**

{4-[(3-Cyclopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}acetic acid

**Example 421**

{4-[(3-Cyclobutyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}acetic acid

20

**Example 422**

{4-[(3-Cyclopentyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}acetic acid

**Example 423**

25      {4-[(3-Cyclohexyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}acetic acid

**Example 424**

{3,5-Dimethyl-4-[(3-propyl-1H-indol-5-yl)oxy]phenyl}acetic acid

**Example 425**

{4-[(3-Butyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} acetic acid

**Example 426**

5 {3,5-Dimethyl-4-[(3-pentyl-1H-indol-5-yl)oxy]phenyl} acetic acid

**Example 427**

{4-[(3-Hexyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} acetic acid

10 **Example 428**

{4-[(3-Isobutyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} acetic acid

**Example 429**

{4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} acetic acid

15

**Example 430**

(4-{{3-(Cyclohexylmethyl)-1H-indol-5-yl}oxy}-3,5-dimethylphenyl)acetic acid

**Example 431**

20 (4-{{3-(Cyclopentylmethyl)-1H-indol-5-yl}oxy}-3,5-dimethylphenyl)acetic acid

**Example 432**

(4-{{3-(Cyclobutylmethyl)-1H-indol-5-yl}oxy}-3,5-dimethylphenyl)acetic acid

25 **Example 433**

(4-{{3-(Cyclopropylmethyl)-1H-indol-5-yl}oxy}-3,5-dimethylphenyl)acetic acid

**Example 434**

{4-[(3-Benzyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} acetic acid

30

**Example 435**

(4-{{3-(4-Fluorobenzyl)-1H-indol-5-yl}oxy}-3,5-dimethylphenyl)acetic acid

**Example 436**

5 (4-{{3-(4-Chlorobenzyl)-1H-indol-5-yl}oxy}-3,5-dimethylphenyl)acetic acid

**Example 437**

[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]acetic acid

10

**Example 438**

[4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]acetic acid

15

**Example 439**

(3,5-Dimethyl-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

**Example 440**

(3,5-Dimethyl-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenoxy)acetic acid

20

**Example 441**

(3,5-Dichloro-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenoxy)acetic acid

**Example 442**

25 (3,5-Dibromo-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenoxy)acetic acid

**Example 443**

[4-{{3-(Phenylsulphonyl)-1H-indol-5-yl}oxy}-3,5-is(trifluoromethyl)phenoxy]acetic acid

30

**Example 444**

(3,5-Dimethyl-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

**Example 445**

5      3,5-Dichloro-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

**Example 446**

(3,5-Dibromo-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

10      **Example 447**

[4-{{3-(Phenylsulphonyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenyl]acetic acid

**Example 448**

15      3,5-Dimethyl-O-[3-(phenylsulphonyl)-1H-indol-5-yl]-D-tyrosine

**Example 449**

3,5-Dichloro-O-[3-(phenylsulphonyl)-1H-indol-5-yl]-D-tyrosine

20      **Example 450**

3,5-Dibromo-O-[3-(phenylsulphonyl)-1H-indol-5-yl]-D-tyrosine

**Example 451**

O-[3-(Phenylsulphonyl)-1H-indol-5-yl]-3,5-bis(trifluoromethyl)-D-tyrosine

25

**Example 452**

3,5-Dimethyl-O-[3-(phenylsulphonyl)-1H-indol-5-yl]-L-tyrosine

**Example 453**

30      3,5-Dichloro-O-[3-(phenylsulphonyl)-1H-indol-5-yl]-L-tyrosine

**Example 454**

3,5-Dibromo-O-[3-(phenylsulphonyl)-1H-indol-5-yl]-L-tyrosine

**Example 455**

O-[3-(phenylsulphonyl)-1H-indol-5-yl]-3,5-bis(trifluoromethyl)-L-tyrosine

5      **Example 456**

(3,5-Dimethyl-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)methanesulphonic acid

**Example 457**

10      (3,5-Dichloro-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)methanesulphonic acid

**Example 458**

15      (3,5-Dibromo-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)methanesulphonic acid

**Example 459**

20      [4- {[3-(Phenylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-methanesulphonic acid

**Example 460**

[(3,5-Dimethyl-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)sulphonyl]acetic acid

25      **Example 461**

[(3,5-Dichloro-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)sulphonyl]acetic acid

**Example 462**

30      [(3,5-Dibromo-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)sulphonyl]acetic acid

**Example 463**

{[4-{[3-(Phenylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-sulphonyl}-acetic acid

5

**Example 464**

(2R)-Amino(3,5-dimethyl-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

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**Example 465**

(2R)-Amino(3,5-dichloro-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

**Example 466**

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(2R)-Amino(3,5-dibromo-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

**Example 467**

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(2R)-Amino[4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)-phenyl]ethanoic acid

**Example 468**

(2S)-Amino(3,5-dimethyl-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

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**Example 469**

(2S)-Amino(3,5-dichloro-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid



**Example 470**

(2S)-Amino(3,5-dibromo-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy} phenyl)-ethanoic acid

5      **Example 471**

(2S)-Amino[4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)-phenyl]ethanoic acid

**Example 472**

10      [4-( {3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethylphenoxy]acetic acid

**Example 473**

15      [3,5-Dichloro-4-( {3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)phenoxy]acetic acid

**Example 474**

20      [3,5-Dibromo-4-( {3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)phenoxy]acetic acid

**Example 475**

[4-( {3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-bis(trifluoromethyl)-phenoxy]-acetic acid

25      **Example 476**

[4-( {3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethylphenyl]acetic acid

**Example 477**

30      [3,5-Dichloro-4-( {3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)phenyl]acetic acid

**Example 478**

[3,5-Dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

5

**Example 479**

[4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-acetic acid

10

**Example 480**

O-{3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}-3,5-dimethyl-D-tyrosine

**Example 481**

3,5-Dichloro-O-{3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}-D-tyrosine

15

**Example 482**

3,5-Dibromo-O-{3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}-D-tyrosine

**Example 483**

O-{3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}-3,5-bis(trifluoromethyl)-D-tyrosine

20

**Example 484**

O-{3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}-3,5-dimethyl-L-tyrosine

25

**Example 485**

3,5-Dichloro-O-{3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}-L-tyrosine

**Example 486**

3,5-Dibromo-O-{3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}-L-tyrosine

30

**Example 487**

O-{3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}-3,5-bis(trifluoromethyl)-L-tyrosine

5      **Example 488**

[4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]methane-sulphonic acid

**Example 489**

10      [3,5-Dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

**Example 490**

15      [3,5-Dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

**Example 491**

[4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-methanesulphonic acid

20

**Example 492**

{{4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl}-sulphanyl}-acetic acid

25      **Example 493**

{{[3,5-Dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]sulphanyl}-acetic acid

**Example 494**

30      {{[3,5-Dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]sulphanyl}-acetic acid

**Example 495**

{[4-( {3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-bis(trifluoromethyl)-phenyl]-sulphanyl}acetic acid

5

**Example 496**

(2R)-Amino[4-( {3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethyl-phenyl]-ethanoic acid

10

**Example 497**

(2R)-Amino[3,5-dichloro-4-( {3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-phenyl]-ethanoic acid

**Example 498**

15

(2R)-Amino[3,5-dibromo-4-( {3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-phenyl]-ethanoic acid

**Example 499**

(2R)-Amino[4-( {3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-bis(trifluoromethyl)phenyl]ethanoic acid

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**Example 500**

(2S)-Amino[4-( {3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethyl-phenyl]-ethanoic acid

25

**Example 501**

(2S)-Amino[3,5-dichloro-4-( {3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-phenyl]-ethanoic acid

**Example 502**

(2S)-Amino[3,5-dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]-ethanoic acid

5      **Example 503**

(2S)-Amino[4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)phenyl]ethanoic acid

**Example 504**

10      [4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenoxy]acetic acid

**Example 505**

15      [3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]acetic acid

**Example 506**

20      [3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]acetic acid

**Example 507**

[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenoxy]-acetic acid

25      **Example 508**

[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]acetic acid

**Example 509**

30      [3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

**Example 510**

[3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

5

**Example 511**

[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-acetic acid

10

**Example 512**

O-{3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}-3,5-dimethyl-D-tyrosine

**Example 513**

3,5-Dichloro-O-{3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}-D-tyrosine

15

**Example 514**

3,5-Dibromo-O-{3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}-D-tyrosine

**Example 515**

O-{3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}-3,5-bis(trifluoromethyl)-D-tyrosine

20

**Example 516**

O-{3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}-3,5-dimethyl-L-tyrosine

25

**Example 517**

3,5-Dichloro-O-{3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}-L-tyrosine

**Example 518**

3,5-Dibromo-O-{3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}-L-tyrosine

30

**Example 519**

O-{3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}-3,5-bis(trifluoromethyl)-L-tyrosine

5      **Example 520**

[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]methane-sulphonic acid

**Example 521**

10      [3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

**Example 522**

15      [3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

**Example 523**

20      [4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-methanesulphonic acid

**Example 524**

{[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]-sulphanyl}-acetic acid

25      **Example 525**

{[3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]sulphanyl}-acetic acid

**Example 526**

{{[3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]sulphanyl}-acetic acid

5      **Example 527**

{{[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-sulphanyl}acetic acid

**Example 528**

10      (2R)-Amino[4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethyl-phenyl]ethanoic acid

**Example 529**

15      (2R)-Amino[3,5-dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

**Example 530**

20      (2R)-Amino[3,5-dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

**Example 531**

(2R)-Amino[4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoro-methyl)phenyl]ethanoic acid

25      **Example 532**

(2S)-Amino[4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethyl-phenyl]ethanoic acid



**Example 533**

(2S)-Amino[3,5-dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

5      **Example 534**

(2S)-Amino[3,5-dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

**Example 535**

10      (2S)-Amino[4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)phenyl]ethanoic acid

**Example 536**

15      [3,5-Dimethyl-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]-acetic acid

**Example 537**

20      [3,5-Dichloro-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]acetic acid

**Example 538**

[3,5-Dibromo-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]acetic acid

25      **Example 539**

[4-({3-[(4-Methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenoxy]acetic acid

**Example 540**

[3,5-Dimethyl-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

5      **Example 541**

[3,5-Dichloro-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

**Example 542**

10      [3,5-Dibromo-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

**Example 543**

15      [4-({3-[(4-Methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-acetic acid

**Example 544**

3,5-Dimethyl-O- {3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} -D-tyrosine

20      **Example 545**

3,5-Dichloro-O- {3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} -D-tyrosine

**Example 546**

25      3,5-Dibromo-O- {3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} -D-tyrosine

**Example 547**

O- {3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} -3,5-bis(trifluoromethyl)-D-tyrosine

30      **Example 548**

3,5-Dimethyl-O- {3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} -L-tyrosine

**Example 549**

3,5-Dichloro-O- {3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}-L-tyrosine

5      **Example 550**

3,5-Dibromo-O- {3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}-L-tyrosine

**Example 551**

10      O- {3-[(4-Methylphenyl)sulphonyl]-1H-indol-5-yl}-3,5-bis(trifluoromethyl)-L-tyrosine

**Example 552**

15      [3,5-Dimethyl-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

**Example 553**

20      [3,5-Dichloro-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

**Example 554**

[3,5-Dibromo-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

**Example 555**

25      [4-({3-[(4-Methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-methanesulphonic acid

**Example 556**

30      {[3,5-Dimethyl-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-sulphanyl}acetic acid

**Example 557**

{[3,5-Dichloro-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-sulphonyl}-acetic acid

5      **Example 558**

{[3,5-Dibromo-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-sulphonyl}-acetic acid

**Example 559**

10      {[4-({3-[(4-Methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]sulphonyl} acetic acid

**Example 560**

(2R)-Amino[3,5-dimethyl-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

**Example 561**

(2R)-Amino[3,5-dichloro-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

**Example 562**

(2R)-Amino[3,5-dibromo-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

25      **Example 563**

(2R)-Amino[4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoro-methyl)phenyl]ethanoic acid

**Example 564**

30      (2S)-Amino[3,5-dimethyl-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

**Example 565**

(2S)-Amino[3,5-dichloro-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]-ethanoic acid

5

**Example 566**

(2S)-Amino[3,5-dibromo-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

10

**Example 567**

(2S)-Amino[4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoro-methyl)phenyl]ethanoic acid

**Example 568**

15 (3,5-Dimethyl-4-{{3-(4-pyridinylsulphonyl)-1H-indol-5-yl}oxy}phenoxy)acetic acid

**Example 569**

(3,5-Dichloro-4-{{3-(4-pyridinylsulphonyl)-1H-indol-5-yl}oxy}phenoxy)acetic acid

20

**Example 570**

(3,5-Dibromo-4-{{3-(4-pyridinylsulphonyl)-1H-indol-5-yl}oxy}phenoxy)acetic acid

**Example 571**

25 [4-{{3-(4-Pyridinylsulphonyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenoxy]-acetic acid

**Example 572**

(3,5-Dimethyl-4-{{3-(4-pyridinylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

**Example 573**

(3,5-Dichloro-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy} phenyl)acetic acid

**Example 574**

5 (3,5-Dibromo-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy} phenyl)acetic acid

**Example 575**

[4- {[3-(4-Pyridinylsulphonyl)-1H-indol-5-yl]oxy} -3,5-bis(trifluoromethyl)phenyl]-  
acetic acid  
10

**Example 576**

3,5-Dimethyl-O-[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]-D-tyrosine

**Example 577**

15 3,5-Dichloro-O-[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]-D-tyrosine

**Example 578**

3,5-Dibromo-O-[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]-D-tyrosine

20 **Example 579**

O-[3-(4-Pyridinylsulphonyl)-1H-indol-5-yl]-3,5-bis(trifluoromethyl)-D-tyrosine

**Example 580**

3,5-Dimethyl-O-[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]-L-tyrosine  
25

**Example 581**

3,5-Dichloro-O-[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]-L-tyrosine

**Example 582**

30 3,5-Dibromo-O-[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]-L-tyrosine

**Example 583**

O-[3-(4-Pyridinylsulphonyl)-1H-indol-5-yl]-3,5-bis(trifluoromethyl)-L-tyrosine

**Example 584**

5 (3,5-Dimethyl-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)methane-  
sulphonic acid

**Example 585**

10 (3,5-Dichloro-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)methane-  
sulphonic acid

**Example 586**

15 (3,5-Dibromo-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)methane-  
sulphonic acid

**Example 587**

[4- {[3-(4-Pyridinylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-  
methanesulphonic acid

**Example 588**

20 [(3,5-Dimethyl-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)sulphanyl]-  
acetic acid

**Example 589**

25 [(3,5-Dichloro-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)sulphanyl]-  
acetic acid

**Example 590**

30 [(3,5-Dibromo-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)sulphanyl]-  
acetic acid

**Example 591**

{{4-{{3-(4-Pyridinylsulphonyl)-1H-indol-5-yl}oxy}}-3,5-bis(trifluoromethyl)phenyl}-sulphanyl}acetic acid

5      **Example 592**

(2R)-Amino(3,5-dimethyl-4-{{3-(4-pyridinylsulphonyl)-1H-indol-5-yl}oxy}phenyl)-ethanoic acid

**Example 593**

10      (2R)-Amino(3,5-dichloro-4-{{3-(4-pyridinylsulphonyl)-1H-indol-5-yl}oxy}phenyl)-ethanoic acid

**Example 594**

15      (2R)-Amino(3,5-dibromo-4-{{3-(4-pyridinylsulphonyl)-1H-indol-5-yl}oxy}phenyl)-ethanoic acid

**Example 595**

20      (2R)-Amino(4-{{3-(4-pyridinylsulphonyl)-1H-indol-5-yl}oxy}}-3,5-bis(trifluoromethyl)-phenyl)ethanoic acid

**Example 596**

(2S)-Amino(3,5-dimethyl-4-{{3-(4-pyridinylsulphonyl)-1H-indol-5-yl}oxy}phenyl)-ethanoic acid

25      **Example 597**

(2S)-Amino(3,5-dichloro-4-{{3-(4-pyridinylsulphonyl)-1H-indol-5-yl}oxy}phenyl)-ethanoic acid

**Example 598**

30      (2S)-Amino(3,5-dibromo-4-{{3-(4-pyridinylsulphonyl)-1H-indol-5-yl}oxy}phenyl)-ethanoic acid



**Example 599**

(2S)-Amino[4-({3-(4-pyridinylsulphonyl)-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]ethanoic acid

5

**Example 600**

[4-({3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenoxy]-acetic acid

10

**Example 601**

[3,5-Dichloro-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]-acetic acid

**Example 602**

[3,5-Dibromo-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]-acetic acid

15

**Example 603**

[4-({3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenoxy]acetic acid

20

**Example 604**

[4-({3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]-acetic acid

25

**Example 605**

[3,5-Dichloro-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

**Example 606**

[3,5-Dibromo-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

5      **Example 607**

[4-({3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]acetic acid

**Example 608**

10      O-{3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}-3,5-dimethyl-D-tyrosine

**Example 609**

3,5-Dichloro-O-{3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}-D-tyrosine

15      **Example 610**

3,5-Dibromo-O-{3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}-D-tyrosine

**Example 611**

20      O-{3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}-3,5-bis(trifluoromethyl)-D-tyrosine

**Example 612**

O-{3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}-3,5-dimethyl-L-tyrosine

25      **Example 613**

3,5-Dichloro-O-{3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}-L-tyrosine

**Example 614**

30      3,5-Dibromo-O-{3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}-L-tyrosine

**Example 615**

O-{3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}-3,5-bis(trifluoromethyl)-L-tyrosine

5      **Example 616**

[4-({3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]-methane-sulphonic acid

**Example 617**

10      [3,5-Dichloro-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

**Example 618**

15      [3,5-Dibromo-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

**Example 619**

[4-({3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]methanesulphonic acid

20

**Example 620**

{[4-({3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]-sulphanyl}acetic acid

25      **Example 621**

{[3,5-Dichloro-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-sulphanyl}acetic acid

**Example 622**

30      {[3,5-Dibromo-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-sulphanyl}acetic acid

**Example 623**

{[4-({3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]sulphonyl}acetic acid

5

**Example 624**

(2R)-Amino[4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethyl-phenyl]ethanoic acid

10

**Example 625**

(2R)-Amino[3,5-dichloro-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

**Example 626**

15

(2R)-Amino[3,5-dibromo-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

**Example 627**

(2R)-Amino[4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoro-methyl)phenyl]ethanoic acid

20

**Example 628**

(2S)-Amino[4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethyl-phenyl]ethanoic acid

25

**Example 629**

(2S)-Amino[3,5-dichloro-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

**Example 630**

(2S)-Amino[3,5-dibromo-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

5      **Example 631**

(2S)-Amino[4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoro-methyl)phenyl]ethanoic acid

**Example 632**

10      {3,5-Dimethyl-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl}oxy)-phenoxy}acetic acid

**Example 633**

15      {3,5-Dichloro-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl}oxy)-phenoxy}acetic acid

**Example 634**

20      {3,5-Dibromo-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl}oxy)-phenoxy}acetic acid

**Example 635**

{3,5-Bis(trifluoromethyl)-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl}oxy)-phenoxy}acetic acid

25      **Example 636**

{3,5-Dimethyl-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl}oxy)-phenyl]-acetic acid

**Example 637**

30      {3,5-Dichloro-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl}oxy)-phenyl]-acetic acid

**Example 638**

{3,5-Dibromo-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)oxy]-phenyl}-acetic acid

5

**Example 639**

{3,5-Bis(trifluoromethyl)-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)oxy]-phenyl} acetic acid

10

**Example 640**

3,5-Dimethyl-O-(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)-D-tyrosine

**Example 641**

3,5-Dichloro-O-(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)-D-tyrosine

15

**Example 642**

3,5-Dibromo-O-(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)-D-tyrosine

**Example 643**

3,5-Bis(trifluoromethyl)-O-(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)-D-tyrosine

20

**Example 644**

3,5-Dimethyl-O-(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)-L-tyrosine

25

**Example 645**

3,5-Dichloro-O-(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)-L-tyrosine

**Example 646**

3,5-Dibromo-O-(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)-L-tyrosine

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**Example 647**

3,5-Bis(trifluoromethyl)-O-(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)-L-tyrosine

5 **Example 648**

{{3,5-Dimethyl-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)oxy]-phenyl}-methanesulphonic acid

**Example 649**

10 {{3,5-Dichloro-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)oxy]-phenyl}-methanesulphonic acid

**Example 650**

15 {{3,5-Dibromo-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)oxy]-phenyl}-methanesulphonic acid

**Example 651**

20 {{3,5-Bis(trifluoromethyl)-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)oxy]phenyl}methanesulphonic acid

**Example 652**

{{3,5-Dimethyl-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)oxy]-phenyl}sulphanyl}acetic acid

25 **Example 653**

{{3,5-Dichloro-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)oxy]-phenyl}sulphanyl}acetic acid

**Example 654**

30 {{3,5-Dibromo-4-[(3-{{4-(trifluoromethyl)phenyl}sulphonyl}-1H-indol-5-yl)oxy]-phenyl}sulphanyl}acetic acid

**Example 655**

({3,5-Bis(trifluoromethyl)-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenyl)sulphonyl}acetic acid

5

**Example 656**

(2R)-Amino {3,5-dimethyl-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

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**Example 657**

(2R)-Amino {3,5-dichloro-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

**Example 658**

15

(2R)-Amino {3,5-dibromo-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

**Example 659**

20

(2R)-Amino {3,5-bis(trifluoromethyl)-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

**Example 660**

25

(2S)-Amino {3,5-dimethyl-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

**Example 661**

(2S)-Amino {3,5-dichloro-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid



**Example 662**

(2S)-Amino {3,5-dibromo-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

5      **Example 663**

(2S)-Amino {3,5-bis(trifluoromethyl)-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

**Example 664**

10      Difluoro(4-{[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy}-3,5-dimethylphenyl)acetic acid

**Example 665**

(4-{[3-(4-Chlorobenzyl)-1H-indol-5-yl]oxy}-3,5-dimethylphenyl)(difluoro)acetic acid

15

**Example 666**

[4-{[3-{[4-(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]-(difluoro)-acetic acid

20      **Example 667**

Difluoro[4-{[3-{[4-(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]-acetic acid

**Example 668**

25      Fluoro[4-{[3-{[4-(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]acetic acid

**Example 669**

[4-{[3-{[4-(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]-(fluoro)-acetic acid

30

**Example 670**

(4-{{3-(4-Chlorobenzyl)-1H-indol-5-yl}oxy}-3,5-dimethylphenyl)(fluoro)acetic acid

**Example 671**

5 Fluoro(4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}-3,5-dimethylphenyl)acetic acid

**Example 672**

(3-Chloro-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}-5-methylphenyl)(fluoro)acetic acid

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**Example 673**

(3-Chloro-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}-5-methylphenyl)(fluoro)acetic acid

15

**Example 674**

[3-Chloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-(fluoro)-acetic acid

**Example 675**

20 3-Chloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-(fluoro)-acetic acid

**Example 676**

25 [3-Chloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-(difluoro)acetic acid

**Example 677**

[3-Chloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-(difluoro)acetic acid

30

**Example 678**

(3-Chloro-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}-5-methylphenyl)(difluoro)-acetic acid

5      **Example 679**

(3-Chloro-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}-5-methylphenyl)(difluoro)-acetic acid

**Example 680**

10      (3,5-Dichloro-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}phenyl)(difluoro)acetic acid

**Example 681**

(3,5-Dichloro-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}phenyl)(difluoro)acetic acid

15      **Example 682**

[3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-(difluoro)-acetic acid

**Example 683**

20      [3,5-Dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-(difluoro)-acetic acid

**Example 684**

25      [3,5-Dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl](fluoro)-acetic acid

**Example 685**

30      [3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl](fluoro)-acetic acid

**Example 686**

(3,5-Dichloro-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}phenyl)(fluoro)acetic acid

**Example 687**

5 (3,5-Dichloro-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}phenyl)(fluoro)acetic acid

**Example 688**

(3,5-Dibromo-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}phenyl)(fluoro)acetic acid

10 **Example 689**

(3,5-Dibromo-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}phenyl)(fluoro)acetic acid

**Example 690**

[3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-  
15 (fluoro)-acetic acid

**Example 691**

[3,5-Dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl](fluoro)-  
20 acetic acid

**Example 692**

[3,5-Dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-  
(difluoro)-acetic acid

25 **Example 693**

[3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-  
(difluoro)-acetic acid

**Example 694**

30 (3,5-Dibromo-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}phenyl)(difluoro)acetic  
acid

**Example 695**

(3,5-Dibromo-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}phenyl)(difluoro)acetic acid

5      **Example 696**

Difluoro[4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenyl]-acetic acid

**Example 697**

10      [4-{{3-(4-Chlorobenzyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenyl]-(difluoro)-acetic acid

**Example 698**

15      [4-{{3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)-phenyl]-(difluoro)acetic acid

**Example 699**

20      Difluoro[4-{{3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)-phenyl]acetic acid

**Example 700**

Fluoro[4-{{3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)-phenyl]acetic acid

25      **Example 701**

[4-{{3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)-phenyl]-(fluoro)acetic acid

**Example 702**

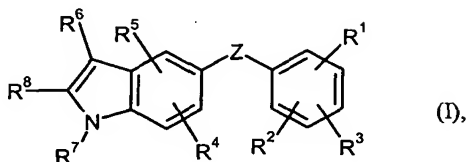
30      [4-{{3-(4-Chlorobenzyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenyl]-(fluoro)acetic acid

**Example 703**

Fluoro[4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenyl]-  
acetic acid

**Patent claims**

## 1. Compounds of the general formula (I)

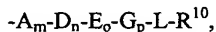


in which

Z represents O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHF, CF<sub>2</sub> or represents NR<sup>9</sup>, in which R<sup>9</sup> denotes hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl,

R<sup>1</sup> and R<sup>2</sup> are identical or different and represent hydrogen, halogen, cyano, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CF<sub>3</sub>, CHF<sub>2</sub>, CH<sub>2</sub>F, vinyl or (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and in the ortho position to the bridge bond,

R<sup>3</sup> represents a group of the formula



in which

A represents O, S, NR<sup>11</sup> or represents the group -(CR<sup>12</sup>=CR<sup>13</sup>)-, in which R<sup>11</sup> denotes hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl, and R<sup>12</sup> and R<sup>13</sup> are identical or different and denote hydrogen, cyano, (C<sub>1</sub>-C<sub>4</sub>)-alkyl or (C<sub>1</sub>-C<sub>4</sub>)-alkoxy,

D represents a straight-chain (C<sub>1</sub>-C<sub>3</sub>)-alkylene group, which can be

mono- or polysubstituted, identically or differently, by (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, halogen, amino, mono-(C<sub>1</sub>-C<sub>4</sub>)-alkylamino, mono-(C<sub>1</sub>-C<sub>4</sub>)-acylamino or (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonylamino,

5 E and L independently of one another represent a C(O) or SO<sub>2</sub> group,

G represents NR<sup>14</sup>, in which R<sup>14</sup> denotes hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl, or represents a straight-chain (C<sub>1</sub>-C<sub>3</sub>)-alkylene group, which can be mono- or polysubstituted, identically or differently, by (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, halogen, amino, mono- or di-(C<sub>1</sub>-C<sub>4</sub>)-alkylamino or mono-(C<sub>1</sub>-C<sub>4</sub>)-acylamino,

10

m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that

15 in the case that L represents a C=O-group, the sum (m+n+o+p) is unequal to the number 0,

and

20 in the case that m and o in each case represent the number 1, A represents the radical NR<sup>11</sup> and E and L in each case represent a C=O-group, the sum (n+p) is unequal to the number 0,

25 and

R<sup>10</sup> represents OR<sup>15</sup>, NR<sup>16</sup>R<sup>17</sup>, (C<sub>1</sub>-C<sub>10</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>6</sub>-C<sub>10</sub>)-aryl, (C<sub>6</sub>-C<sub>10</sub>)-arylmethyl or represents a saturated, partly unsaturated or aromatic 5- to 10-membered heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, where the abovementioned radicals are optionally

30



5 substituted by one, two or three identical or different substituents selected from the group consisting of halogen, hydroxyl, oxo, cyano, nitro, amino,  $\text{NR}^{18}\text{R}^{19}$ , trifluoromethyl,  $(\text{C}_1\text{-C}_6)\text{-alkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{-alkoxy}$  optionally substituted by  $\text{R}^{20}$ ,  $(\text{C}_3\text{-C}_8)\text{-cycloalkyl}$ ,  $(\text{C}_6\text{-C}_{10})\text{-aryl}$ , which for its part is optionally substituted by halogen,  $(\text{C}_1\text{-C}_4)\text{-alkyl}$ ,  $(\text{C}_1\text{-C}_4)\text{-alkoxy}$ , trifluoromethyl, nitro or cyano;  $-\text{O}-\text{C}(\text{O})\text{-R}^{21}$ ,  $-\text{C}(\text{O})\text{-OR}^{22}$ ,  $-\text{C}(\text{O})\text{-NR}^{23}\text{R}^{24}$ ,  $-\text{SO}_2\text{-NR}^{25}\text{R}^{26}$ ,  $-\text{NH}-\text{C}(\text{O})\text{-R}^{27}$  and  $-\text{NH}-\text{C}(\text{O})\text{-OR}^{28}$ , where

10  $\text{R}^{15}$ ,  $\text{R}^{16}$ ,  $\text{R}^{17}$ ,  $\text{R}^{18}$ ,  $\text{R}^{19}$ ,  $\text{R}^{20}$ ,  $\text{R}^{21}$ ,  $\text{R}^{22}$ ,  $\text{R}^{23}$ ,  $\text{R}^{24}$ ,  $\text{R}^{25}$ ,  $\text{R}^{26}$ ,  $\text{R}^{27}$  and  $\text{R}^{28}$  are identical or different and in each case represent hydrogen, phenyl, benzyl,  $(\text{C}_1\text{-C}_6)\text{-alkyl}$  or  $(\text{C}_3\text{-C}_8)\text{-cycloalkyl}$ , which for their part are optionally mono- or polysubstituted, identically or differently, by halogen, hydroxyl, amino, carboxyl,  $(\text{C}_1\text{-C}_4)\text{-alkoxy}$ ,  $(\text{C}_1\text{-C}_4)\text{-alkoxycarbonyl}$ ,  $(\text{C}_1\text{-C}_4)\text{-alkoxy-carbonyl-amino}$ ,  $(\text{C}_1\text{-C}_5)\text{-alkanoyloxy}$ , a heterocycle or phenyl which is optionally substituted by halogen or hydroxyl,

15

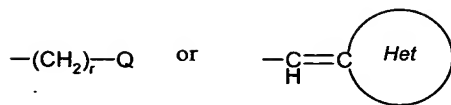
or the group

20  $-\text{L}-\text{R}^{10}$  represents a group of the formula  $\text{—P} \begin{matrix} \text{O} \\ \parallel \\ \text{OR}^{29} \\ \text{OR}^{29} \end{matrix}$ , in which

$\text{R}^{29}$  denotes hydrogen or  $(\text{C}_1\text{-C}_4)\text{-alkyl}$ ,

or

25  $\text{R}^3$  represents a group of the formula



in which

5                    Q        represents a 5- to 6-membered saturated, partly unsaturated or aromatic heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, which for its part is optionally mono- to trisubstituted, identically or differently, by oxo (=O), thioxo (=S), hydroxyl, 10                    (C<sub>1</sub>-C<sub>6</sub>)-alkyl or phenyl,

r                    represents the number 0, 1 or 2,

and

15                    the ring *Het* denotes a 5- to 6-membered saturated or partly unsaturated heterocycle having up to three identical or different heteroatoms from the group consisting of N, O and/or S, which is optionally mono- to trisubstituted, identically or differently, by oxo 20                    (=O), thioxo (=S), hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or phenyl,

R<sup>4</sup> and R<sup>5</sup> are identical or different and in each case represent hydrogen, hydroxyl, halogen, cyano, nitro, (C<sub>1</sub>-C<sub>4</sub>)-alkyl or the radical of the formula NR<sup>30</sup>R<sup>31</sup>, where R<sup>30</sup> and R<sup>31</sup> have the meaning indicated for 25                    R<sup>15</sup> and independently of one another can be identical to or different from this substituent,

R<sup>6</sup>                    represents hydrogen, halogen or represents a group of the formula

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$-M_a-R^{32}$ ,

in which

5            M        represents a carbonyl group, a sulphonyl group or a methylene group,

             a        represents the number 0 or 1,

10           and

$R^{32}$     has the meaning of  $R^{10}$  indicated above and can be identical to or different from this substituent,

15            $R^7$         represents hydrogen or represents an acyl group which can be removed under physiological conditions with formation of an NH function, preferably represents hydrogen or acetyl ,

             and

20

$R^8$         has the meaning of  $R^6$  indicated above and can be identical to or different from this substituent,

25           and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of the salts.

2.        Compounds according to Claim 1,

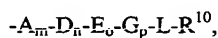
             in which

30

             Z        represents O, S or  $CH_2$ ,

5  $R^1$  and  $R^2$  are identical or different and represent hydrogen, fluorine, chlorine, bromine, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, CF<sub>3</sub>, CHF<sub>2</sub>, CH<sub>2</sub>F, vinyl or (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and is in the ortho position to the bridge bond, in particular both substituents are unequal to hydrogen and both are in the ortho position,

10  $R^3$  represents a group of the formula



in which

15 A represents O, S, NR<sup>11</sup> or represents the group -(CR<sup>12</sup>=CR<sup>13</sup>)-, in which R<sup>11</sup> denotes hydrogen or methyl, and R<sup>12</sup> and R<sup>13</sup> are identical or different and denote hydrogen or methoxy,

20 D represents a straight-chain (C<sub>1</sub>-C<sub>3</sub>)-alkylene group which can be mono- or disubstituted, identically or differently, by (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl, methoxy, ethoxy, fluorine, chlorine, amino, mono-(C<sub>1</sub>-C<sub>4</sub>)-alkylamino or mono-(C<sub>1</sub>-C<sub>4</sub>)-acylamino,

25 E represents a C(O) group,

L represents a C(O) or SO<sub>2</sub> group,

30 G represents an NH group or represents a straight-chain (C<sub>1</sub>-C<sub>3</sub>)-alkylene group, which can be mono- or disubstituted, identically or differently, by methyl, ethyl, hydroxyl, methoxy, fluorine, chlorine, amino, methylamino or acetylamino,

m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that

5 in the case that L represents a C=O-group, the sum (m+n+o+p) is unequal to the number 0,

and

10 in the case that m and o in each case represent the number 1, A represents the radical  $\text{NR}^{11}$  and L represents a C=O-group, the sum (n+p) is unequal to the number 0,

and

15

$\text{R}^{10}$  represents  $\text{OR}^{15}$ ,  $\text{NR}^{16}\text{R}^{17}$ , (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, naphthyl, phenyl, benzyl or represents a saturated, partly unsaturated or aromatic 5- to 6-membered heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, where the abovementioned radicals are optionally substituted by one, two or three identical or different substituents selected from the group consisting of halogen, hydroxyl, oxo, cyano, nitro, amino,  $\text{NR}^{18}\text{R}^{19}$ , trifluoromethyl, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy optionally substituted by  $\text{R}^{20}$ , (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, -O-C(O)- $\text{R}^{21}$ , -C(O)- $\text{OR}^{22}$ , -C(O)- $\text{NR}^{23}\text{R}^{24}$ , -SO<sub>2</sub>- $\text{NR}^{25}\text{R}^{26}$ , -NH-C(O)- $\text{R}^{27}$  and -NH-C(O)- $\text{OR}^{28}$ , where

25

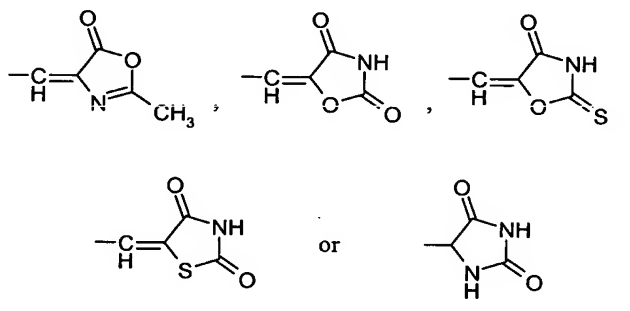
$\text{R}^{15}$ ,  $\text{R}^{16}$ ,  $\text{R}^{17}$ ,  $\text{R}^{18}$ ,  $\text{R}^{19}$ ,  $\text{R}^{20}$ ,  $\text{R}^{21}$ ,  $\text{R}^{22}$ ,  $\text{R}^{23}$ ,  $\text{R}^{24}$ ,  $\text{R}^{25}$ ,  $\text{R}^{26}$ ,  $\text{R}^{27}$  and  $\text{R}^{28}$  are identical or different and in each case represent hydrogen, phenyl, benzyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, which for their part are optionally mono- or polysubstituted, identically or differently, by halogen, hydroxyl, amino, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-

30

alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-carbonyl-amino, (C<sub>1</sub>-C<sub>5</sub>)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by halogen or hydroxyl,

5 or

R<sup>3</sup> represents a group of the formula



10

R<sup>4</sup> and R<sup>5</sup> are identical or different and in each case represent hydrogen, halogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl,

R<sup>6</sup> represents hydrogen, halogen or a group of the formula

15



in which

20

M represents a carbonyl group, a sulphonyl group or a methylene group,

a represents the number 0 or 1,

and

5  $R^{32}$  represents (C<sub>1</sub>-C<sub>10</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>4</sub>)-alkenyl, naphthyl, phenyl, benzyl, pyridyl, pyridazinyl or pyridazinonyl, where the abovementioned radicals are optionally substituted by one, two or three identical or different substituents selected from the group consisting of halogen, hydroxyl, cyano, nitro, amino,  $NR^{18}R^{19}$ , trifluoromethyl, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, phenyl, which for its part is  
10 optionally substituted by halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, trifluoromethyl, nitro or cyano, -O-C(O)-R<sup>21</sup>, -C(O)-OR<sup>22</sup>, -C(O)-NR<sup>23</sup>R<sup>24</sup>, -SO<sub>2</sub>-NR<sup>25</sup>R<sup>26</sup>, -NH-C(O)-R<sup>27</sup> and -NH-C(O)-OR<sup>28</sup>, where

15  $R^{18}$ ,  $R^{19}$ ,  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ ,  $R^{26}$ ,  $R^{27}$  and  $R^{28}$  are identical or different and in each case represent hydrogen, phenyl, benzyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, which for their part are optionally mono- or polysubstituted, identically or differently, by halogen, hydroxyl, amino, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy,  
20 (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonylamino, (C<sub>1</sub>-C<sub>5</sub>)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by halogen or hydroxyl,

25  $R^7$  represents hydrogen,

and

$R^8$  has the meaning of  $R^6$  indicated above and can be identical to or  
30 different from this substituent,

and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of

the salts.

3. Compounds according to Claim 1,

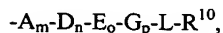
5 in which

Z represents O or CH<sub>2</sub>,

10 R<sup>1</sup> and R<sup>2</sup> are identical or different and represent hydrogen, fluorine, chlorine, bromine, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, CF<sub>3</sub>, CHF<sub>2</sub>, CH<sub>2</sub>F, vinyl or (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and in the ortho position to the bridge bond, in particular both substituents are unequal to hydrogen and both are in the ortho position,

15

R<sup>3</sup> represents a group of the formula



20

in which

A represents O, S or NH,

25

D represents a straight-chain (C<sub>1</sub>-C<sub>3</sub>)-alkylene group, which can be mono- or disubstituted, identically or differently, by methyl, ethyl, hydroxyl, methoxy, fluorine, amino or acetyl amino,

E represents a C(O) group,

30

L represents a C(O) or SO<sub>2</sub> group,



G represents an NH group or represents a methylene group,

m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that

5

in the case that L represents a C=O group, the sum (m+n+o+p) is unequal to the number 0,

and

10

in the case that m and o in each case represent the number 1, A represents the radical NH and L represents a C=O group, the sum (n+p) is unequal to the number 0,

15

and

20

R<sup>10</sup> represents OR<sup>15</sup>, NR<sup>16</sup>R<sup>17</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, phenyl, benzyl or represents an aromatic 5- to 6-membered heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, where the abovementioned radicals are optionally substituted by one, two or three identical or different substituents selected from the group consisting of fluorine, chlorine, hydroxyl, oxo, cyano, nitro, amino, NR<sup>18</sup>R<sup>19</sup>, trifluoromethyl, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy optionally substituted by R<sup>20</sup>, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, -O-C(O)-R<sup>21</sup>, -C(O)-OR<sup>22</sup>, -C(O)-NR<sup>23</sup>R<sup>24</sup>, -SO<sub>2</sub>-NR<sup>25</sup>R<sup>26</sup>, -NH-C(O)-R<sup>27</sup> and -NH-C(O)-OR<sup>28</sup>, where

25

R<sup>15</sup>, R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, R<sup>19</sup>, R<sup>20</sup>, R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup>, R<sup>27</sup> and R<sup>28</sup> are identical or different and in each case represent hydrogen, phenyl, benzyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, which for their part are optionally mono- to disubstituted, identically or

30

5 differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-carbonylamino, (C<sub>1</sub>-C<sub>5</sub>)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by fluorine, chlorine or hydroxyl,

R<sup>4</sup> and R<sup>5</sup> are identical or different and in each case represent hydrogen, fluorine, chlorine or methyl,

10 R<sup>6</sup> represents hydrogen, halogen or a group of the formula



in which

15

M represents a sulphonyl group or a methylene group,

a represents the number 0 or 1,

20

and

25

R<sup>32</sup> represents (C<sub>1</sub>-C<sub>10</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, phenyl, benzyl, pyridyl, pyridazinyl or pyridazinonyl, where the above-mentioned radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, bromine, hydroxyl, cyano, nitro, amino, NR<sup>18</sup>R<sup>19</sup>, trifluoromethyl, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, -O-C(O)-R<sup>21</sup>, -C(O)-OR<sup>22</sup>, -C(O)-NR<sup>23</sup>R<sup>24</sup>, -SO<sub>2</sub>-NR<sup>25</sup>R<sup>26</sup>, -NH-C(O)-R<sup>27</sup> and -NH-C(O)-OR<sup>28</sup>, where

30

5  $R^{18}$ ,  $R^{19}$ ,  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ ,  $R^{26}$ ,  $R^{27}$  and  $R^{28}$  are identical or different and in each case represent hydrogen, phenyl, benzyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, which for their part are optionally mono- or disubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl-amino, (C<sub>1</sub>-C<sub>5</sub>)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by fluorine, chlorine or hydroxyl,

10  $R^7$  represents hydrogen,

15  $R^8$  represents hydrogen, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, phenyl, benzyl, pyridyl, phenylsulphonyl or benzylsulphonyl, where the abovementioned radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, bromine, hydroxyl, cyano, nitro, amino,  $NR^{18}R^{19}$ , trifluoromethyl, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, -O-C(O)- $R^{21}$ , -C(O)-OR<sup>22</sup>, -C(O)-NR<sup>23</sup>R<sup>24</sup>, -SO<sub>2</sub>-NR<sup>25</sup>R<sup>26</sup>, -NH-C(O)- $R^{27}$  and -NH-C(O)-OR<sup>28</sup>,  
20 where

25  $R^{18}$ ,  $R^{19}$ ,  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ ,  $R^{26}$ ,  $R^{27}$  and  $R^{28}$  are identical or different and in each case represent hydrogen, phenyl, benzyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, which for their part are optionally mono- or polysubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl-amino, (C<sub>1</sub>-C<sub>5</sub>)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by fluorine, chlorine or hydroxyl,

30

and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of

the salts.

4. Compounds of the formula I

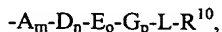
5 in which

Z represents O,

10  $R^1$  and  $R^2$  are identical or different and represent hydrogen, fluorine, chlorine, bromine,  $(C_1-C_4)$ -alkyl,  $CF_3$ ,  $CHF_2$ ,  $CH_2F$ , vinyl or  $(C_3-C_5)$ -cycloalkyl, where at least one of the two substituents is unequal to hydrogen and in the ortho-position to the bridge bond, in particular both substituents are unequal to hydrogen and both are in the ortho-position,

15

$R^3$  represents a group of the formula



20

in which

A represents O, S or NH,

25 D represents a methylene or ethylene group, which can be mono- to disubstituted, identically or differently, by methyl, ethyl, fluorine, amino or acetylamino,

E represents a C(O) group,

30

L represents a C(O) or  $SO_2$  group,

G represents an NH group or represents a methylene group,

m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that

in the case that L represents a C=O-group, the sum (m+n+o+p) is unequal to the number 0,

and

in the case that m and o in each case represent the number 1,  $\Lambda$  represents the radical NH and L represents a C=O-group, the sum (n+p) is unequal to the number 0,

and

$R^{10}$  represents  $OR^{15}$ ,  $NR^{16}R^{17}$  or represents (C<sub>1</sub>-C<sub>4</sub>)-alkyl, where  $R^{15}$ ,  $R^{16}$  and  $R^{17}$  are identical or different and in each case represent hydrogen, phenyl, benzyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, which for their part are optionally mono- to disubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonylamino, (C<sub>1</sub>-C<sub>5</sub>)-alkanoyloxy, a heterocycle or phenyl,

$R^4$  and  $R^5$  are identical or different and in each case represent hydrogen, fluorine, chlorine or methyl,

$R^6$  represents hydrogen, halogen, (C<sub>1</sub>-C<sub>10</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylmethyl, phenyl, benzyl, pyridazinonylmethyl, phenylsulphonyl or pyridylsulphonyl, where the abovementioned aromatic radicals are optionally substituted by one or two identical or

different substituents selected from the group consisting of fluorine, chlorine, cyano, nitro, trifluoromethyl, methyl, methoxy, carboxyl or methoxycarbonyl,

5             $R^7$     represents hydrogen,

$R^8$     represents hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, phenyl, benzyl, phenylsulphonyl or benzylsulphonyl, where the  
10            abovementioned aromatic radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, cyano, trifluoromethyl, methyl or methoxy,

15            and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of the salts.

5.        Compounds according to Claim 1, in which

20            Z        represents CH<sub>2</sub> or in particular represents oxygen,

$R^1$  and  $R^2$  are identical or different and represent methyl, ethyl, propyl, isopropyl, chlorine, bromine, CF<sub>3</sub>, vinyl or cyclopropyl, where both  
                 substituents are in the ortho-position to the bridge bond,

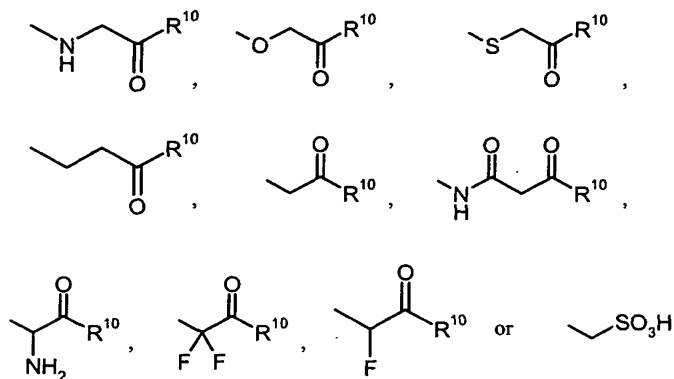
25             $R^4$  and  $R^5$  independently of one another represent methyl, fluorine or chlorine or in particular represent hydrogen,

                 and

30             $R^7$     represents hydrogen.

6. Compound according to one of Claims 1 to 5, in which Z represents oxygen.
7. Compound according to one of Claims 1 to 6, in which R<sup>3</sup> represents a group of the formula

5



10

which is located in the para position to the bridge bond and in which R<sup>10</sup> represents hydroxyl or the radical -C(O)-R<sup>10</sup> has the indicated meanings of R<sup>10</sup> for a group which, in the sense of a prodrug, can be broken down to the carboxylic acid -C(O)-OH or its salts.

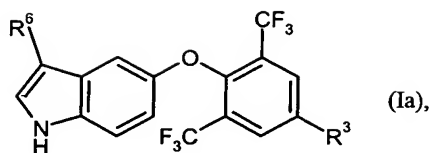
8. Compounds according to one of Claims 1 to 7, in which R<sup>4</sup>, R<sup>5</sup> and R<sup>7</sup> represent hydrogen.

15

9. Compounds according to one of Claims 1 to 8, in which R<sup>1</sup> and R<sup>2</sup> are both situated in the ortho position to Z and represent bromine, trifluoromethyl, ethyl, cyclopropyl and in particular represent methyl or chlorine.

20

10. Compounds of the formula (Ia)



in which

- 5             $R^3$     represents a group of the formula  $-CH_2-C(O)-OH$ ,  $-CHF-C(O)-OH$  or  $-CF_2-C(O)-OH$ ,

and

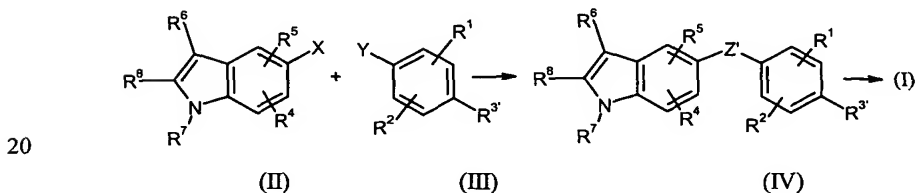
- 10            $R^6$     represents straight-chain or branched  $(C_1-C_8)$ -alkyl.

and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of the salts.

- 15           11.    Medicaments comprising at least one compound of the general formula (I) or (Ia) as defined in Claims 1 to 10.
12.    Medicaments comprising at least one compound of the general formula (I) or (Ia) as defined in Claims 1 to 10, and at least one excipient and/or vehicle  
20            customary in pharmacology.
13.    Process for the production of medicaments, characterized in that at least one compound of the general formula (I) or (Ia) as defined in Claims 1 to 10 is converted into a suitable administration form using excipients and vehicles.
- 25           14.    Use of the compounds of the general formula (I) as defined in Claims 1 to 10 in the prevention and control of diseases.



15. Use of the compounds of the general formula (I) as defined in Claims 1 to 10 in the treatment and/or prophylaxis of arteriosclerosis and hypercholesterol-aemia.
- 5 16. Use of the compounds of the general formula (I) as defined in at least one of Claims 1 to 8 for the production of medicaments for the prophylaxis and/or treatment of disease forms which can be treated with natural thyroid hormone.
- 10 17. Use of compounds of the general formula (I) according to at least one of Claims 14 to 16 in combination with other medicaments.
18. Process for the prevention and control of diseases, characterized in that patients are treated with a compound as defined in Claims 1 to 10.
- 15 19. Process for the preparation of compounds of the general formula (I) as defined in Claim 1, characterized in that reactive indole derivatives of the general formula (II) are reacted with reactive phenyl derivatives of the general formula (III)



where the substituents R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> have the meanings indicated in Claim 1, and

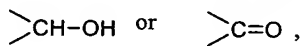
25

R<sup>3'</sup> has the meaning indicated for R<sup>3</sup> or represents NO<sub>2</sub>, NH<sub>2</sub>, NH-PG, OH, O-PG, SH, S-PG, or represents an aldehyde, cyano, carboxyl or (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-carbonyl group,

where PG represents a protective group,

5 X and Y in each case represent groups of opposite reactivity, where, for example, X can be an electrophilic radical which reacts with a nucleophilic Y substituent and vice versa,

Z' has the meaning indicated for Z or represents



10

if appropriate in the presence of inert solvents and catalysts and if appropriate with isolation of the intermediates of the general formula (IV) or directly to give compounds of the formula (I).

**Indole derivatives**

**A b s t r a c t**

The invention relates to new indole derivatives, processes for their preparation, and their use in medicaments.